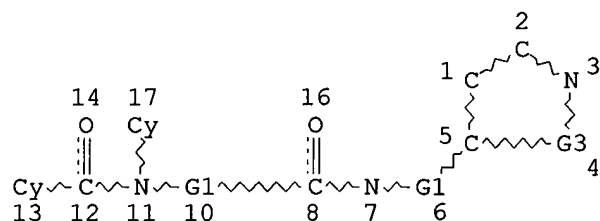


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L9      STR
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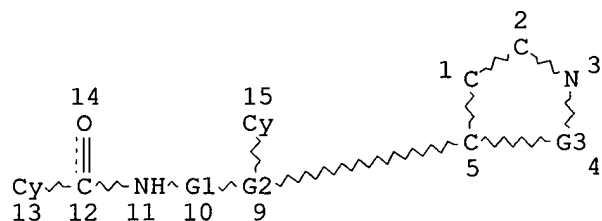
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NUMBER OF NODES IS 15

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=> d que

L2 2725719 SEA FILE=REGISTRY ABB=ON PLU=ON NRS>2 AND N>2 AND O>1
 L3 760356 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND (NC4/ES OR NC5/ES)
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 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE

L15 55 SEA FILE=REGISTRY SUB=L3 SSS FUL L13
 L16 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L15

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L16 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 2002:754356 HCAPLUS
 DOCUMENT NUMBER: 137:279095
 TITLE: Preparation of N-[biaryl(piperidiny)ethyl]-N'-arylureas and analogs as melanin-concentrating hormone receptor antagonists
 INVENTOR(S): Clader, John W.; Josien, Hubert B.; Palani, Anandan; Chan, Tin-Yau
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl., 129 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076947	A1	20021003	WO 2002-US8338	20020320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,				

KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-277584P P 20010321

OTHER SOURCE(S): MARPAT 137:279095

AB Title compds., e.g., RZCH(Z1R1)CH2Z2CONHR2 (Z = piperidine-1,4-diyl, Z1 = 1,4-phenylene)[I; R = H, (cyclo)alkyl, alkylsulfonyl, etc.; R1 = (un)substituted Ph or 3-pyridinyl; R2 = halophenyl, (un)substituted pyridinyl, etc.; Z2 = O or NH] were prepd. Thus, BocZCH(Z1Br)CH2OH (prepn. given) was aminated and the product condensed with 3,5-Cl2C6H3NCO to give BocZCH(Z2Br)CH2NHCONHC6H3Cl3-3,5 which was converted in 3 steps to title compd. II. Data for biol. activity of title compds. were given.

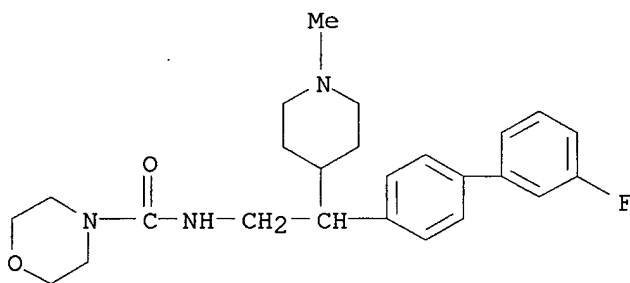
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 464168-01-2P 464168-29-4P 464168-55-6P
 464168-56-7P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(prepn. of N-[biaryl(piperidinyl)ethyl]-N'-arylureas and analogs as melanin-concg. hormone receptor antagonists)

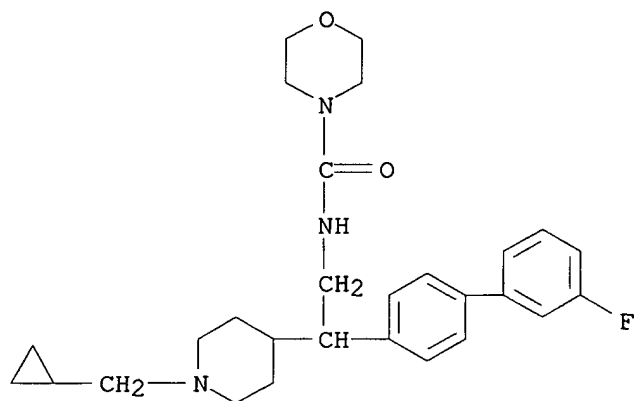
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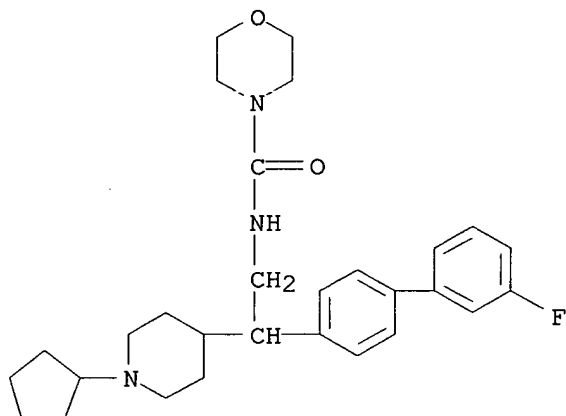
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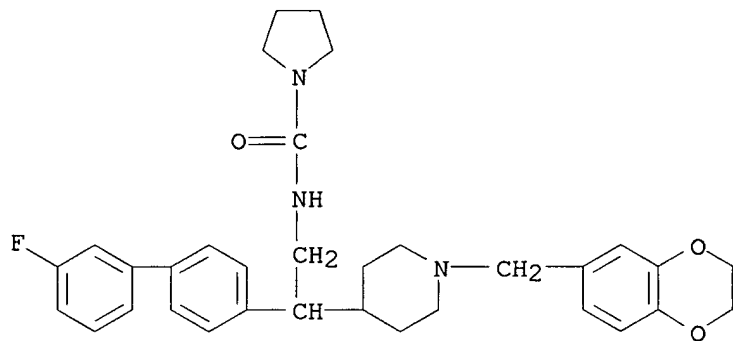
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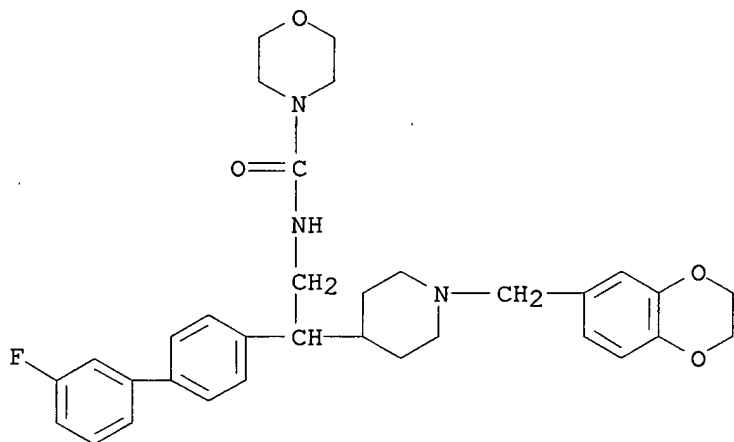


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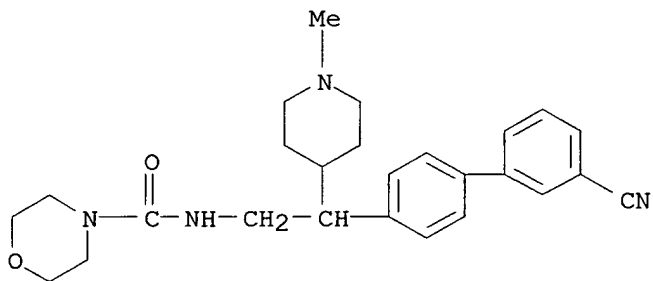
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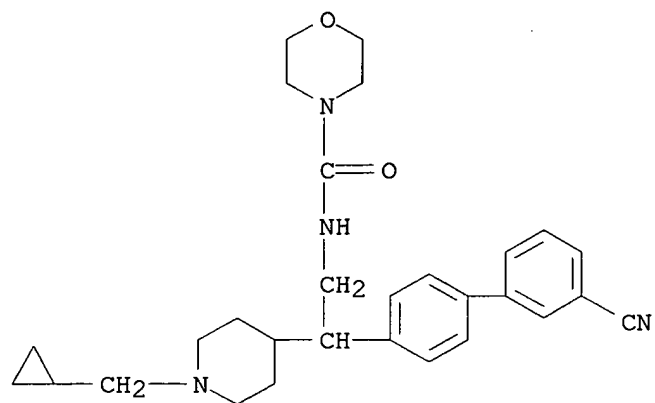
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(CA INDEX NAME)



RN 464161-31-7 HCAPLUS
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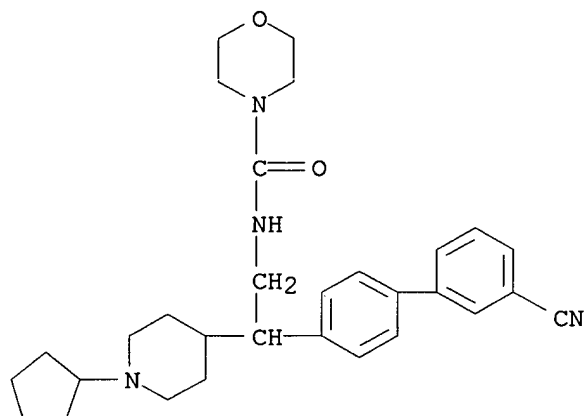


RN 464161-50-0 HCAPLUS
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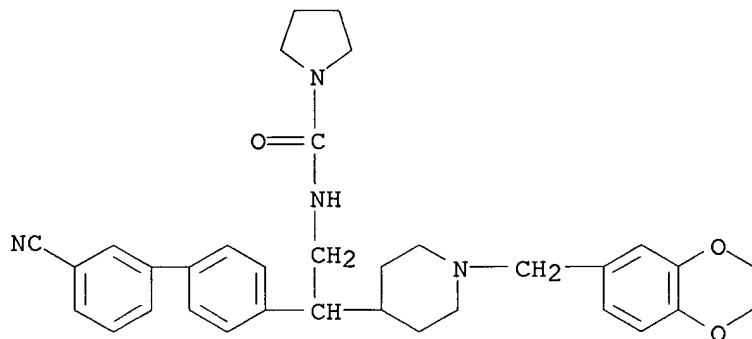
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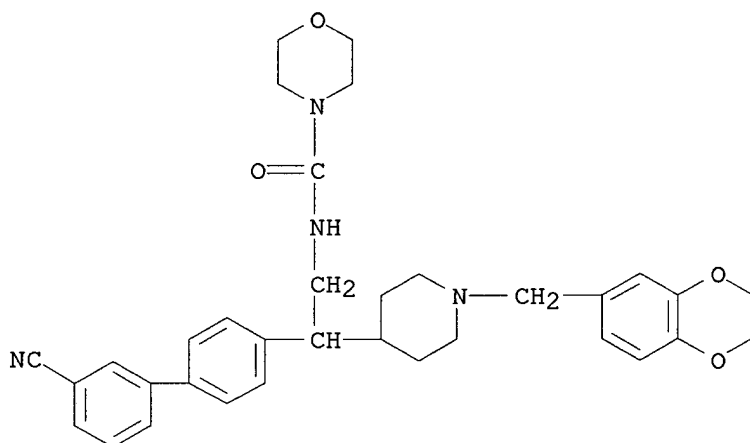
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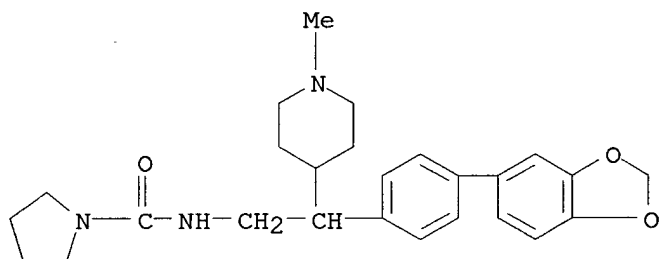
RN 464161-94-2 HCAPLUS

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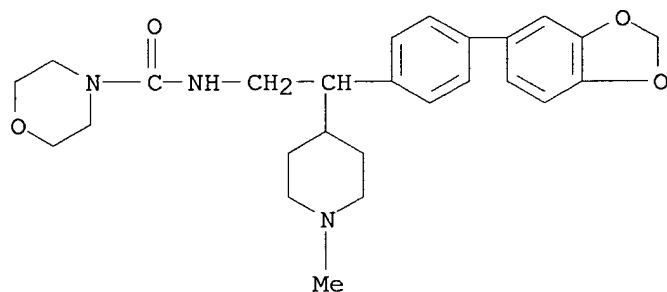
RN 464162-21-8 HCAPLUS

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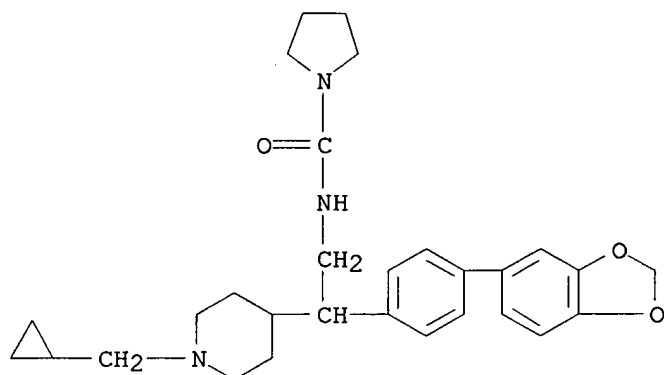
RN 464162-22-9 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-(1-methyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



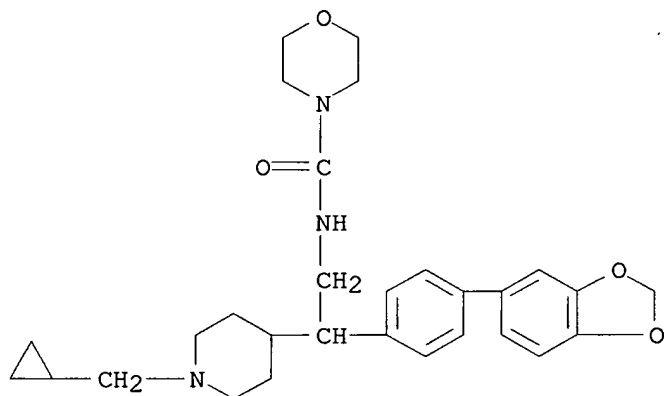
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CN 1-Pyrrolidinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-[1-(cyclopropylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



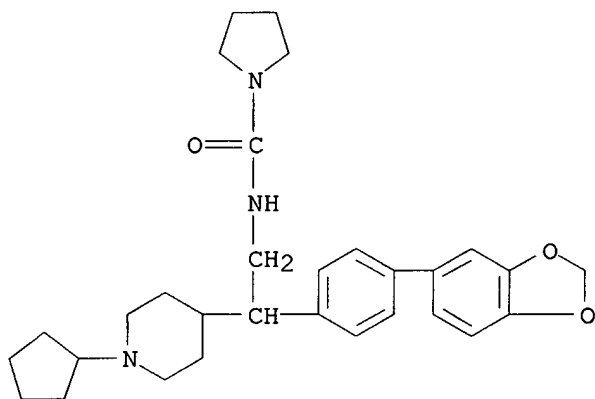
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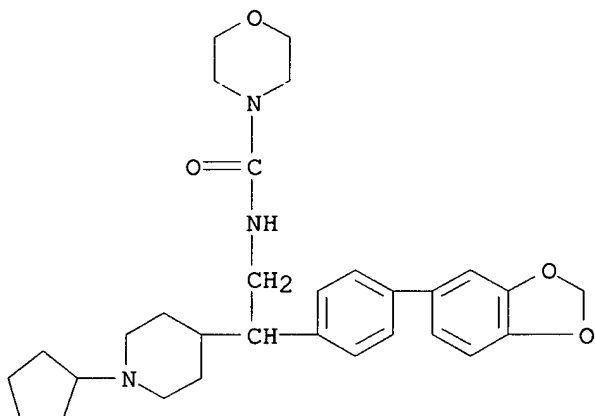
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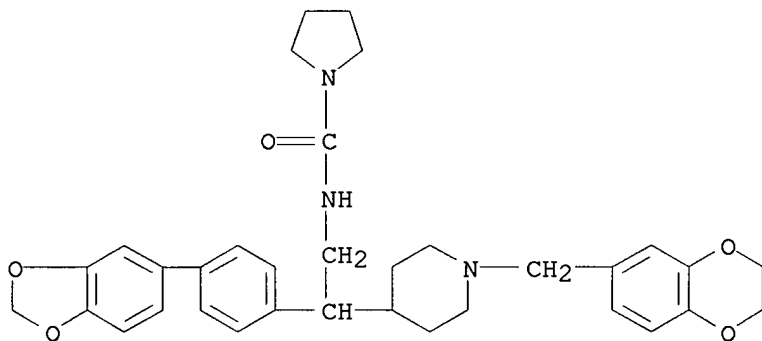
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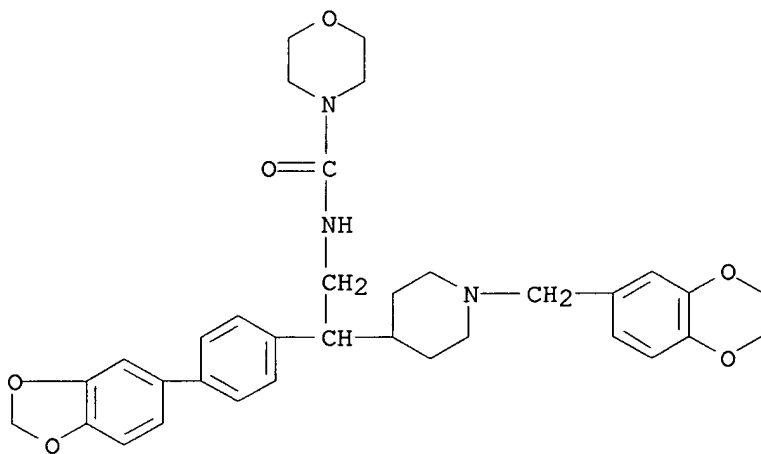
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CN 1-Pyrrolidinecarboxamide, N-[2-[4-(1,3-benzodioxol-5-yl)phenyl]-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidiny]ethyl]- (9CI) (CA INDEX NAME)



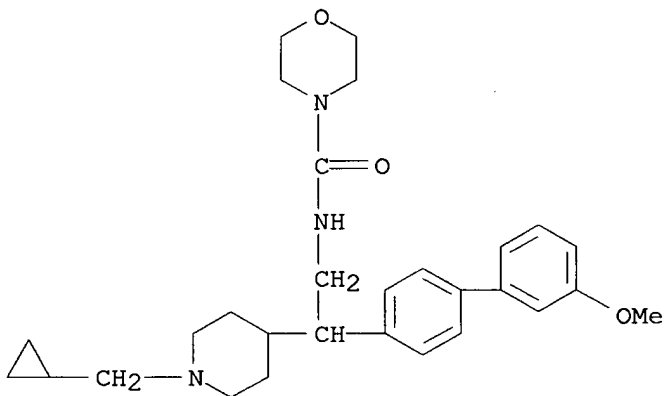
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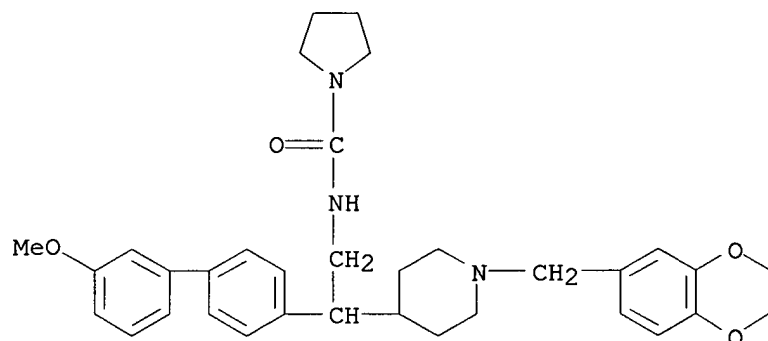
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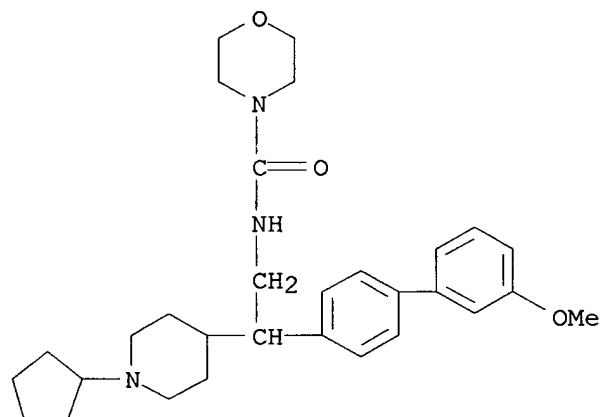
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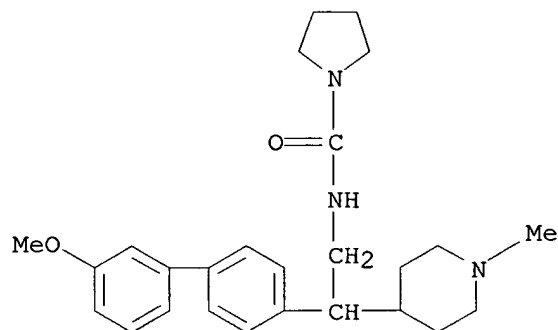
RN 464163-46-0 HCAPLUS

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RN 464163-82-4 HCAPLUS

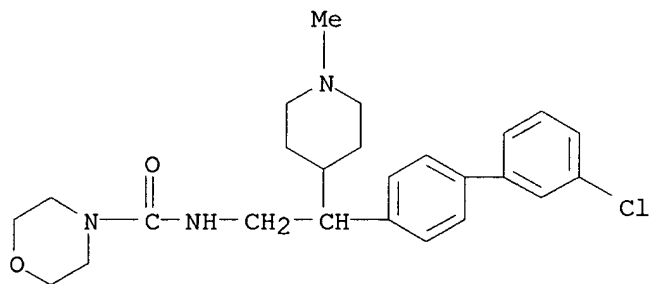
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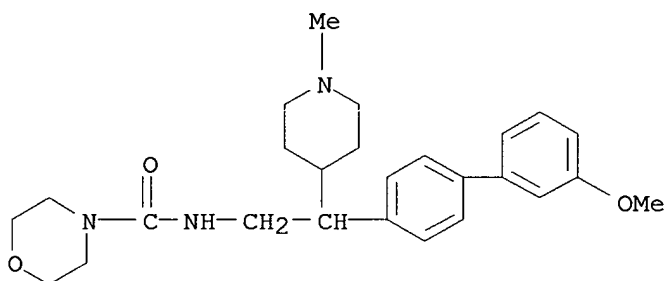
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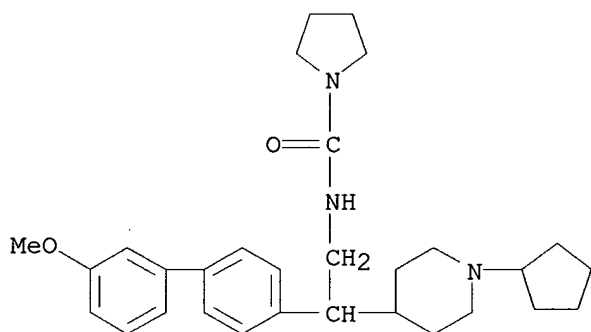
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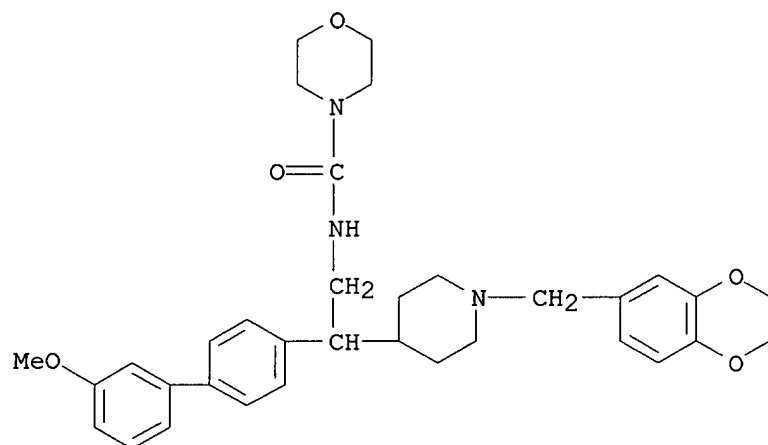
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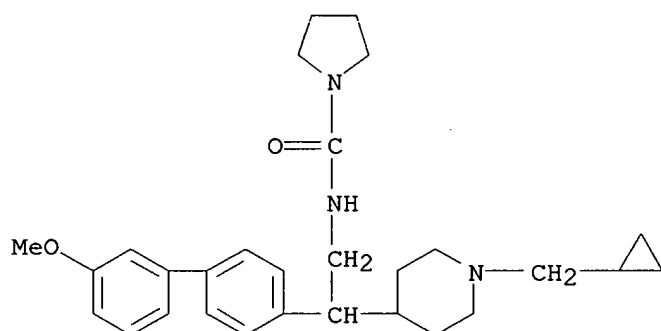
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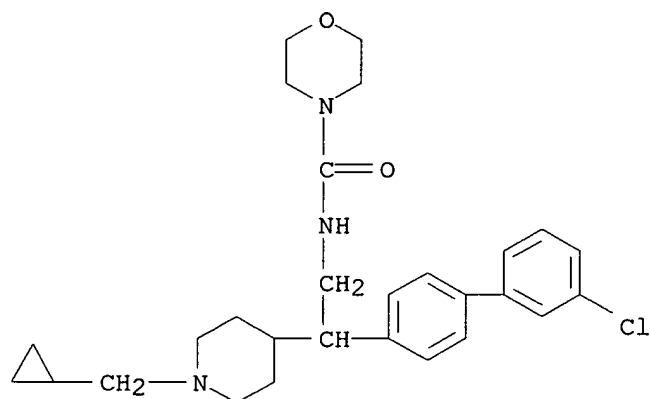
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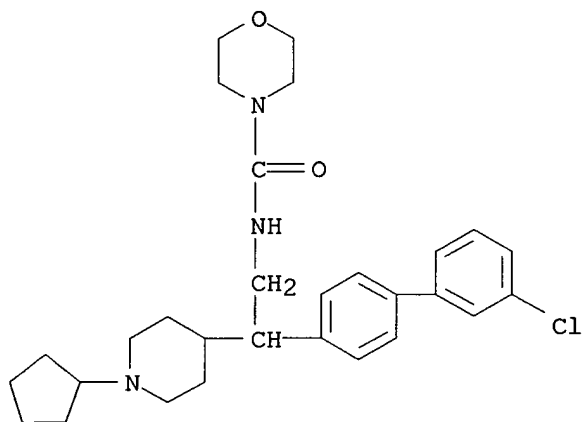
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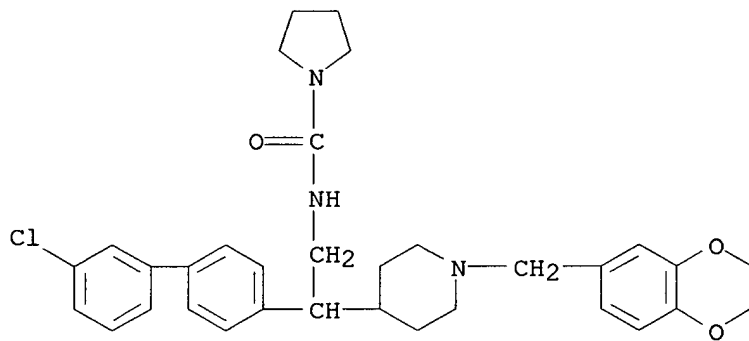
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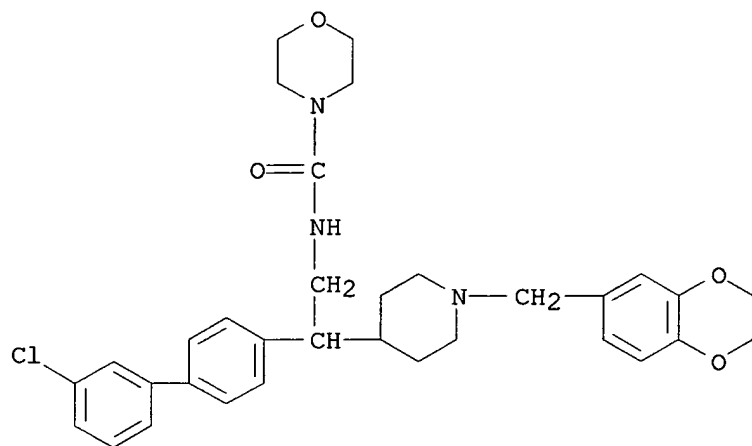
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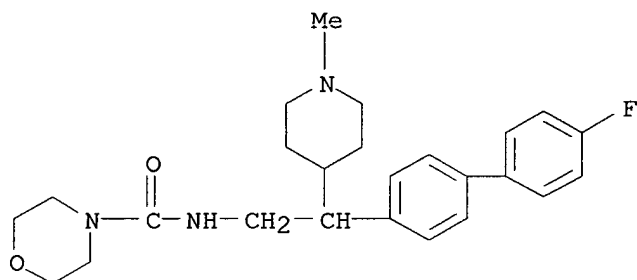
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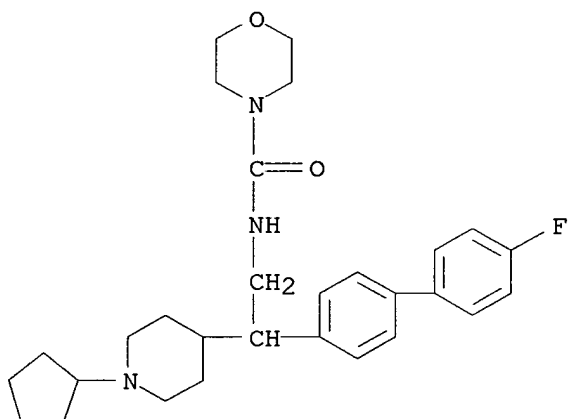
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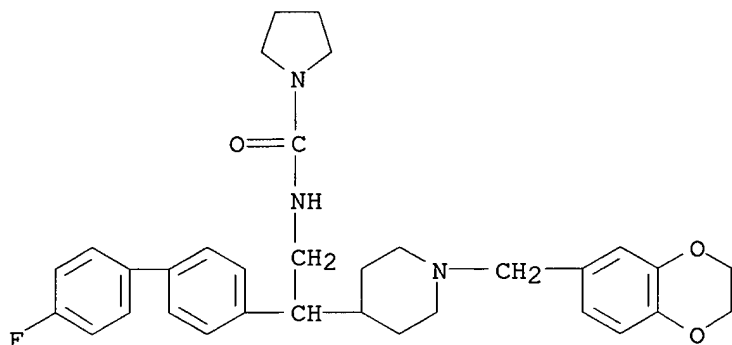


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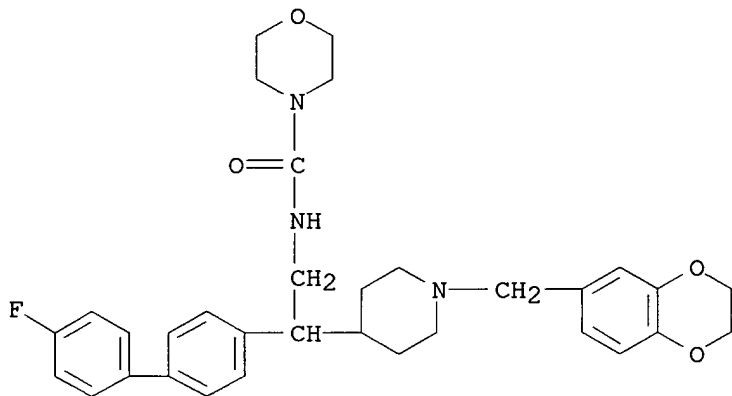
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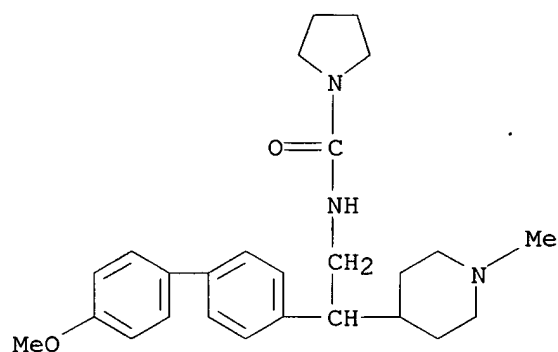
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 (CA INDEX NAME)



RN 464166-35-6 HCAPLUS
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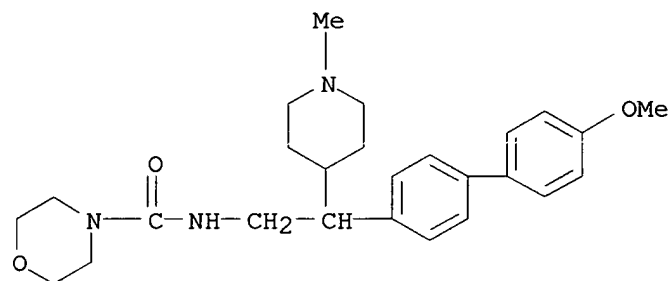


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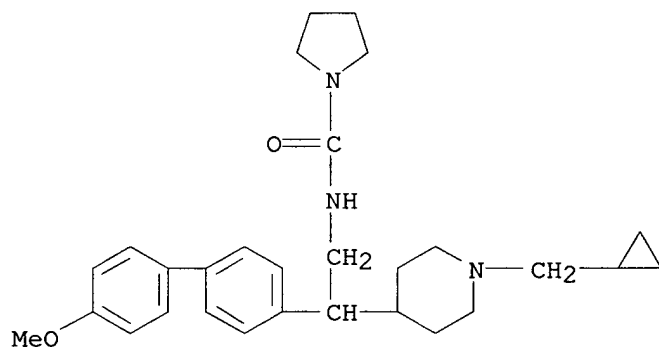
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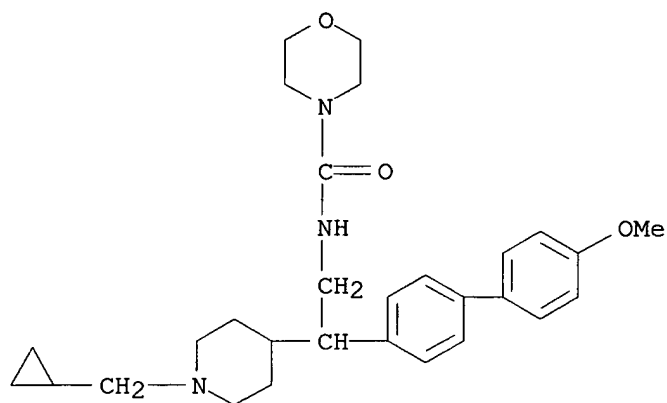
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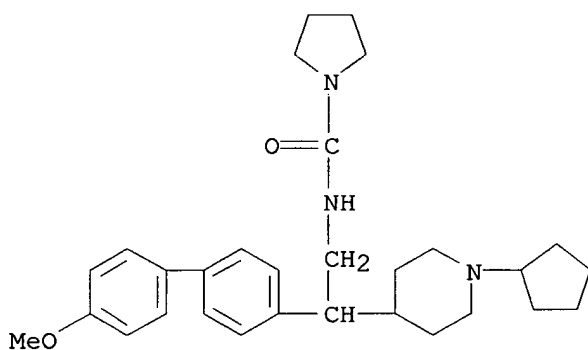
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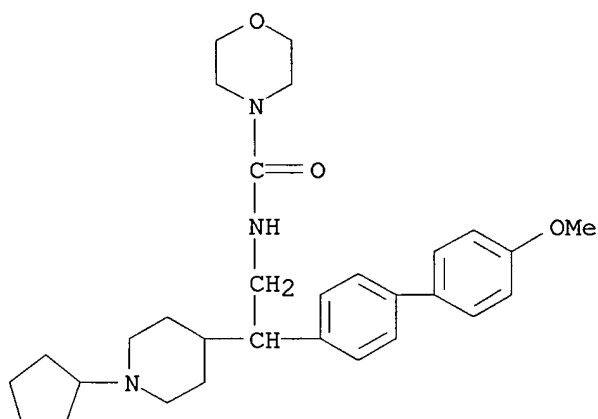
RN 464167-16-6 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-(1-cyclopentyl-4-piperidiny)-2-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)



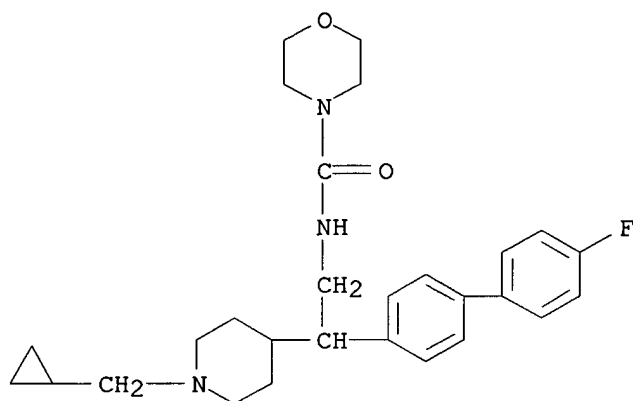
RN 464167-17-7 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(1-cyclopentyl-4-piperidiny)-2-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)



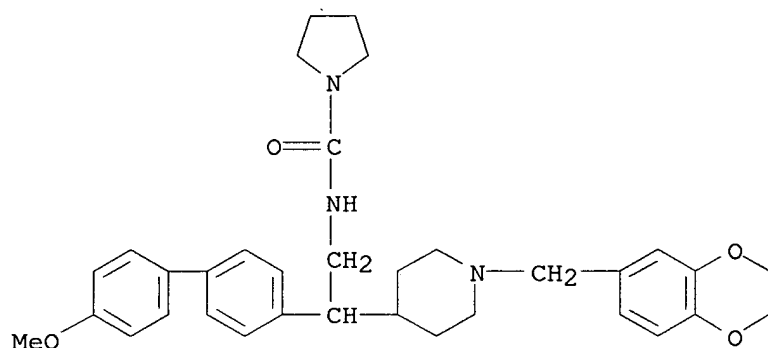
RN 464167-18-8 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[1-(cyclopropylmethyl)-4-piperidiny]-2-(4'-fluoro[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)



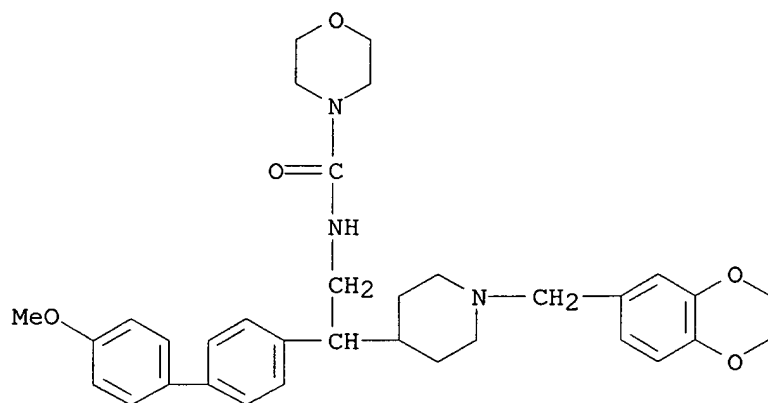
RN 464167-45-1 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidiny]-2-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)



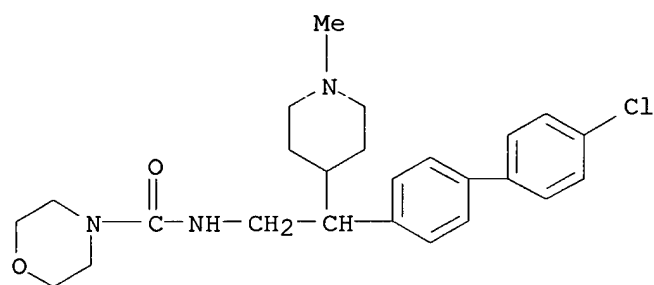
RN 464167-46-2 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidiny]-2-(4'-methoxy[1,1'-biphenyl]-4-yl)ethyl]- (9CI) (CA INDEX NAME)



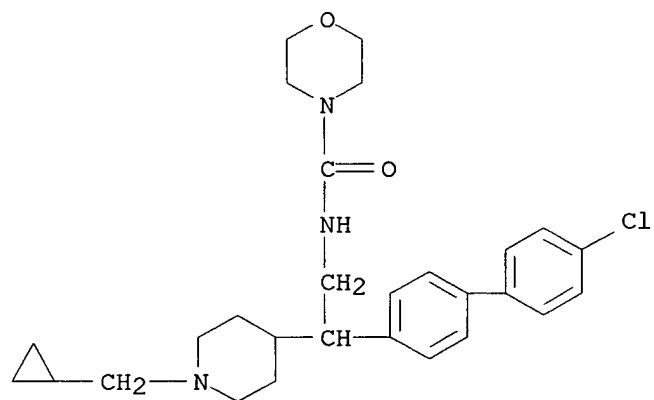
RN 464167-73-5 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-(1-methoxy-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



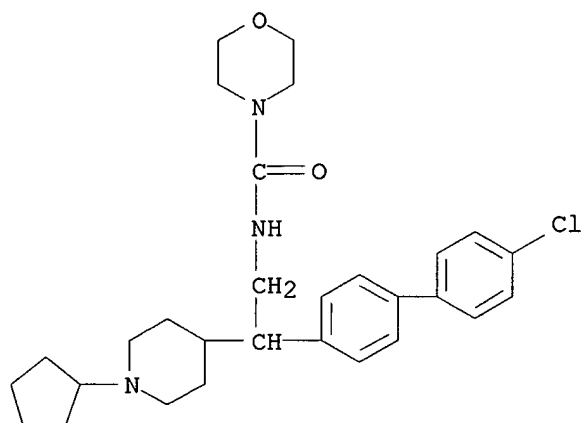
RN 464168-01-2 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-[1-(cyclopropylmethyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



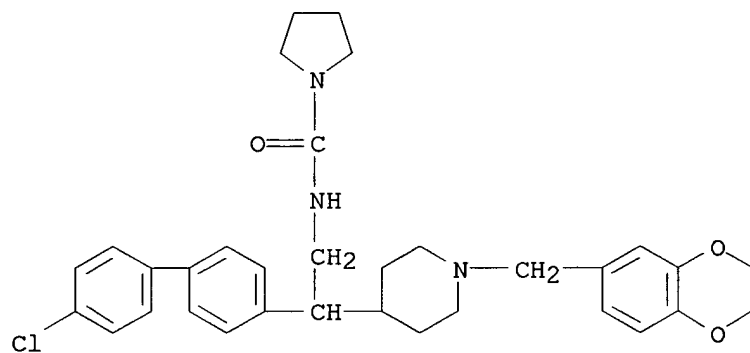
RN 464168-29-4 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-(1-cyclopentyl-4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



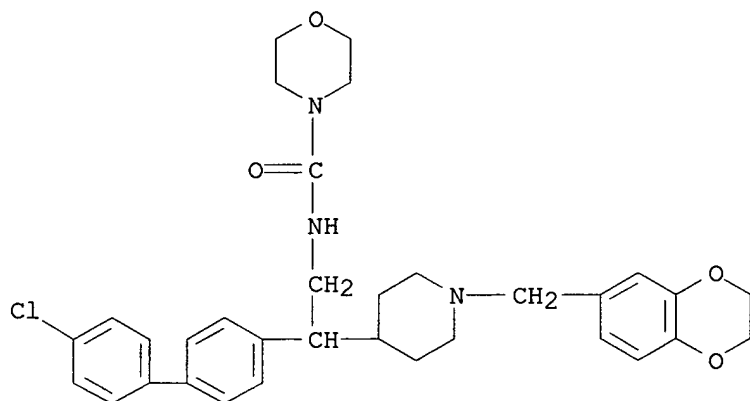
RN 464168-55-6 HCAPLUS

CN 1-Pyrrolidinecarboxamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 464168-56-7 HCAPLUS

CN 4-Morpholinecarboxamide, N-[2-(4'-chloro[1,1'-biphenyl]-4-yl)-2-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

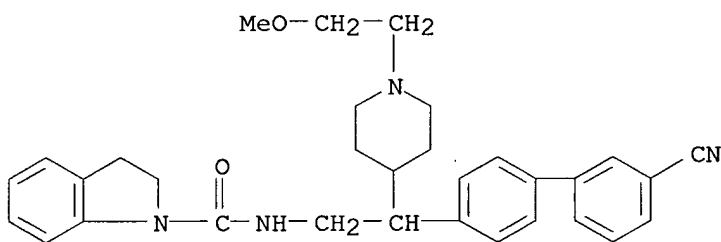
**IT 464159-49-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-[biaryl(piperidinyl)ethyl]-N'-arylureas and analogs as melanin-concg. hormone receptor antagonists)

RN 464159-49-7 HCAPLUS

CN 1H-Indole-1-carboxamide, N-[2-(3'-cyano[1,1'-biphenyl]-4-yl)-2-[1-(2-methoxyethyl)-4-piperidinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

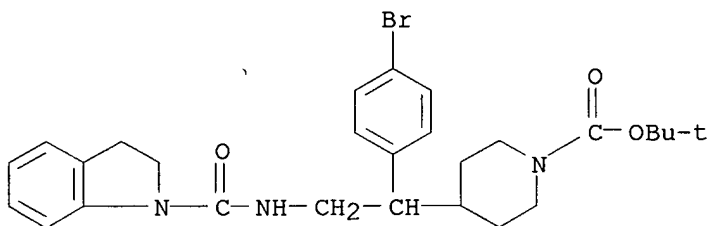
**IT 464169-10-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-[biaryl(piperidinyl)ethyl]-N'-arylureas and analogs as melanin-concg. hormone receptor antagonists)

RN 464169-10-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-(4-bromophenyl)-2-[[2-(2,3-dihydro-1H-indol-1-yl)carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:161119 HCAPLUS

DOCUMENT NUMBER: 132:203174

TITLE: Inhibitors of p38-.alpha. kinase, preparation thereof, and therapeutic use

INVENTOR(S): Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel, Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep; Schreiner, George F.; Liu, David Y.; Lewicki, John A.

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012074	A2	20000309	WO 1999-US19845	19990827
WO 2000012074	A3	20000831		
W:	AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2342251	AA	20000309	CA 1999-2342251	19990827
AU 9957936	A1	20000321	AU 1999-57936	19990827
EP 1107758	A2	20010620	EP 1999-945316	19990827
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9913654	A	20011127	BR 1999-13654	19990827
JP 2002523448	T2	20020730	JP 2000-567192	19990827
PRIORITY APPLN. INFO.:			US 1998-98219P	P 19980828
			US 1999-125343P	P 19990319
			US 1998-125343P	P 19990319
			WO 1999-US19845	W 19990827

OTHER SOURCE(S): MARPAT 132:203174

AB Methods are provided for treating conditions mediated by p38-.alpha. kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl, benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical compn. thereof. Prepn. of compds. is described. Compds. of the invention may be used to treat p38-.alpha. kinase-mediated conditions.

IT 260427-83-6

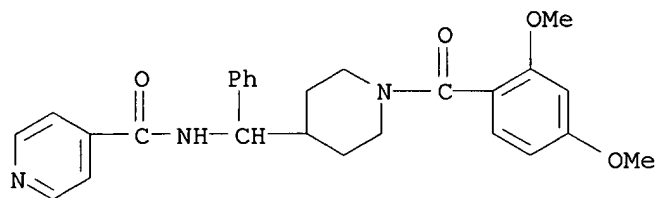
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(p38-.alpha. kinase inhibitors, prepn., and therapeutic use)

RN 260427-83-6 HCAPLUS

CN 4-Pyridinecarboxamide, N-[[1-(2,4-dimethoxybenzoyl)-4-piperidiny]phenylmethyl]- (9CI) (CA INDEX NAME)



L16 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:460399 HCAPLUS

DOCUMENT NUMBER: 131:87814

TITLE: Indole derivatives as inhibitors of factor Xa, and their preparation and use as anticoagulants

INVENTOR(S): Defossa, Elisabeth; Heinelt, Uwe; Klingler, Otmar; Zoller, Gerhard; Al-Obeidi, Fahad; Walser, Armin; Wildgoose, Peter; Matter, Hans

PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 199 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933800	A1	19990708	WO 1998-EP8030	19981210
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2316172	AA	19990708	CA 1998-2316172	19981210
AU 9920528	A1	19990719	AU 1999-20528	19981210
AU 743881	B2	20020207		
BR 9814340	A	20001003	BR 1998-14340	19981210
EP 1042287	A1	20001011	EP 1998-965244	19981210
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI			
JP 2001527066	T2	20011225	JP 2000-526484	19981210
ZA 9811759	A	19990728	ZA 1998-11759	19981222
NO 2000003057	A	20000818	NO 2000-3057	20000614
US 6337344	B1	20020108	US 2000-582344	20000814
PRIORITY APPLN. INFO.:			EP 1997-122901	A 19971224

WO 1998-EP8030 W 19981210

OTHER SOURCE(S): MARPAT 131:87814

AB The invention relates to the inhibition of blood clotting proteins, and more particularly, to indole derivs. or their physiol. acceptable salts which effect this, having formula I [R1 groups = H, halo, alkyl, CF3, (un)substituted Ph or phenylalkoxy, etc., with .gtoreq.2 of R1 being H; .gtoreq.1 of R2 and R3 = (CH2)0-2CO2H or derivs., other = H, F, Cl, Br, or alkyl; or R2R3 = CH2CH2N(COPh)CH2 or analogs; A = bond, alk(en/yn)ylene, CO, SO, SO2, etc.; R4 = (un)substituted Ph, pyridyl, or other heterocyclyl]. I are inhibitors of the blood clotting enzyme factor Xa. The invention also relates to processes for the prepn. of I, to methods of inhibiting factor Xa activity and blood clotting, to use of I in the treatment and prophylaxis of assocd. (e.g., thromboembolic) diseases, and to the use of I in the prepn. of related medicaments. The invention further relates to compns. contg. I, in particular pharmaceutical compns. contg. a compd. I and pharmaceutically acceptable carriers and/or auxiliary substances. Over 160 compds. I were prepd. For instance, 1H-indole-2-carboxylic acid Et ester underwent a 5-step sequence to give title salt II. This prepn. involved (1) N-alkylation with 3-cyanobenzyl bromide, (2) alk. hydrolysis of the ester, (3) amidation with 4-(Me2N)C6H4CH2NH2.2HCl, (4) conversion of the nitrile to a thioamide, and (5) quaternization at dimethylamino, and ammonolysis of the thioamide to an amidine. In an assay using human factor Xa in vitro, II had a Ki value of 0.090 .mu.M.

IT 229950-62-3P 229951-92-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of indole derivs. as inhibitors of factor Xa)

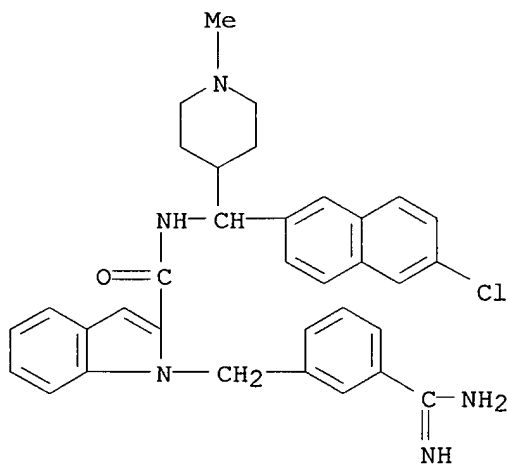
RN 229950-62-3 HCAPLUS

CN 1H-Indole-2-carboxamide, 1-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(6-chloro-2-naphthalenyl)(1-methyl-4-piperidinyl)methyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 229950-61-2

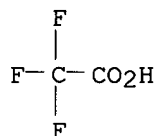
CMF C34 H34 Cl N5 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



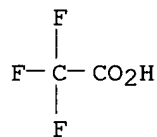
RN 229951-92-2 HCAPLUS

CN Piperidinium, 4-[[[1-[[3-(aminoiminomethyl)phenyl]methyl]-1H-indol-2-yl]carbonyl]amino](6-chloro-2-naphthalenyl)methyl]-1,1-dimethyl-, salt with trifluoroacetic acid (1:1), mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 76-05-1

CMF C2 H F3 O2



CM 2

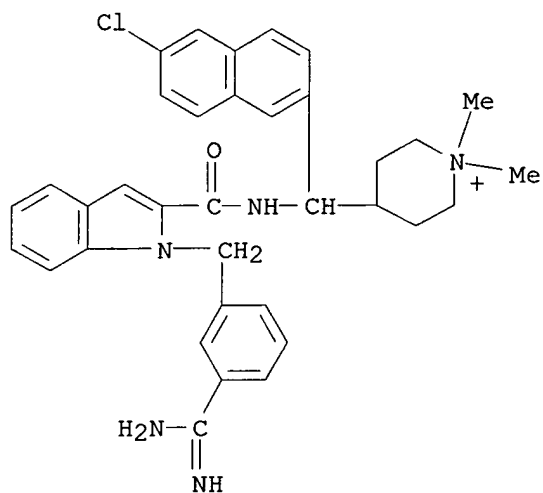
CRN 229951-91-1

CMF C35 H37 Cl N5 O . C2 F3 O2

CM 3

CRN 229951-90-0

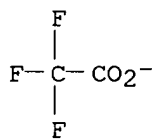
CMF C35 H37 Cl N5 O



CM 4

CRN 14477-72-6

CMF C2 F3 O2



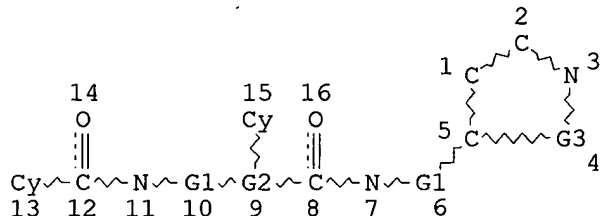
REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d que

L1 STR



REP G1=(0-4) CH2

VAR G2=CH/N

REP G3=(1-2) CH2

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 1

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L2 2725719 SEA FILE=REGISTRY ABB=ON PLU=ON NRS>2 AND N>2 AND O>1

L3 760356 SEA FILE=REGISTRY ABB=ON PLU=ON L2 AND (NC4/ES OR NC5/ES)

L5 172 SEA FILE=REGISTRY SUB=L3 SSS FUL L1

L6 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L5

=> d ibib ab hitstr 1-8

L6 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:242871 HCAPLUS

DOCUMENT NUMBER: 137:17075

TITLE: Prime Site Binding Inhibitors of a Serine Protease: NS3/4A of Hepatitis C Virus

AUTHOR(S): Ingallinella, Paolo; Fattori, Daniela; Altamura, Sergio; Steinkuehler, Christian; Koch, Uwe; Cicero, Daniel; Bazzo, Renzo; Cortese, Riccardo; Bianchi, Elisabetta; Pessi, Antonello

CORPORATE SOURCE: IRBM P. Angeletti, Pomezia (Rome), 00040, Italy

SOURCE: Biochemistry (2002), 41(17), 5483-5492

CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Serine proteases are the most studied class of proteolytic enzymes and a primary target for drug discovery. Despite the large no. of inhibitors developed so far, very few make contact with the prime site of the enzyme, which constitutes an almost untapped opportunity for drug design. In the course of our studies on the serine protease NS3/4A of hepatitis C virus (HCV), we found that this enzyme is an excellent example of both the opportunities and the challenges of such design. We had previously reported on two classes of peptide inhibitors of the enzyme: (a) product inhibitors, which include the P6-P1 region of the substrate and derive

much of their binding energy from binding of their C-terminal carboxylate in the active site, and (b) decapeptide inhibitors, which span the S6-S4' subsites of the enzyme, whose P2'-P4' tripeptide fragment crucially contributes to potency. Here we report on further work, which combined the key binding elements of the two series and led to the development of inhibitors binding exclusively to the prime site of NS3/4A. We prepd. a small combinatorial library of tripeptides, capped with a variety of constrained and unconstrained diacids. The SAR was derived from multiple analogs of the initial micromolar lead. Binding of the inhibitor(s) to the enzyme was further characterized by CD, site-directed mutagenesis, a probe displacement assay, and NMR to unequivocally prove that, according to our design, the bound inhibitor(s) occupies (occupy) the S' subsite and the active site of the protease. In addn., on the basis of the information collected, the tripeptide series was evolved toward reduced peptide character, reduced mol. wt., and higher potency. Beyond their interest as HCV antivirals, these compds. represent the first example of prime site inhibitors of a serine protease. We further suggest that the design of an inhibitor with an analogous binding mode may be possible for other serine proteases.

IT 433291-33-9P

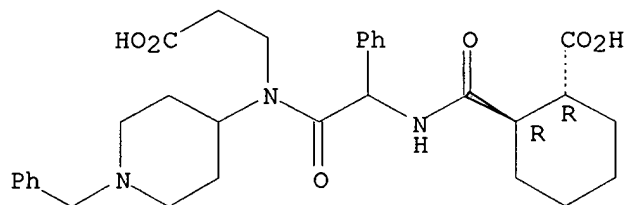
RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation); PRP (Properties); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)

(prime site binding inhibitors of serine protease NS3/4A of hepatitis C Virus)

RN 433291-33-9 HCAPLUS

CN .beta.-Alanine, N-[[[(1R,2R)-2-carboxycyclohexyl]carbonyl]-2-phenylglycyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:237356 HCAPLUS

DOCUMENT NUMBER: 136:263090

TITLE: Preparation of cyclic amine derivatives for inhibition of the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells

INVENTOR(S): Shiota, Tatsuki; Kataoka, Ken-Ichiro; Imai, Minoru; Tsutsumi, Takaharu; Sudoh, Masaki; Sogawa, Ryo; Morita, Takuya; Hada, Takahiko; Muroga, Yumiko; Takenouchi, Osami; Furuya, Minoru; Endo, Noriaki; Tarby, Christine M.; Moree, Wilna; Teig, Steven

PATENT ASSIGNEE(S): Teijin Limited, Japan; Dupont Pharmaceuticals Research Laboratories

SOURCE: U.S., 364 pp., Cont. of U.S. Ser. No. 554,562.

CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6362177	B1	20020326	US 2001-905078	20010716
US 6451842	B1	20020917	US 2000-554562	20000516
US 6410566	B1	20020625	US 2001-905077	20010716

PRIORITY APPLN. INFO.:
 US 2000-554562 A3 20000516
 US 1997-972484 B1 19971118
 US 1998-55285 B1 19980406
 US 1998-133434 B1 19980813
 WO 1998-US23254 W 19981117

OTHER SOURCE(S): MARPAT 136:263090

AB The title compds. [I; R1 = (un)substituted Ph, cycloalkyl, heteroaryl, etc.; R2 = H, alkyl, alkoxy carbonyl, etc.; j = 0-2; k = 0-2; m = 3-4 and k+m = 5 or 6; n = 0-1; R3 = H, alkyl; R4, R5 = H, OH, Ph, etc.; p, q = 0-1; G = CO, SO, CO₂, etc.; R6 = Ph, cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable acid addn. salts which inhibit the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells and may be useful as a therapeutic drug and/or preventative drug in diseases, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissues, were prep'd. Thus, reaction of N-benzoylglycine with 3-amino-1-(4-chlorobenzyl)pyrrolidine.2HCl in the presence of 3-ethyl-1-[3-(dimethylaminopropyl)]carbodiimide.HCl, 1-hydroxybenzotriazole and Et₃N in CHCl₃ afforded 95% II which showed 50-80% inhibition of MIP-1.alpha. binding to THP-1 cells at 10 .mu.M.

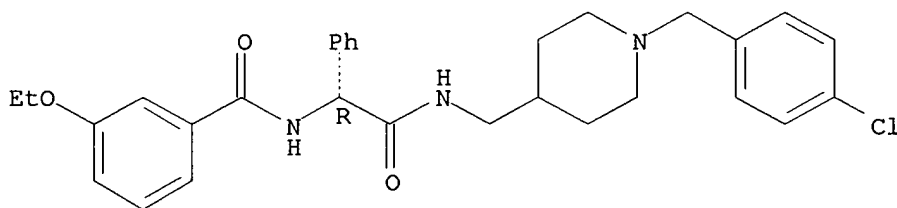
IT **226248-06-2P**, Benzeneacetamide, N-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl]-.alpha.-[(3-ethoxybenzoyl)amino]-, (.alpha.R)-
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. for inhibition of action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells)

RN 226248-06-2 HCAPLUS

CN Benzeneacetamide, N-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl]-.alpha.-[(3-ethoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:923765 HCAPLUS
 DOCUMENT NUMBER: 136:37947
 TITLE: Preparation of amino acid derivatives as serine
 protease inhibitors
 INVENTOR(S): Liebeschuetz, John Walter; Murray, Christopher
 William; Young, Stephen Clinton; Camp, Nicholas Paul;
 Jones, Stuart Donald; Wylie, William Alexander;
 Masters, John Joseph; Wiley, Michael Robert; Sheehan,
 Scott Martin; Engel, David Birenbaum; Watson, Brian
 Morgan
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 188 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 12
 PATENT INFORMATION:

Applicants

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096303	A1	20011220	WO 2001-GB2551	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			WO 2000-GB2302	W 20000613
			GB 2000-30305	A 20001213
			GB 1999-13823	A 19990614
			US 1999-142064P	P 19990702
			GB 1999-18741	A 19990809
			GB 1999-29553	A 19991214

OTHER SOURCE(S): MARPAT 136:37947

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 is a 5- or 6-membered arom. carbon ring
 optionally interrupted by a N, O or S ring atom, optionally substituted at
 the 3 and/or 4 position or forms a fused ring system at these positions,
 which is an optionally substituted 5- or 6-membered carbocyclic or
 heterocyclic ring, or substituted at the position alpha to X-X; X is a C,
 N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents
 H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl,
 alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino,
 acyloxymethoxycarbonyl or alkylamino optionally substituted by OH,

alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; -Lp(D)n is 1-[R10-(Lb)u-(G)t-(La)s]-3-pyrrolidinyl or -4-piperidinyl, where s, t and u = 0 or 1; La and Lb is a single bond, CO, O, NH or alkylimino; G = alkanediyl; R10 = alkyl, cycloalkyl, indanyl, pyridyl, tetrahydropyranyl, (un)substituted Ph, etc.] or their physiol.-tolerable salts were prepd. for use as serine protease and factor Xa inhibitors in the treatment of cardiovascular disorders. Comps. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 4-[(4-methoxybenzoyl-D-phenylglyciny]aminomethyl]-1-isopropylpiperidine was prepd. in the first of 106 examples.

IT 313488-55-0P 313488-56-1P 313488-57-2P
 313488-58-3P 313488-59-4P 313488-60-7P
 313488-61-8P 313488-62-9P 313488-63-0P
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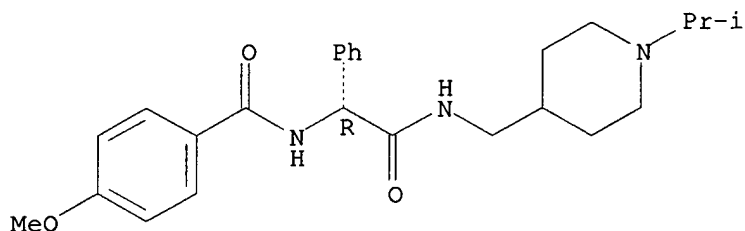
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313488-55-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

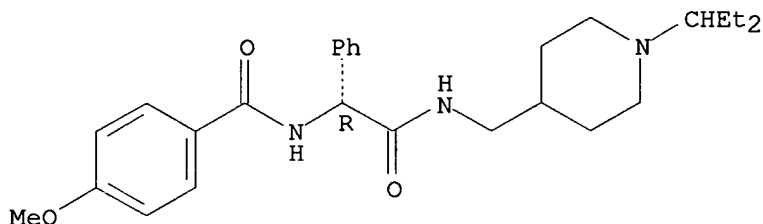
Absolute stereochemistry.



RN 313488-56-1 HCAPLUS

CN Benzeneacetamide, N-[[1-(1-ethylpropyl)-4-piperidinyl]methyl]-.alpha.-(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

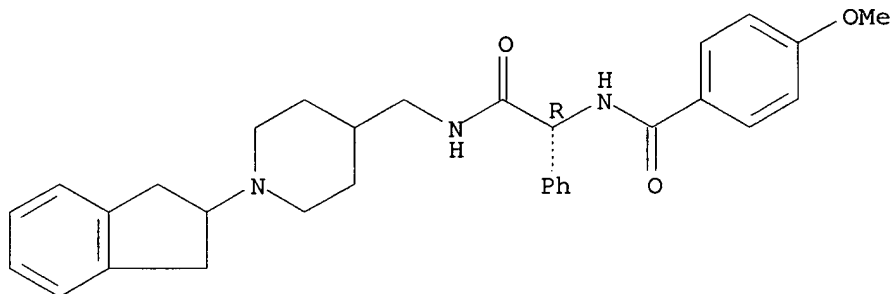
Absolute stereochemistry.



RN 313488-57-2 HCAPLUS

CN Benzeneacetamide, N-[[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]methyl]-.alpha.-(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

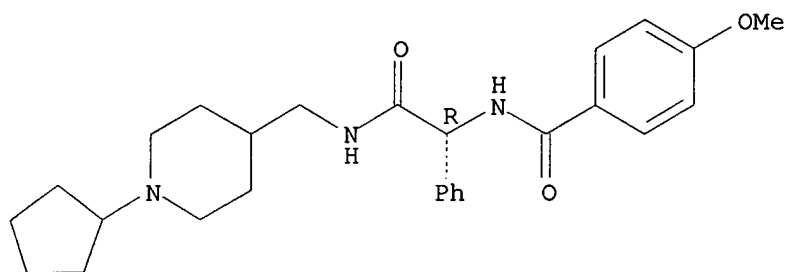
Absolute stereochemistry.



RN 313488-58-3 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclopentyl-4-piperidinyl)methyl]-.alpha.-(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

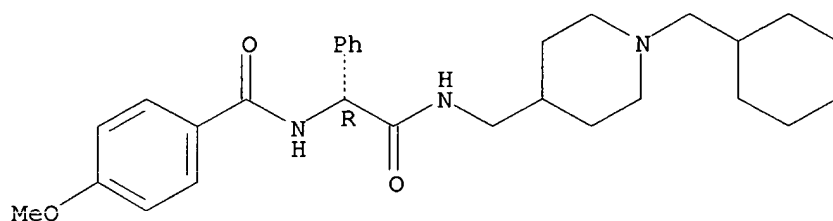
Absolute stereochemistry.



RN 313488-59-4 HCAPLUS

CN Benzeneacetamide, N-[[1-(cyclohexylmethyl)-4-piperidiny]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

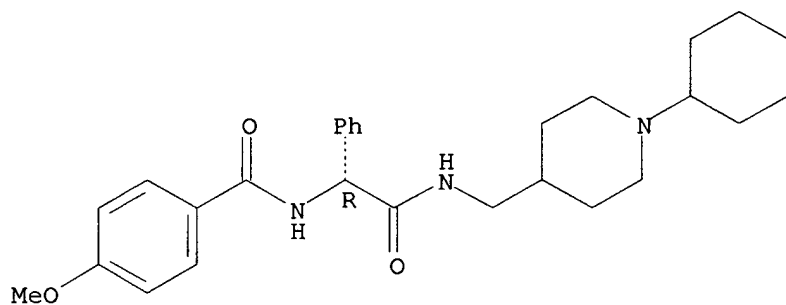
Absolute stereochemistry.



RN 313488-60-7 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclohexyl-4-piperidiny)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

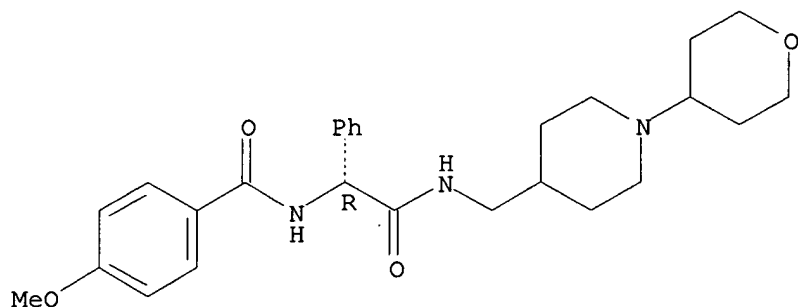
Absolute stereochemistry.



RN 313488-61-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidiny]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

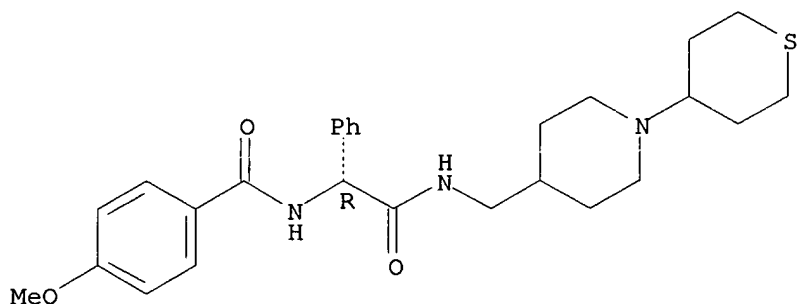
Absolute stereochemistry.



RN 313488-62-9 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

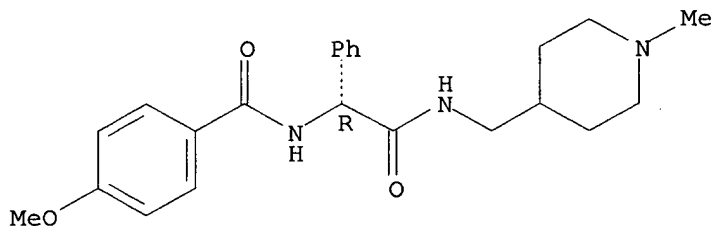
Absolute stereochemistry.



RN 313488-63-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[(1-methyl-4-piperidinyl)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

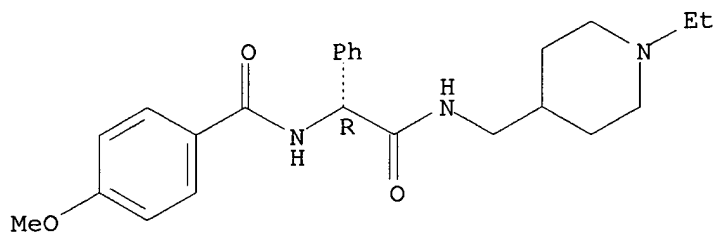
Absolute stereochemistry.



RN 313488-64-1 HCAPLUS

CN Benzeneacetamide, N-[(1-ethyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

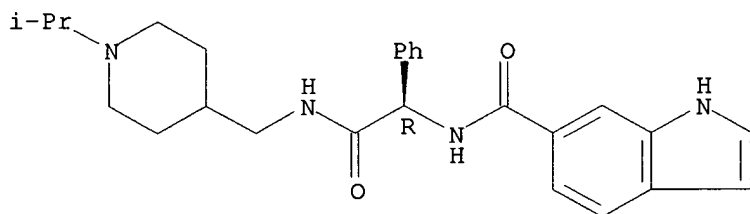
Absolute stereochemistry.



RN 313488-65-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

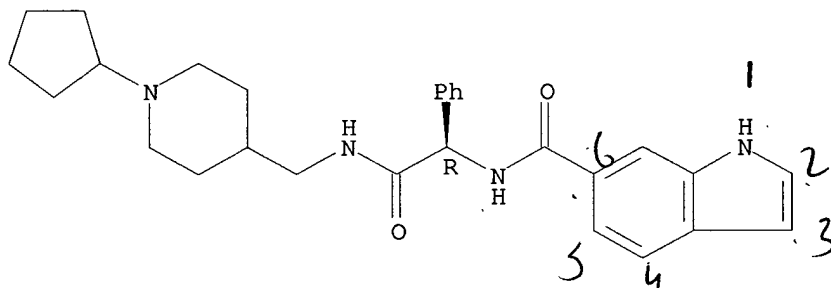
Absolute stereochemistry.



RN 313488-66-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-cyclopentyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

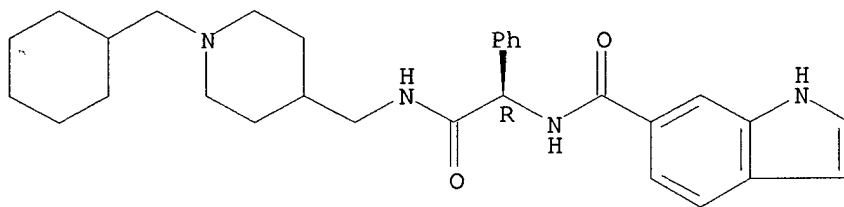
Absolute stereochemistry.



RN 313488-67-4 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-cyclohexylmethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

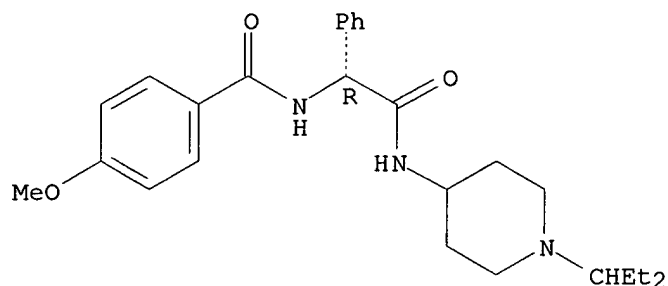
Absolute stereochemistry.



RN 313488-68-5 HCAPLUS

CN Benzeneacetamide, N-[1-(1-ethylpropyl)-4-piperidiny]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

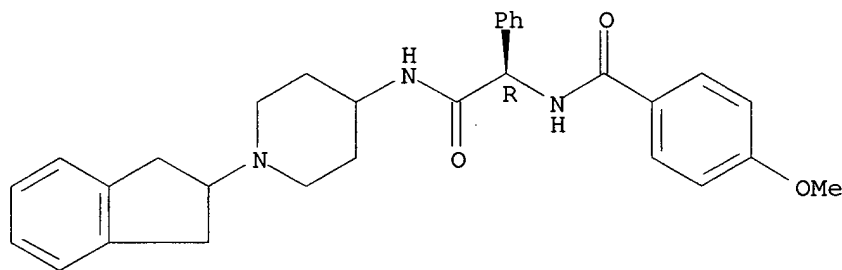
Absolute stereochemistry.



RN 313488-69-6 HCAPLUS

CN Benzeneacetamide, N-[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidiny]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

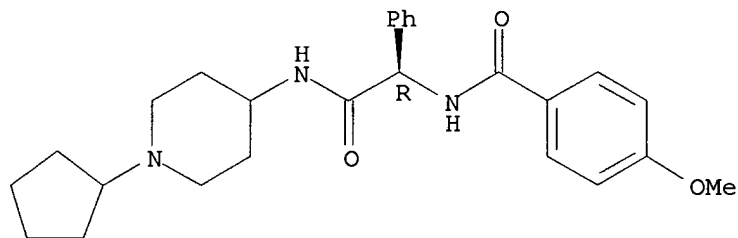
Absolute stereochemistry.



RN 313488-70-9 HCAPLUS

CN Benzeneacetamide, N-(1-cyclopentyl-4-piperidiny)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

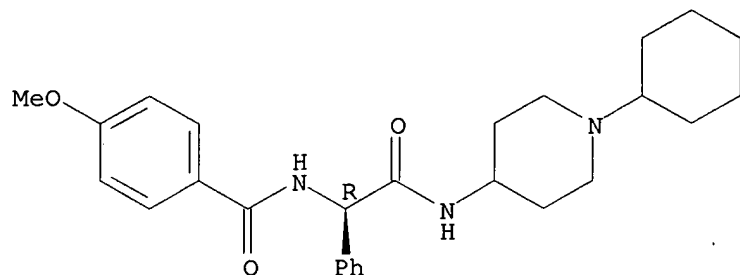
Absolute stereochemistry.



RN 313488-71-0 HCAPLUS

CN Benzeneacetamide, N-(1-cyclohexyl-4-piperidiny)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

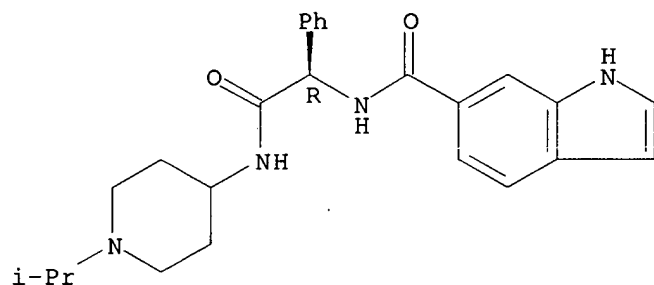
Absolute stereochemistry.



RN 313488-72-1 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(1-methylethyl)-4-piperidinyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

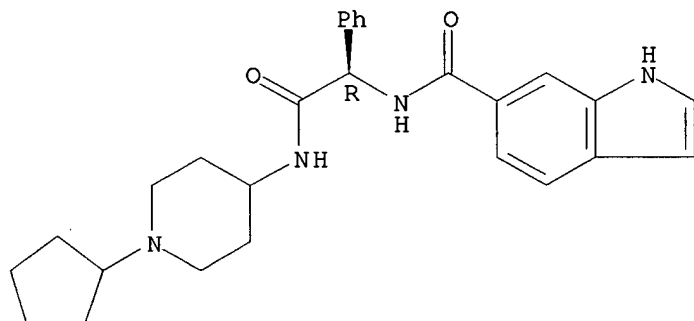
Absolute stereochemistry.



RN 313488-73-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[(1-cyclopentyl-4-piperidinyl)amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

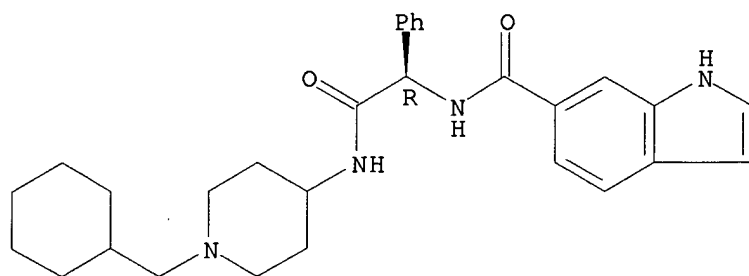
Absolute stereochemistry.



RN 313488-74-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(cyclohexylmethyl)-4-piperidinyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

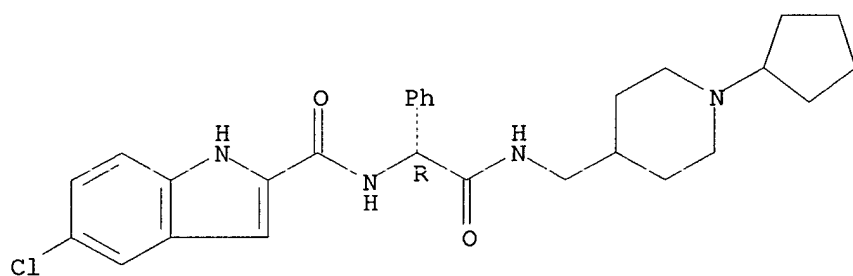
Absolute stereochemistry.



RN 313489-06-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[[[1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

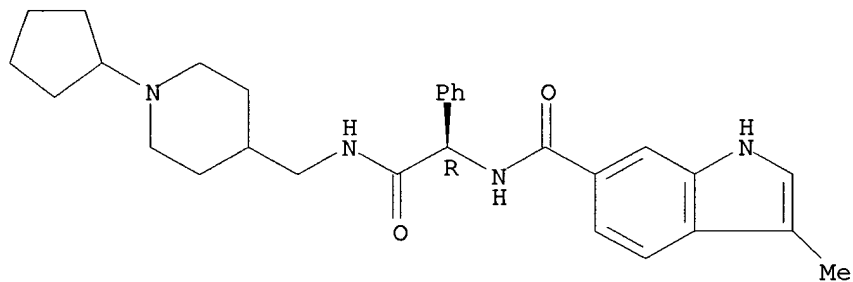
Absolute stereochemistry.



RN 313489-07-5 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

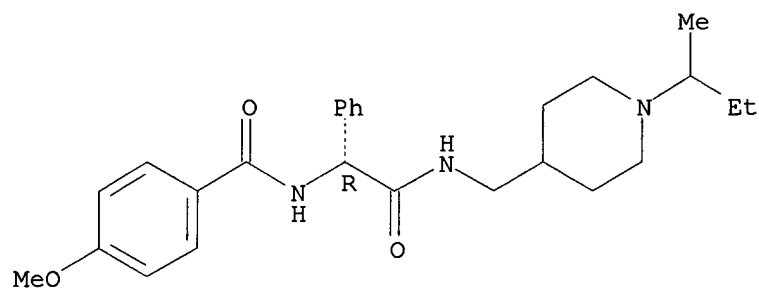
Absolute stereochemistry.



RN 380899-61-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylpropyl)-4-piperidinyl)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

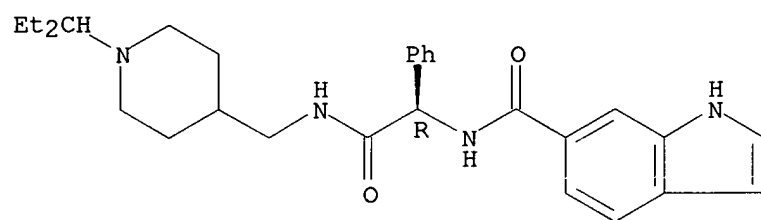
Absolute stereochemistry.



RN 380899-62-7 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-ethylpropyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

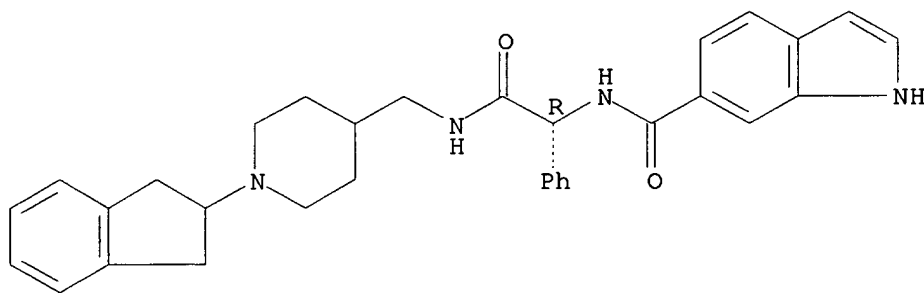
Absolute stereochemistry.



RN 380899-63-8 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

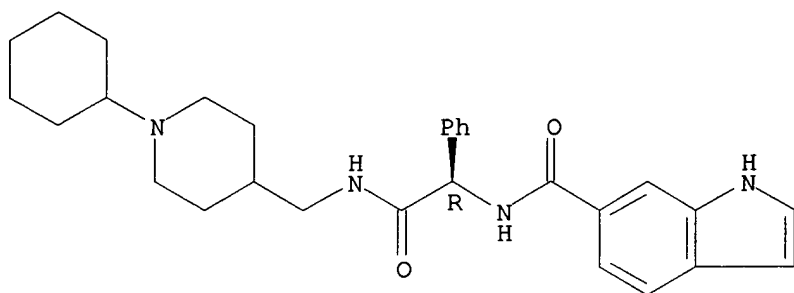
Absolute stereochemistry.



RN 380899-64-9 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(cyclohexyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

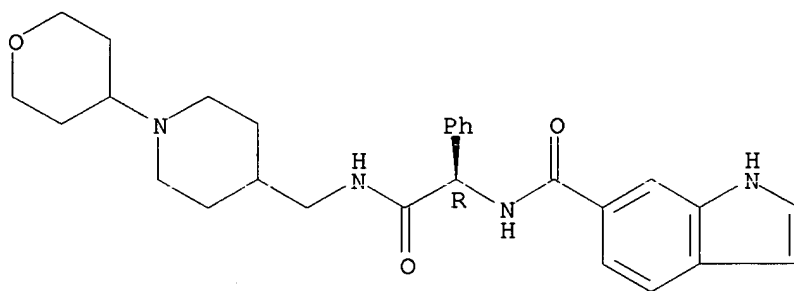
Absolute stereochemistry.



RN 380899-65-0 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

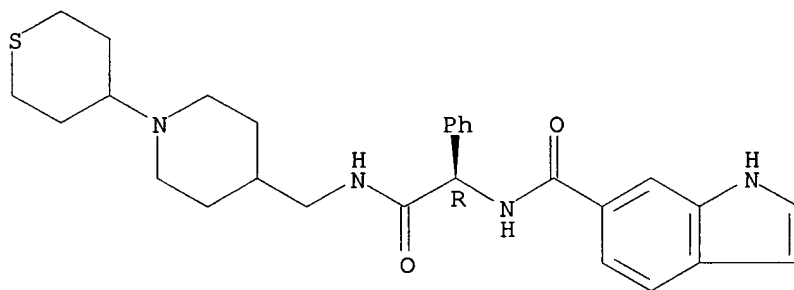
Absolute stereochemistry.



RN 380899-66-1 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

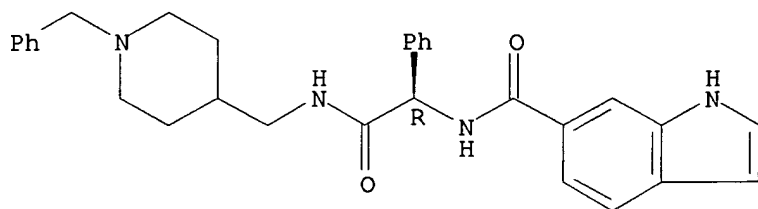
Absolute stereochemistry.



RN 380899-67-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[[[1-(phenylmethyl)-4-piperidinyl]methyl]amino]ethyl]- (9CI) (CA INDEX NAME)

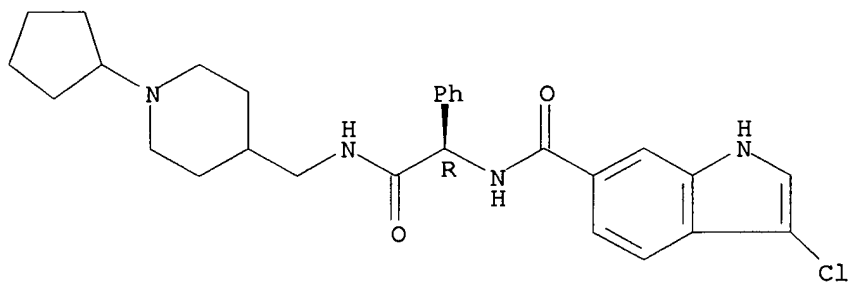
Absolute stereochemistry.



RN 380899-68-3 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[[[1-(4-cyclopentyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380899-70-7 HCAPLUS

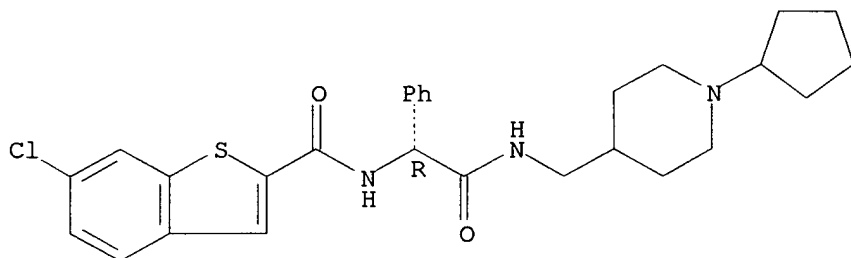
CN Benzo[b]thiophene-2-carboxamide, 6-chloro-N-[(1R)-2-[[[1-(4-cyclopentyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-69-4

CMF C28 H32 Cl N3 O2 S

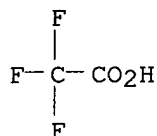
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

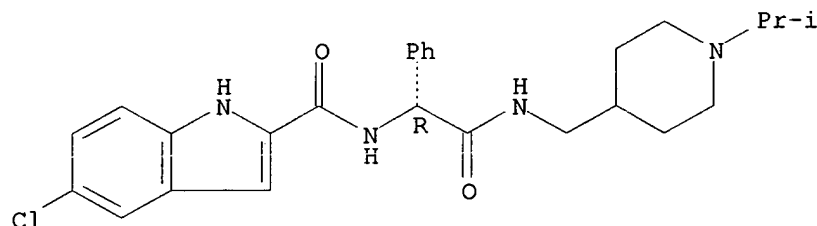


RN 380899-72-9 HCAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

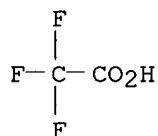
CRN 380899-71-8
 CMF C26 H31 Cl N4 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

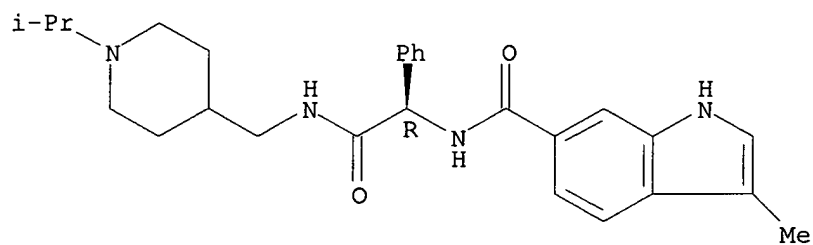


RN 380899-74-1 HCAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-73-0
 CMF C27 H34 N4 O2

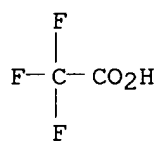
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 380899-76-3 HCAPLUS

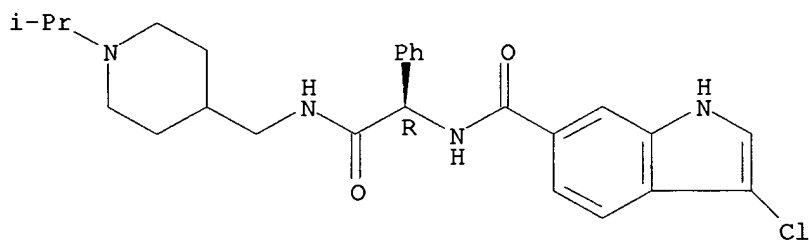
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidiny]methyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 380899-75-2

CMF C26 H31 Cl N4 O2

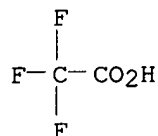
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

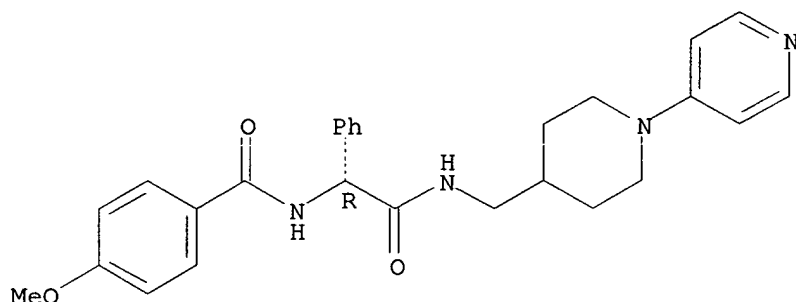


RN 380899-78-5 HCAPLUS
 CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(4-pyridinyl)-4-piperidinyl]methyl]-, (.alpha.R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

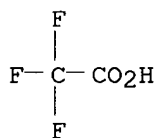
CRN 380899-77-4
 CMF C27 H30 N4 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

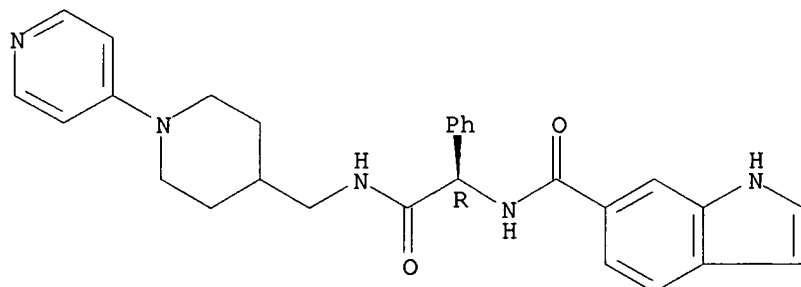


RN 380899-80-9 HCAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-79-6
 CMF C28 H29 N5 O2

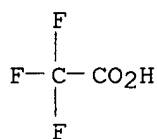
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 380899-82-1 HCAPLUS

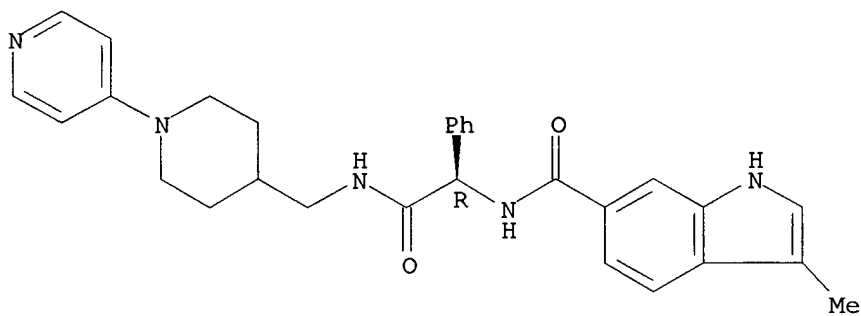
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-oxo-1-phenyl-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]ethyl]-, mono(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 380899-81-0

CMF C29 H31 N5 O2

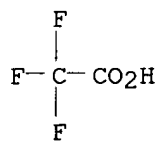
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 380899-84-3 HCAPLUS

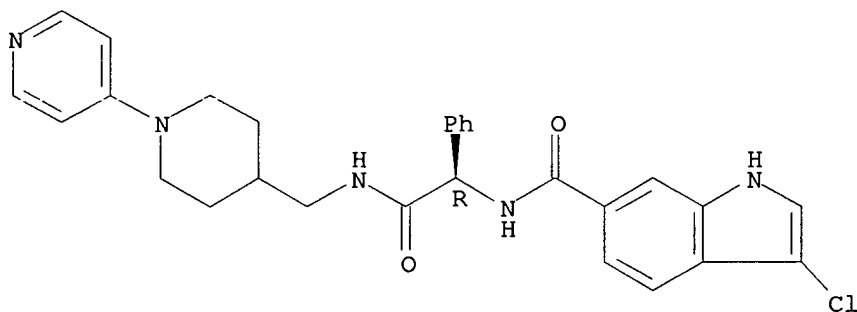
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]ethyl]-, mono(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 380899-83-2

CMF C28 H28 Cl N5 O2

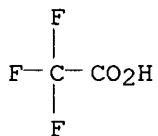
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 380899-86-5 HCAPLUS

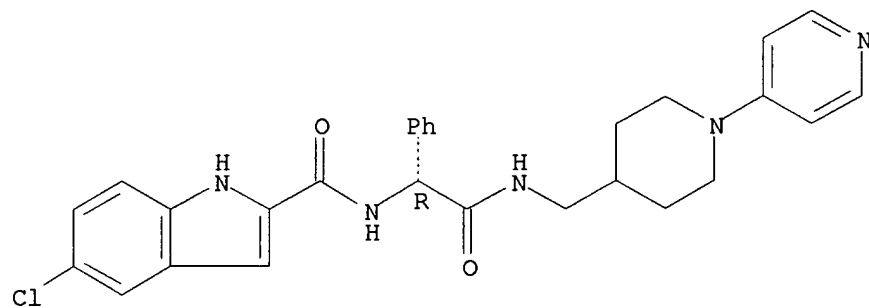
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-oxo-1-phenyl-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]ethyl]-, mono(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 380899-85-4

CMF C28 H28 Cl N5 O2

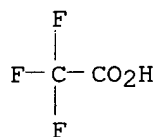
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 380899-88-7 HCAPLUS

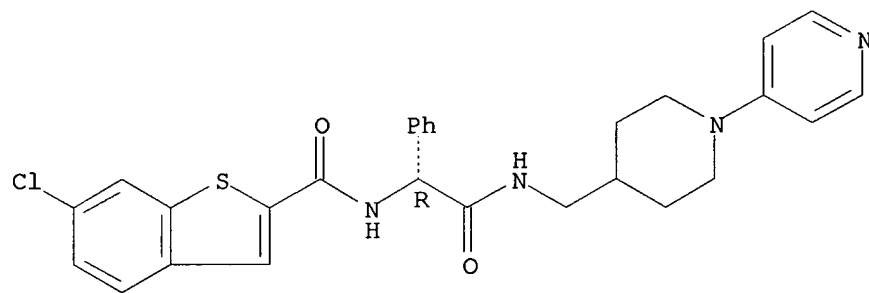
CN Benzo[b]thiophene-2-carboxamide, 6-chloro-N-[(1R)-2-oxo-1-phenyl-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-87-6

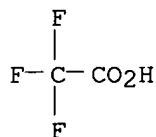
CMF C28 H27 Cl N4 O2 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

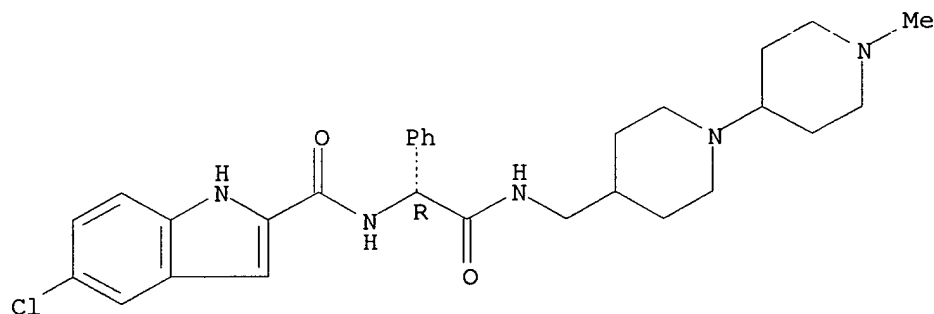


RN 380899-90-1 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[[[1'-methyl[1,4'-bipiperidin]-4-yl)methyl]amino]-2-oxo-1-phenylethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

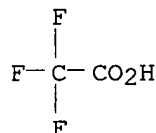
CRN 380899-89-8
CMF C29 H36 Cl N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

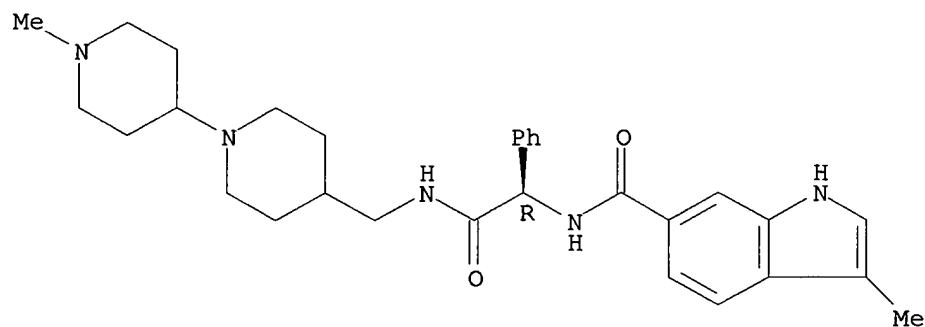


RN 380899-92-3 HCAPLUS
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[[[1'-methyl[1,4'-bipiperidin]-4-yl)methyl]amino]-2-oxo-1-phenylethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

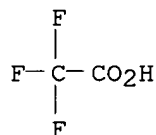
CRN 380899-91-2
CMF C30 H39 N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

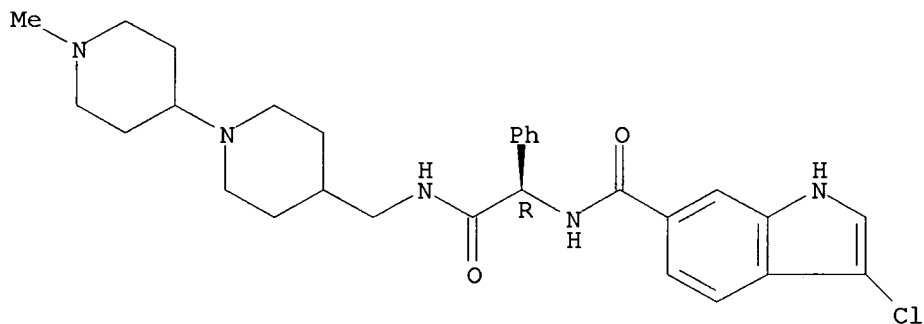


RN 380899-94-5 HCAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[[[1'-methyl[1,4'-bipiperidin]-4-yl)methyl]amino]-2-oxo-1-phenylethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 380899-93-4
CMF C29 H36 Cl N5 O2

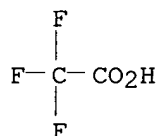
Absolute stereochemistry.



CM 2

CRN 76-05-1

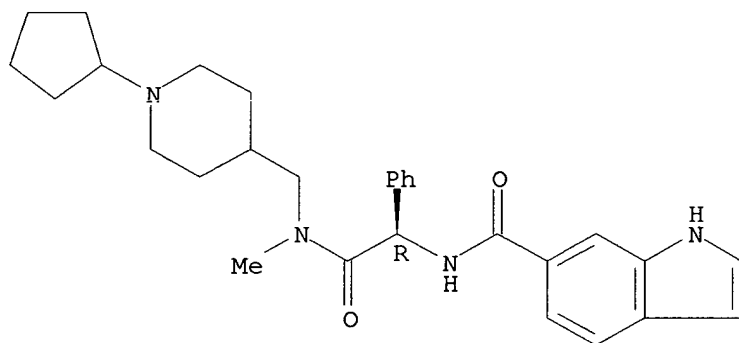
CMF C2 H F3 O2



RN 380899-95-6 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(1-cyclopentyl-4-piperidinyl)methyl]methylamino]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 380899-97-8 HCAPLUS

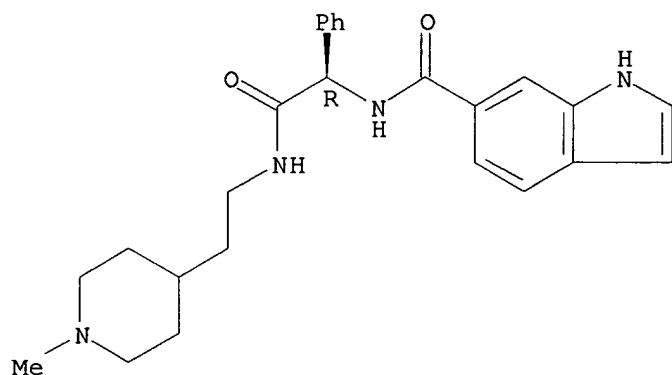
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[2-(1-methyl-4-piperidinyl)ethyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-96-7

CMF C25 H30 N4 O2

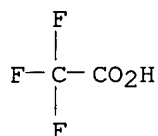
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 380899-99-0 HCAPLUS

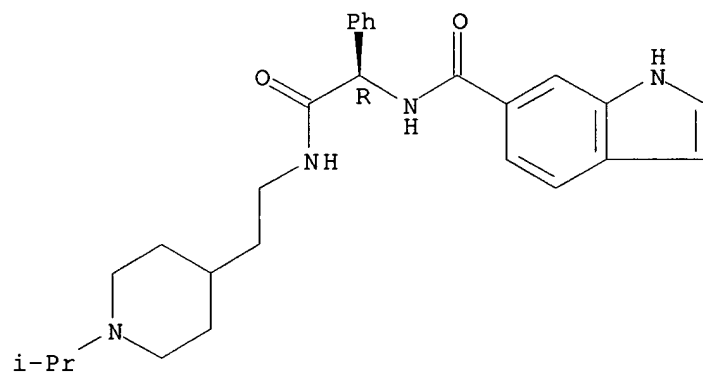
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[2-[1-(1-methylethyl)-4-piperidinyl]ethyl]amino]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380899-98-9

CMF C27 H34 N4 O2

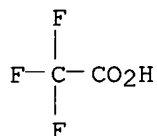
Absolute stereochemistry.



CM 2

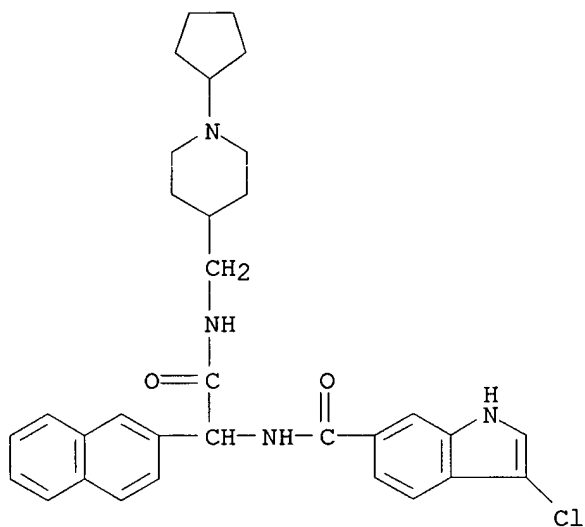
CRN 76-05-1

CMF C2 H F3 O2



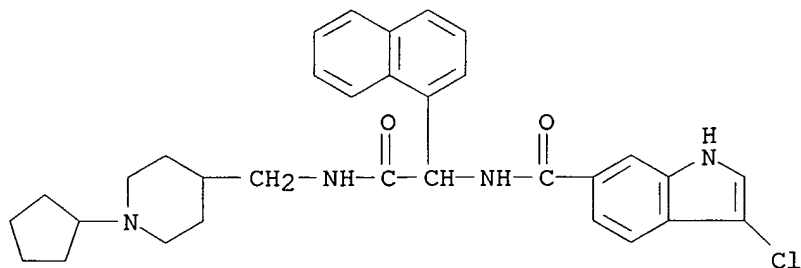
RN 380900-25-4 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-1-(2-naphthalenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



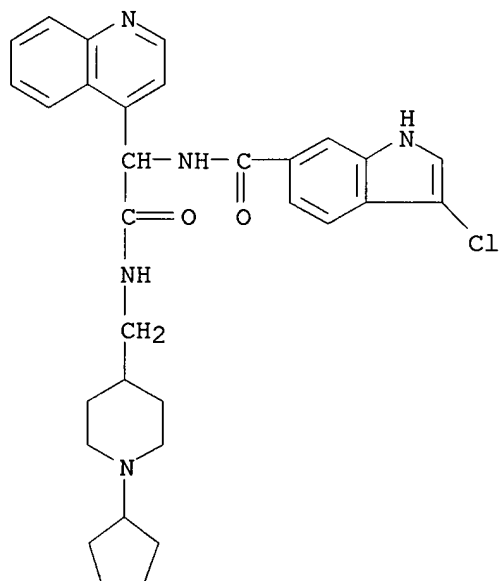
RN 380900-27-6 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-1-(1-naphthalenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



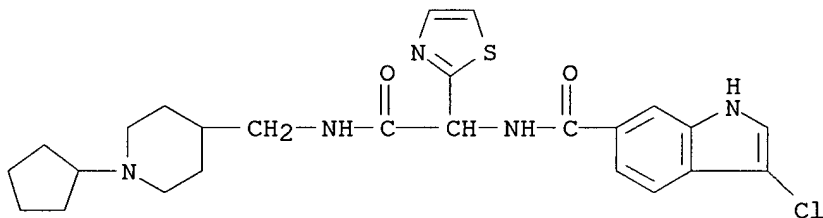
RN 380900-29-8 HCAPLUS

CN 4-Quinolineacetamide, .alpha.-[[(3-chloro-1H-indol-6-yl) carbonyl] amino]-N-
 [(1-cyclopentyl-4-piperidiny]methyl]- (9CI) (CA INDEX NAME)



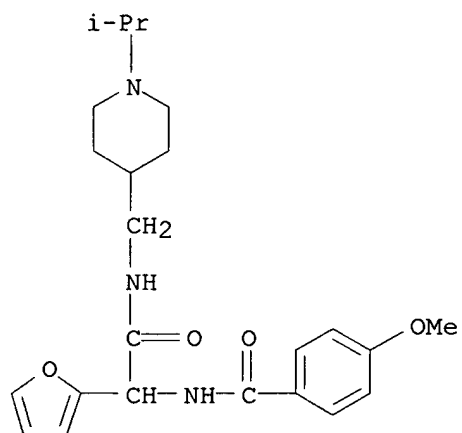
RN 380900-31-2 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[(1-cyclopentyl-4-piperidiny]methyl] amino]-2-oxo-1-(2-thiazolyl)ethyl]- (9CI) (CA INDEX NAME)



RN 380900-33-4 HCAPLUS

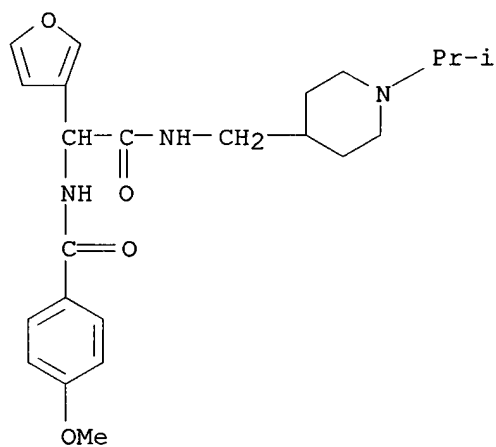
CN 2-Furanacetamide, .alpha.-[(4-methoxybenzoyl) amino]-N-[[(1-(1-methylethyl)-4-piperidiny]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 380900-35-6 HCAPLUS

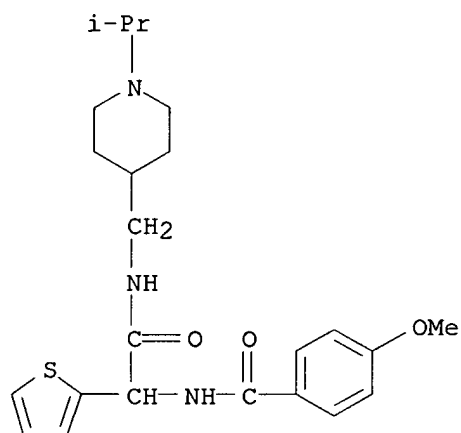
CN 3-Furanacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 380900-37-8 HCAPLUS

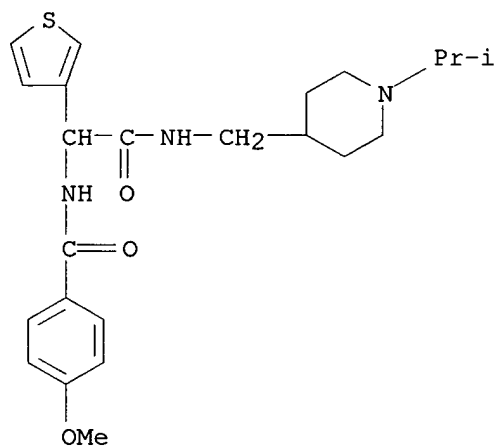
CN 2-Thiopheneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 380900-39-0 HCAPLUS

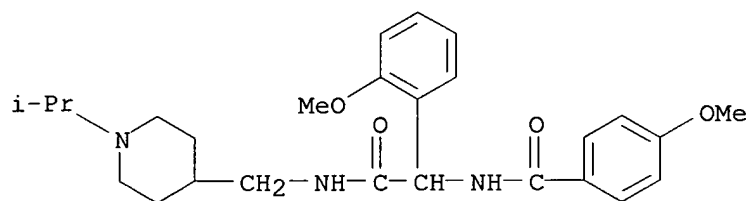
CN 3-Thiopheneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

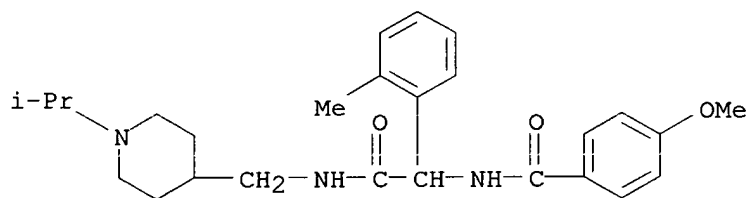
RN 380900-43-6 HCAPLUS

CN Benzeneacetamide, 2-methoxy-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME).



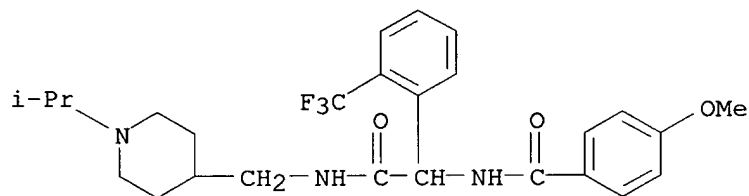
● HCl

RN 380900-44-7 HCAPLUS
 CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-methyl-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



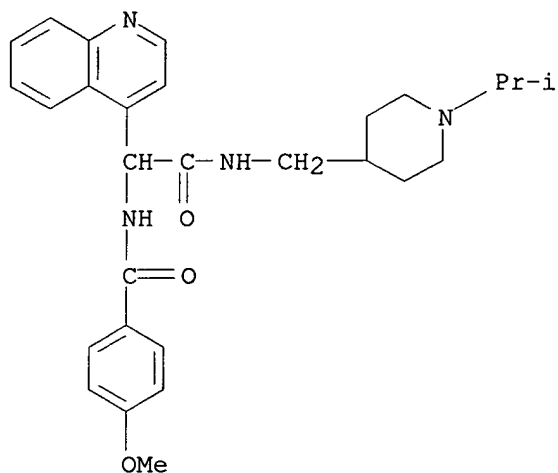
● HCl

RN 380900-45-8 HCAPLUS
 CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



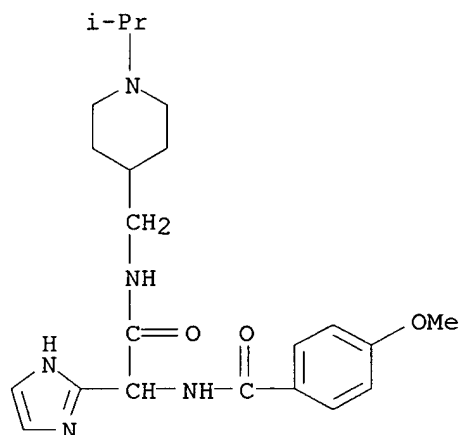
● HCl

RN 380900-47-0 HCAPLUS
 CN 4-Quinolineacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



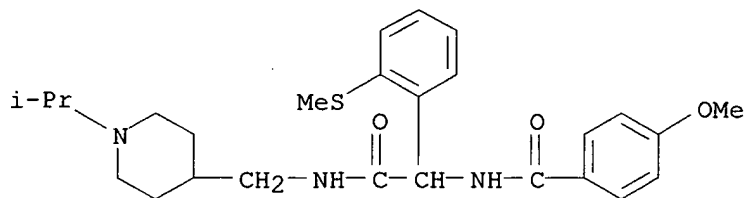
● 2 HCl

RN 380900-49-2 HCAPLUS
 CN 1H-Imidazole-2-acetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



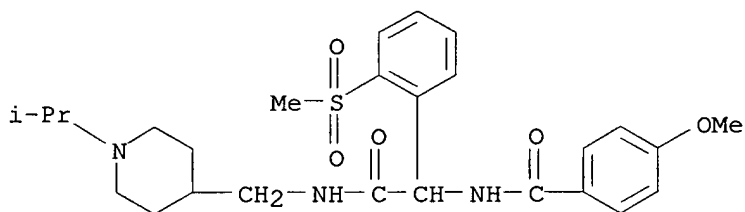
● HCl

RN 380900-51-6 HCAPLUS
 CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-(methylthio)- (9CI) (CA INDEX NAME)



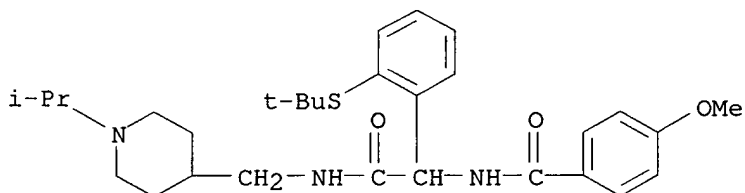
RN 380900-53-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)



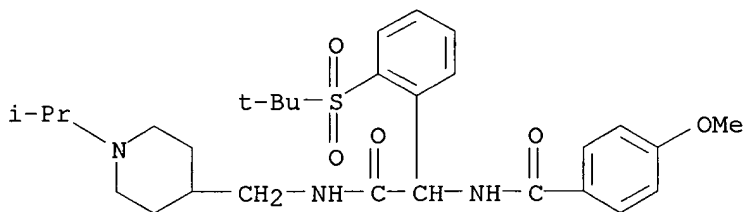
RN 380900-55-0 HCAPLUS

CN Benzeneacetamide, 2-[(1,1-dimethylethyl)thio]-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 380900-57-2 HCAPLUS

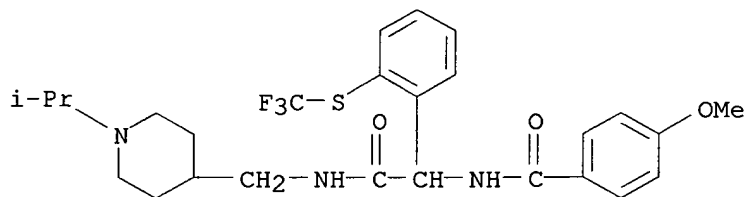
CN Benzeneacetamide, 2-[(1,1-dimethylethyl)sulfonyl]-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 380900-59-4 HCAPLUS

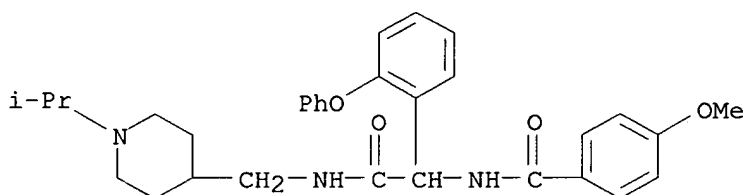
CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-

4-piperidinylmethyl]-2-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



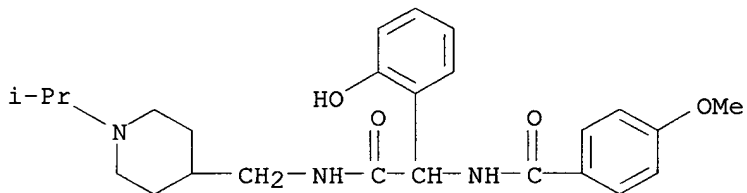
RN 380900-61-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinylmethyl]-2-phenoxy- (9CI) (CA INDEX NAME)



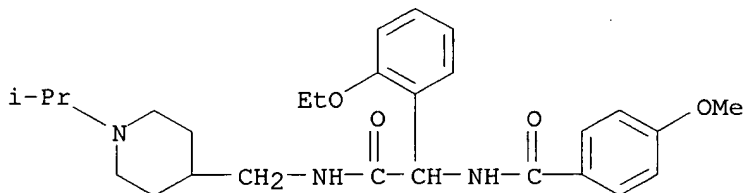
RN 380900-62-9 HCAPLUS

CN Benzeneacetamide, 2-hydroxy-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)



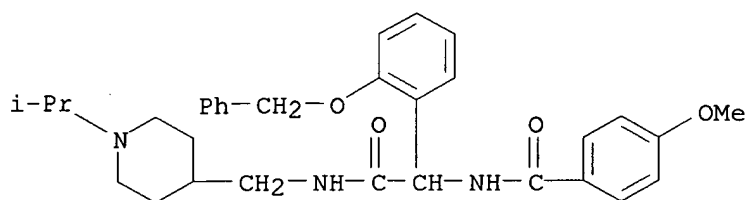
RN 380900-63-0 HCAPLUS

CN Benzeneacetamide, 2-ethoxy-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)



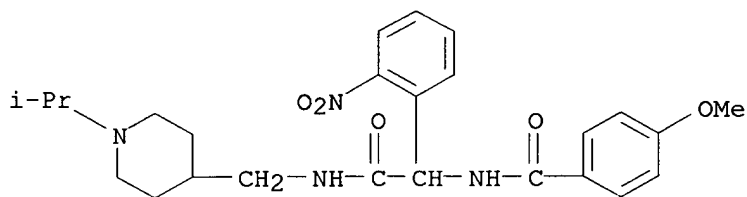
RN 380900-64-1 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinylmethyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)



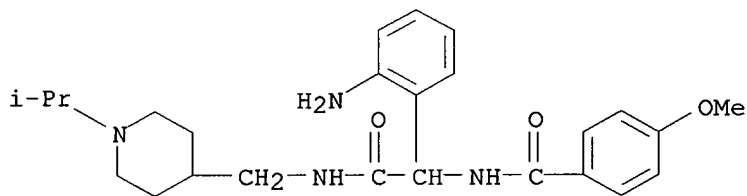
RN 380900-65-2 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-2-nitro- (9CI) (CA INDEX NAME)



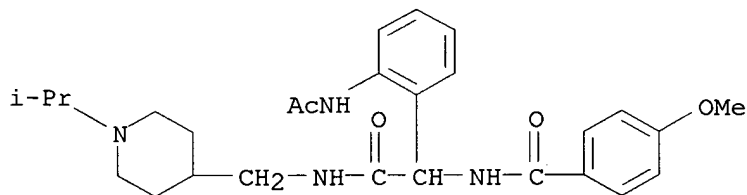
RN 380900-66-3 HCAPLUS

CN Benzeneacetamide, 2-amino-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



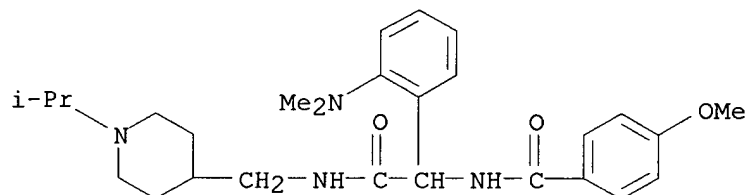
RN 380900-67-4 HCAPLUS

CN Benzeneacetamide, 2-(acetamino)-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



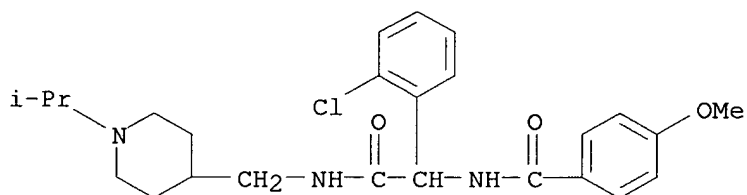
RN 380900-68-5 HCAPLUS

CN Benzeneacetamide, 2-(dimethylamino)-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



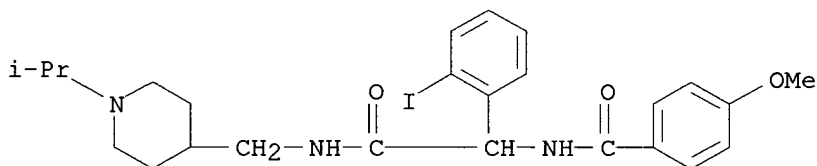
RN 380900-70-9 HCAPLUS

CN Benzeneacetamide, 2-chloro-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidiny]methyl]- (9CI) (CA INDEX NAME)



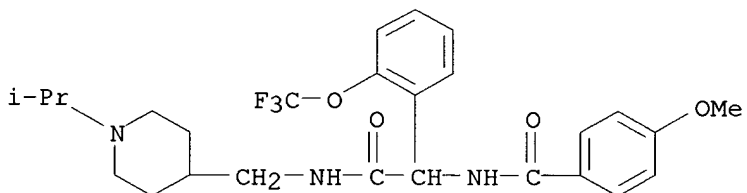
RN 380900-73-2 HCAPLUS

CN Benzeneacetamide, 2-iodo-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidiny]methyl]- (9CI) (CA INDEX NAME)



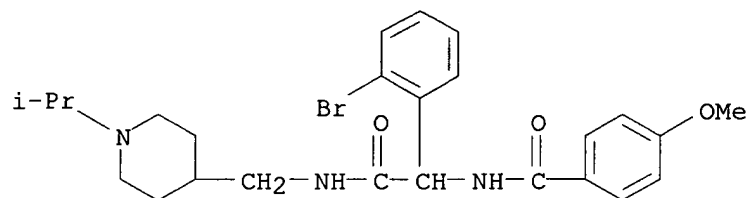
RN 380900-75-4 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidiny]methyl]-2-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

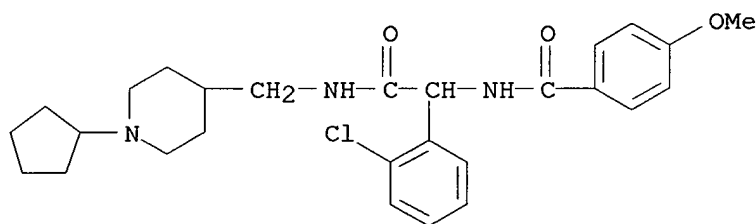


RN 380900-77-6 HCAPLUS

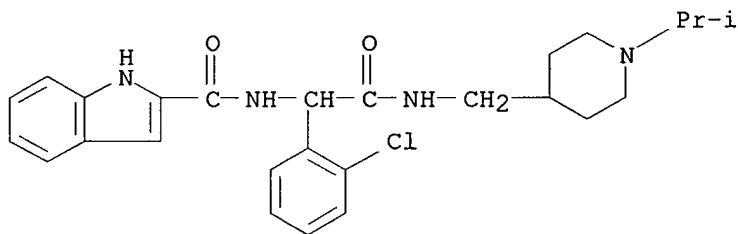
CN Benzeneacetamide, 2-bromo-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidiny]methyl]- (9CI) (CA INDEX NAME)



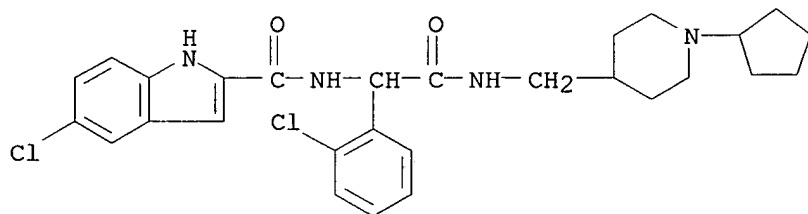
RN 380900-79-8 HCAPLUS

CN Benzeneacetamide, 2-chloro-N-[(1-cyclopentyl-4-piperidiny)methyl]-.alpha.-
[(4-methoxybenzoyl)amino]- (9CI) (CA INDEX NAME)

RN 380900-81-2 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[1-(2-chlorophenyl)-2-[[[1-(1-methylethyl)-4-
piperidiny)methyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

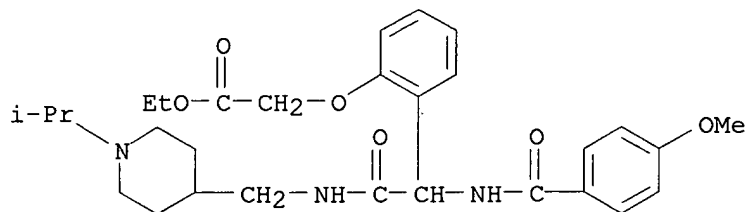
RN 380900-83-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[1-(2-chlorophenyl)-2-[[[1-(1-methylethyl)-4-
piperidiny)methyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 380900-85-6 HCAPLUS

CN Acetic acid, [2-[1-[(4-methoxybenzoyl)amino]-2-[[[1-(1-methylethyl)-4-
piperidiny)methyl]amino]-2-oxoethyl]phenoxy]-, ethyl ester,

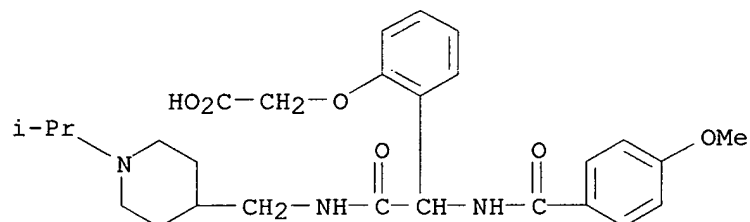
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

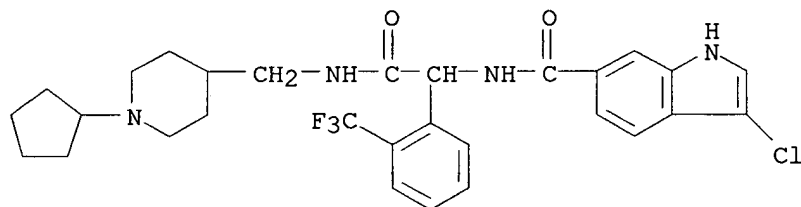
RN 380900-86-7 HCAPLUS

CN Acetic acid, [2-[1-[(4-methoxybenzoyl)amino]-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxoethyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 380900-88-9 HCAPLUS

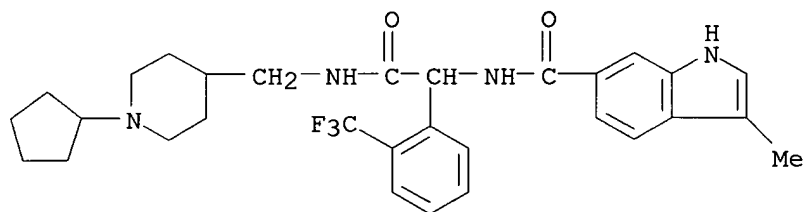
CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[[1-(cyclopentyl)-4-piperidinyl]methyl]amino]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

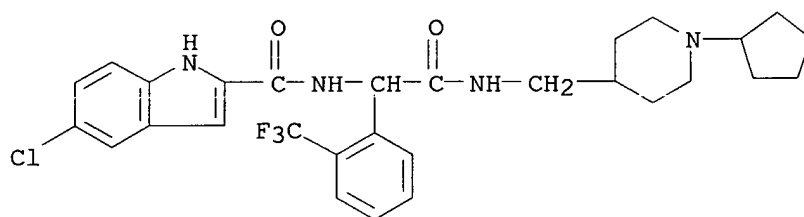
RN 380900-90-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[2-[[[1-(cyclopentyl)-4-piperidinyl]methyl]amino]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

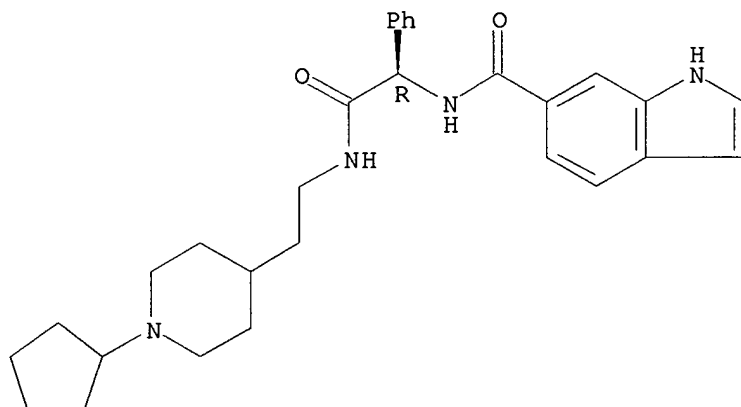
RN 380900-92-5 HCAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 380900-94-7 HCAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[2-(1-cyclopentyl-4-piperidinyl)ethyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

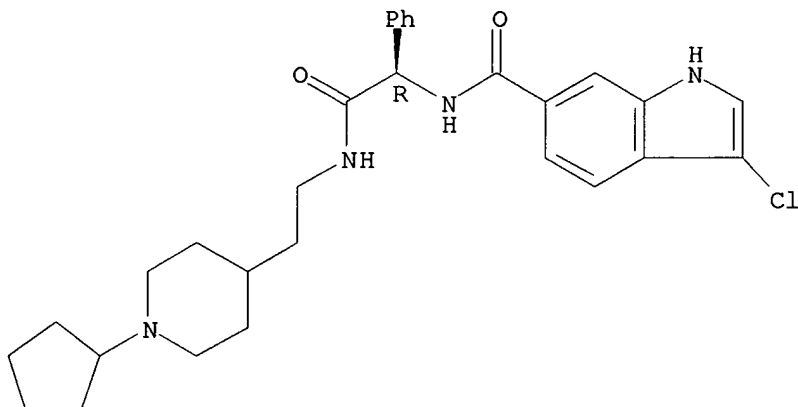
Absolute stereochemistry.



RN 380900-96-9 HCAPLUS

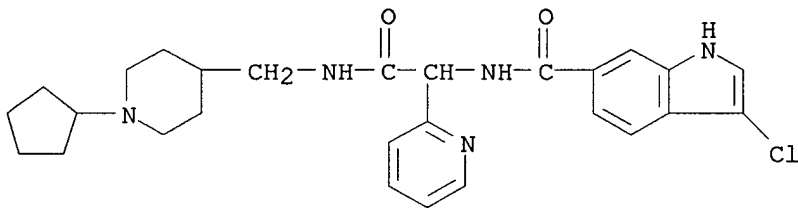
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[[2-(1-cyclopentyl-4-piperidinyl)ethyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



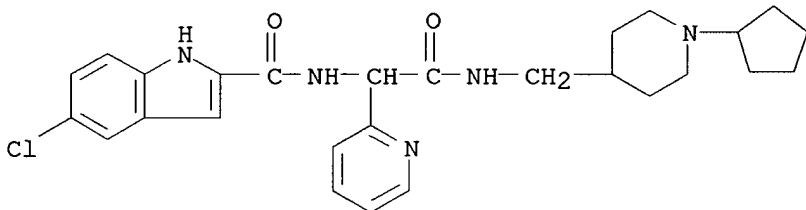
RN 380900-98-1 HCAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[[[1-(cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 380901-00-8 HCAPLUS

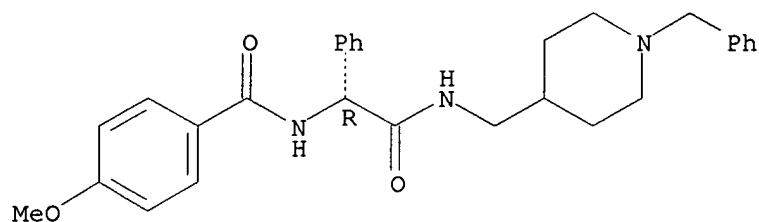
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[[[1-(cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 380901-02-0 HCAPLUS

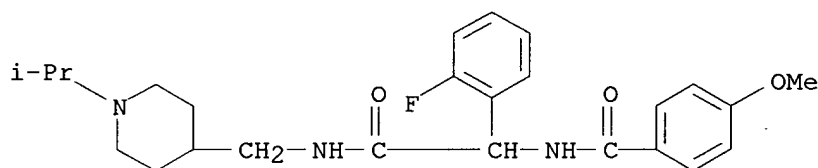
CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 380906-92-3 HCAPLUS

CN Benzeneacetamide, 2-fluoro-.alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidiny]methyl]- (9CI) (CA INDEX NAME)



IT 313490-44-7P 313490-45-8P 313490-46-9P

313490-47-0P 313490-50-5P 313490-51-6P

313490-52-7P 313490-53-8P 380901-65-5P

380901-67-7P 380901-69-9P 380901-71-3P

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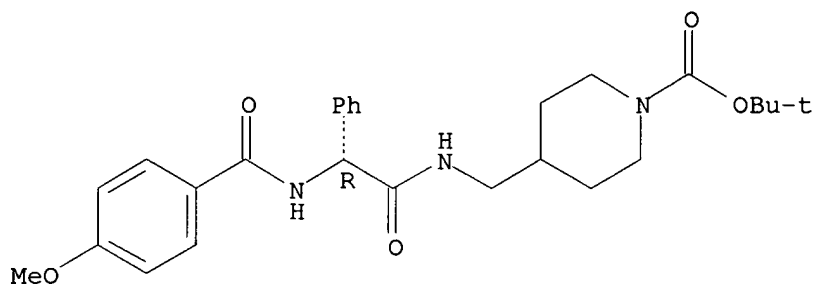
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313490-44-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

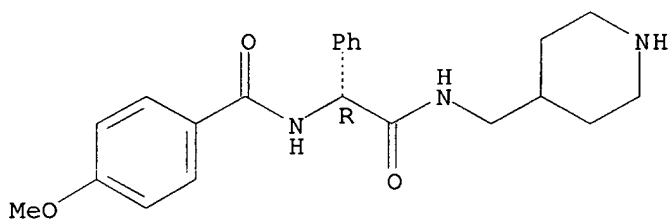
Absolute stereochemistry.



RN 313490-45-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

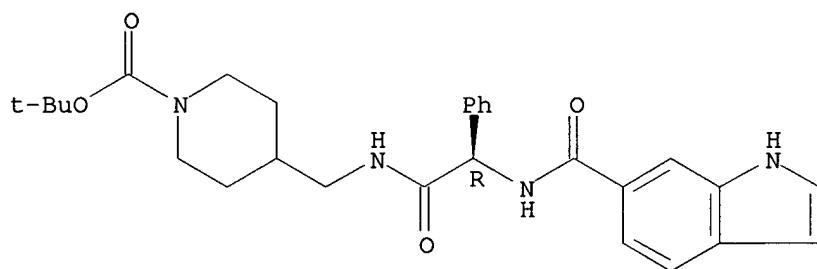
Absolute stereochemistry.



RN 313490-46-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

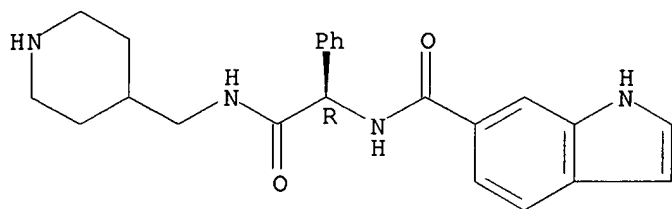
Absolute stereochemistry.



RN 313490-47-0 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

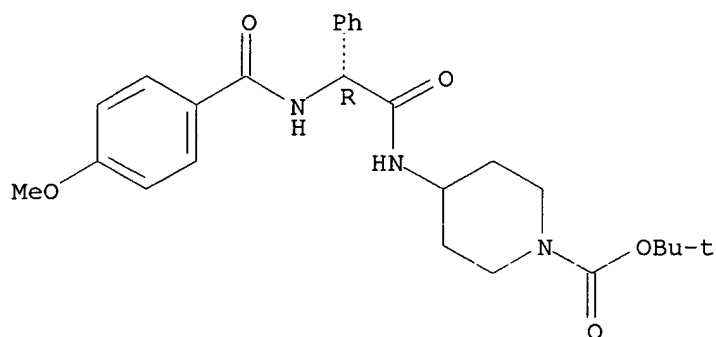
Absolute stereochemistry.



RN 313490-50-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

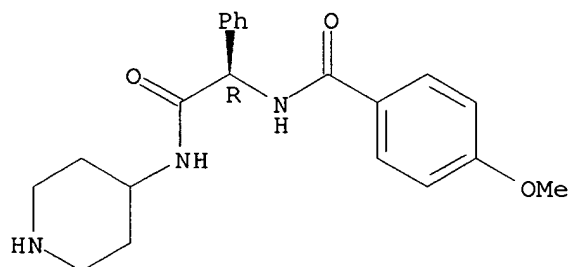
Absolute stereochemistry.



RN 313490-51-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-4-piperidinyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

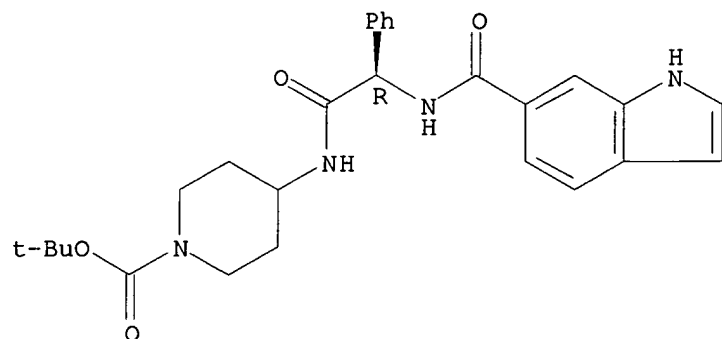
Absolute stereochemistry.



RN 313490-52-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

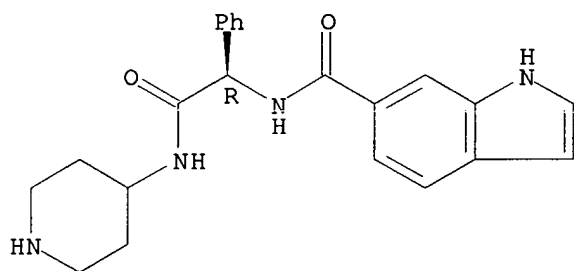
Absolute stereochemistry.



RN 313490-53-8 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-(4-piperidinylamino)ethyl]- (9CI) (CA INDEX NAME)

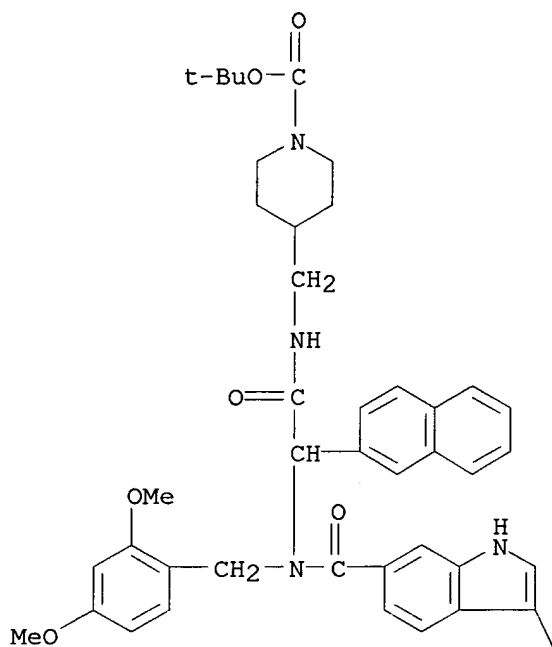
Absolute stereochemistry.



RN 380901-65-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(3-chloro-1H-indol-6-yl)carbonyl][(2,4-dimethoxyphenyl)methyl]amino]-2-naphthalenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

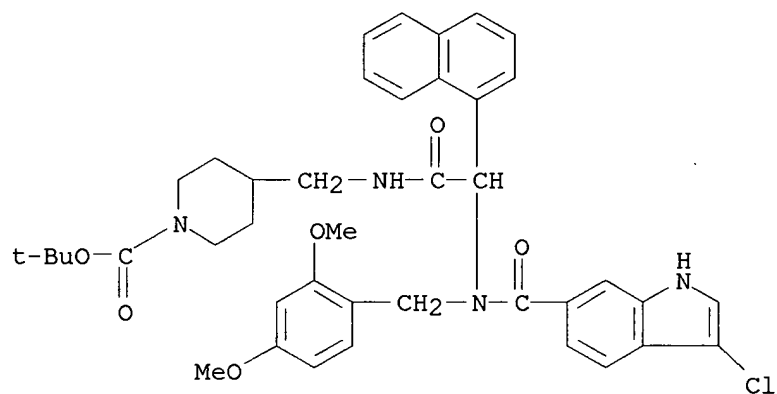
PAGE 1-A



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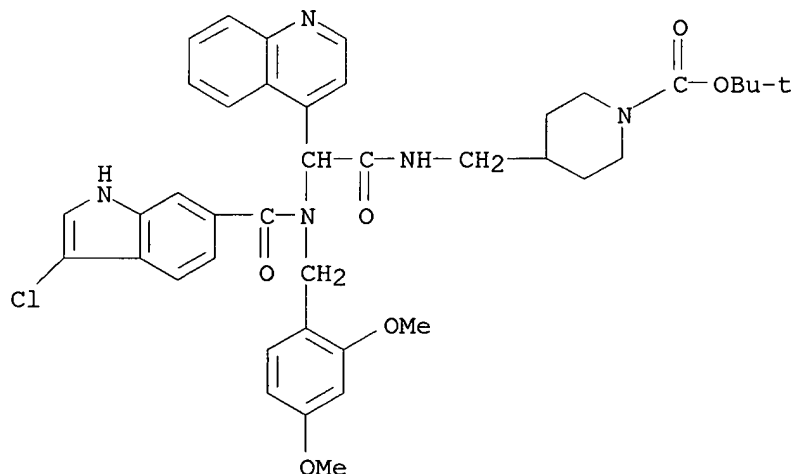


RN 380901-67-7 HCAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[[(3-chloro-1H-indol-6-yl)carbonyl][(2,4-dimethoxyphenyl)methyl]amino]-1-naphthalenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



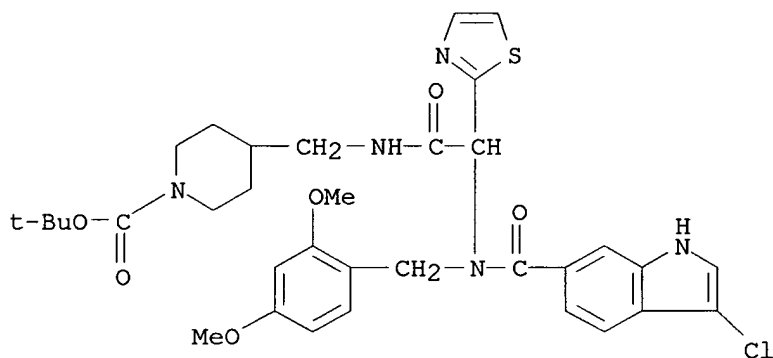
RN 380901-69-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(3-chloro-1H-indol-6-yl)carbonyl][(2,4-dimethoxyphenyl)methyl]amino]-4-quinolinylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



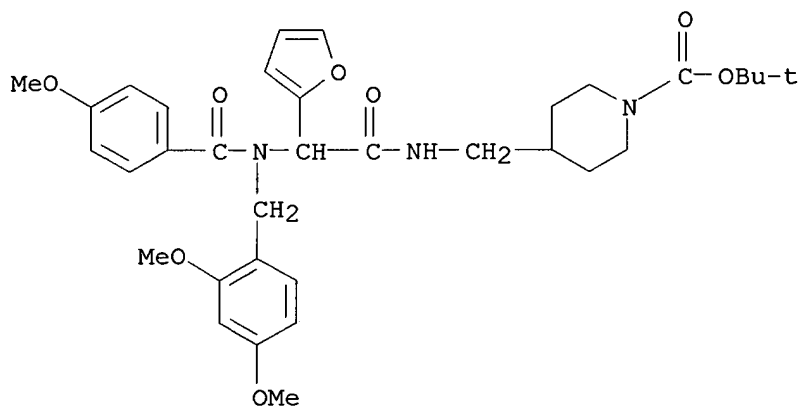
RN 380901-71-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(3-chloro-1H-indol-6-yl)carbonyl][(2,4-dimethoxyphenyl)methyl]amino]-2-thiazolylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



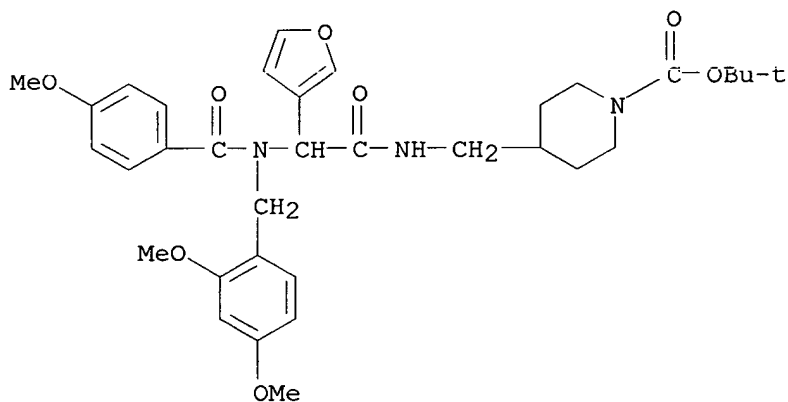
RN 380901-73-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]-2-furanylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



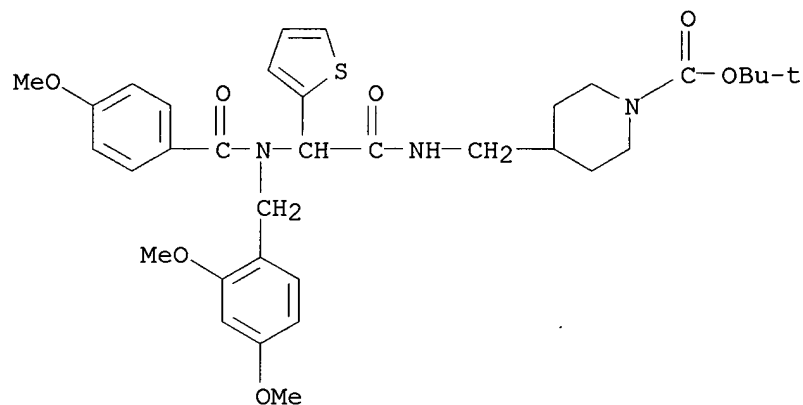
RN 380901-75-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]-3-furanylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



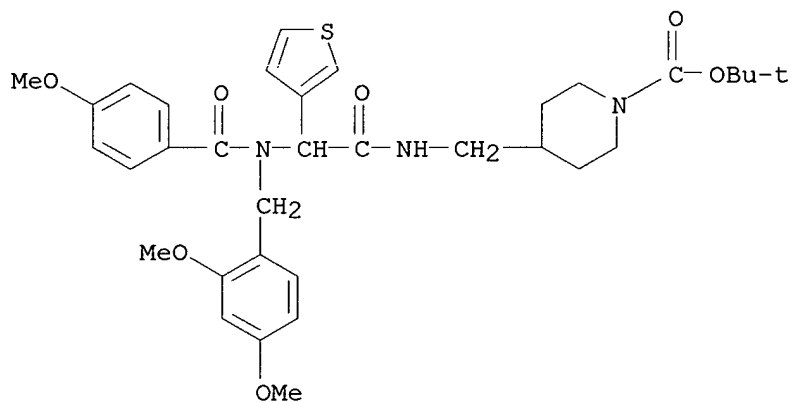
RN 380901-77-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]-2-thienylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



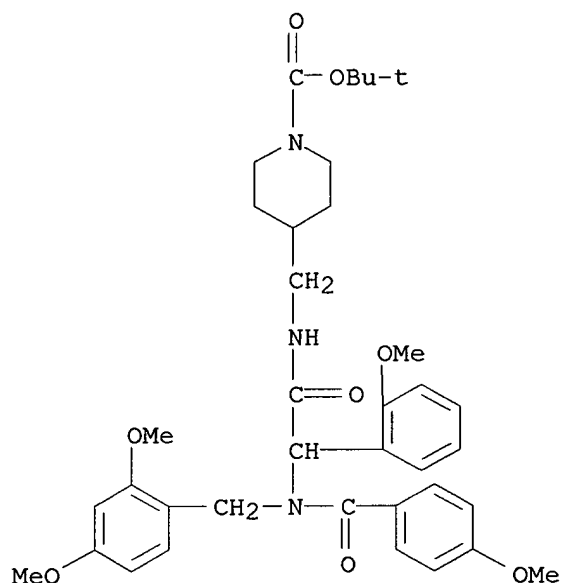
RN 380901-79-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]-3-thienylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



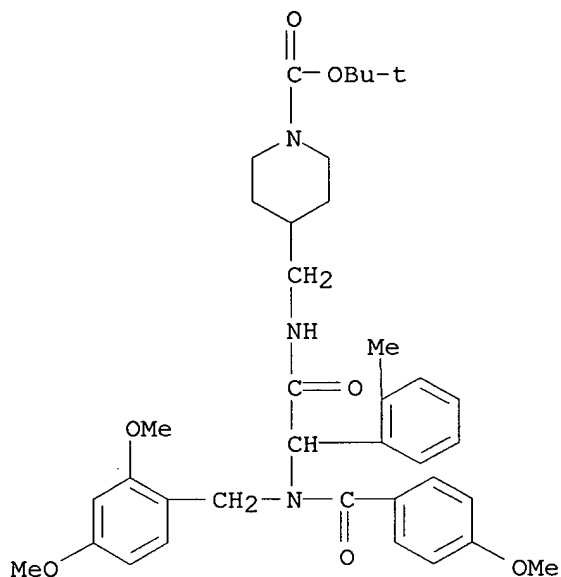
RN 380901-81-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino](2-methoxyphenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



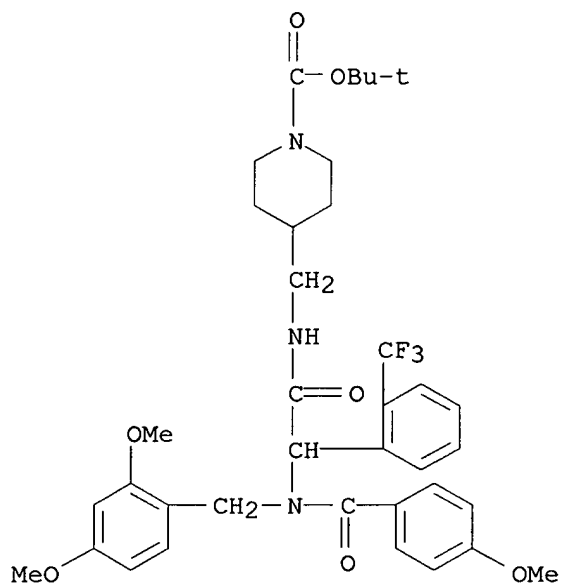
RN 380901-83-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] (2-methylphenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



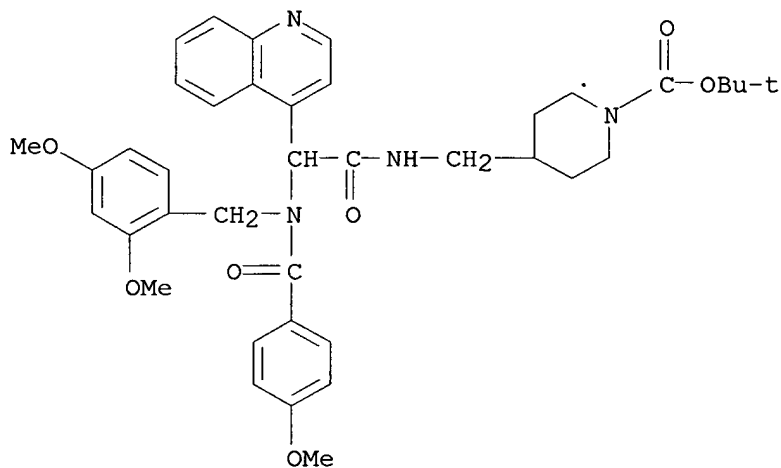
RN 380901-85-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] [2-(trifluoromethyl)phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380901-87-1 HCAPLUS

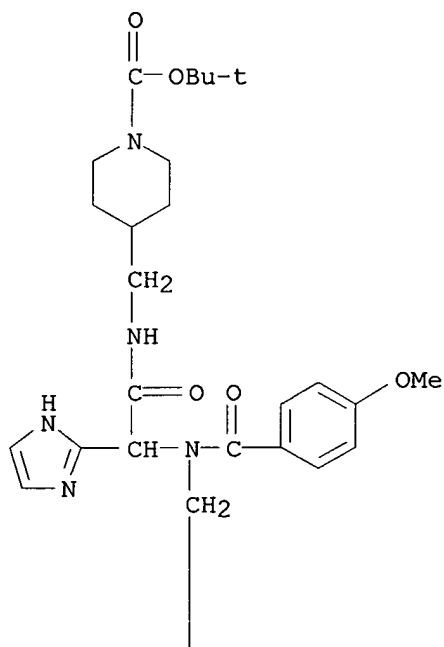
CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino]-4-quinolinylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



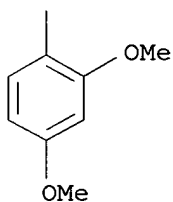
RN 380901-89-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino]-1H-imidazol-2-ylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

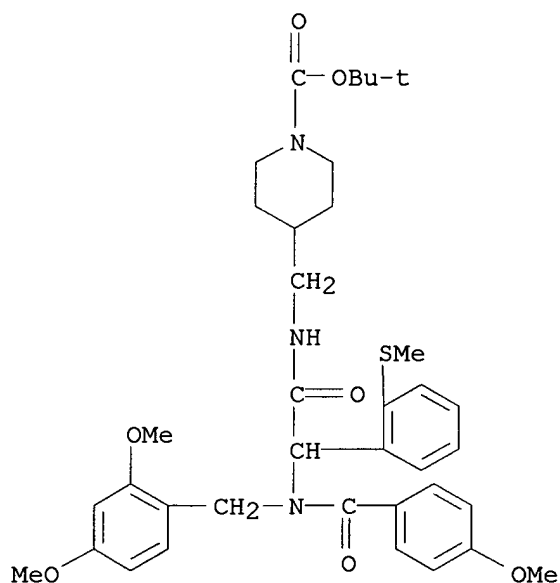
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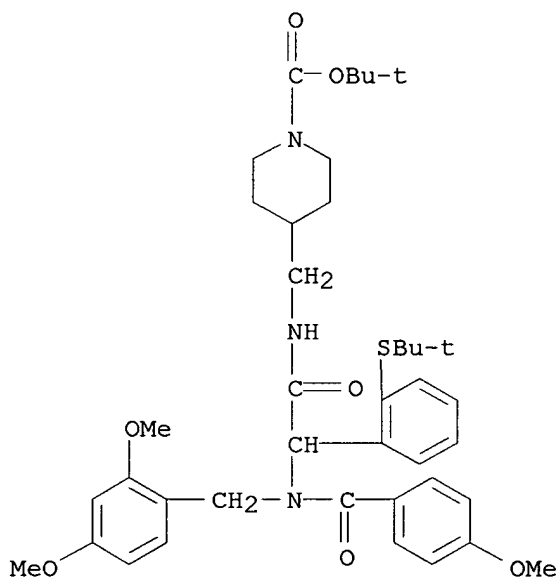


RN 380901-91-7 HCAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] [2-(methylthio)phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380901-93-9 HCAPLUS

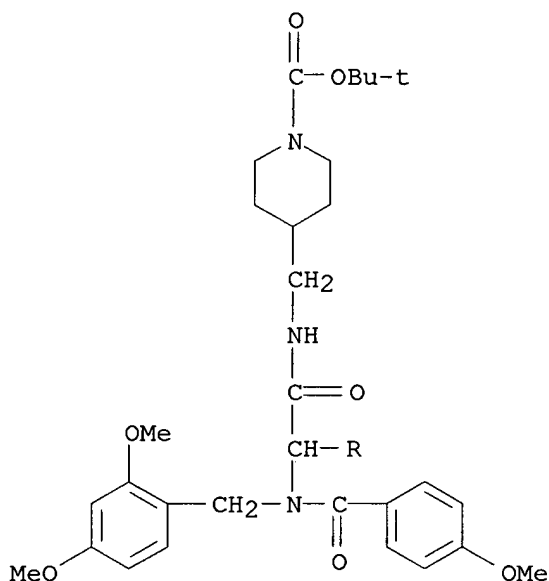
CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] [2-[(1,1-dimethylethyl)thio]phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



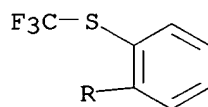
RN 380901-95-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] [2-[(trifluoromethyl)thio]phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

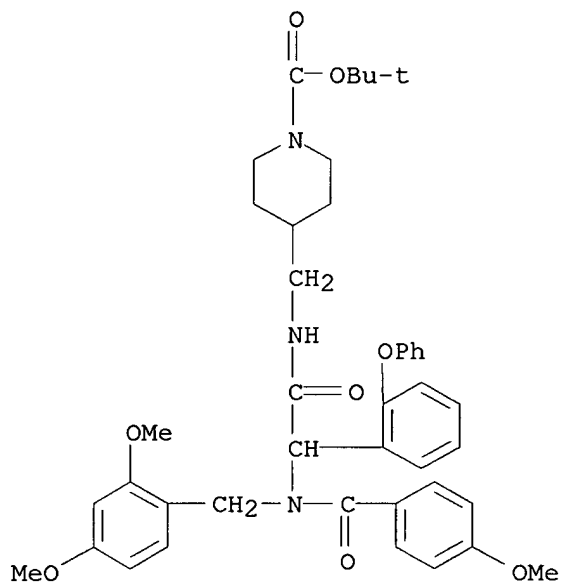
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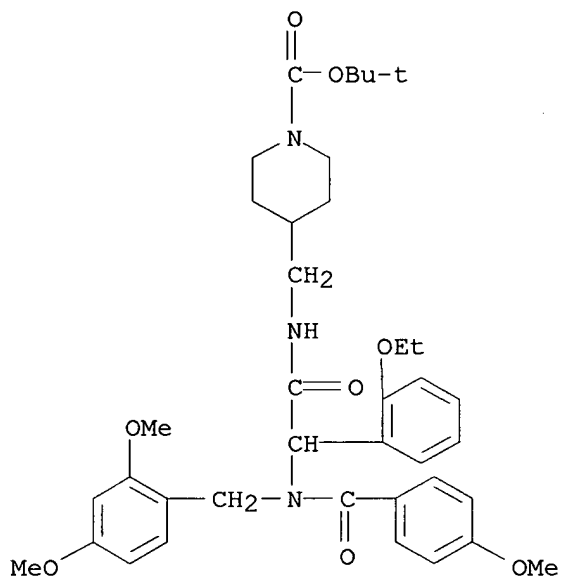


RN 380901-97-3 HCAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] (2-phenoxyphenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380901-99-5 HCAPLUS

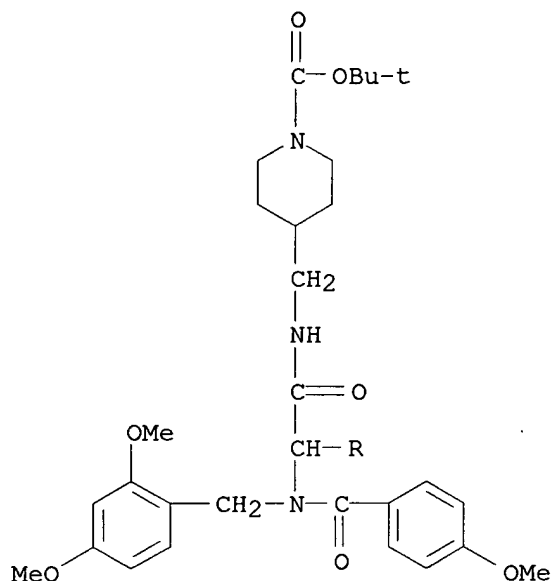
CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] (2-ethoxyphenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



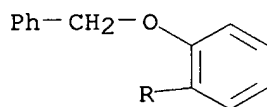
RN 380902-01-2 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] [2-(phenylmethoxy)phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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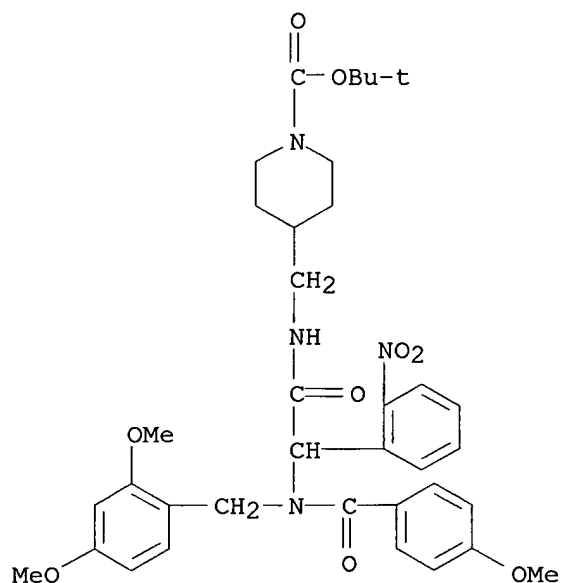


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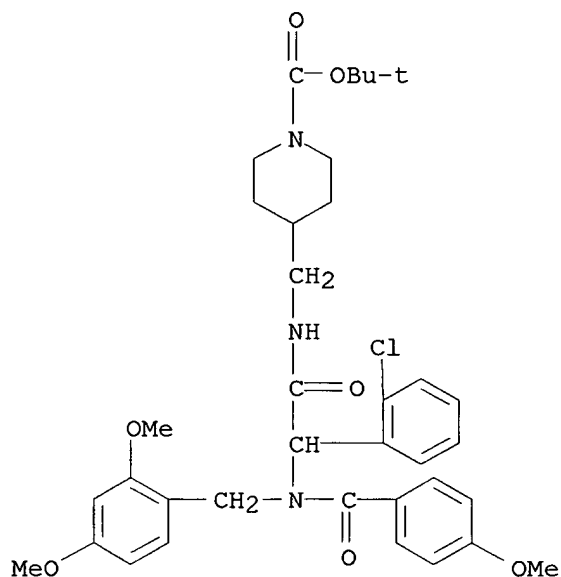
RN 380902-03-4 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] (2-nitrophenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



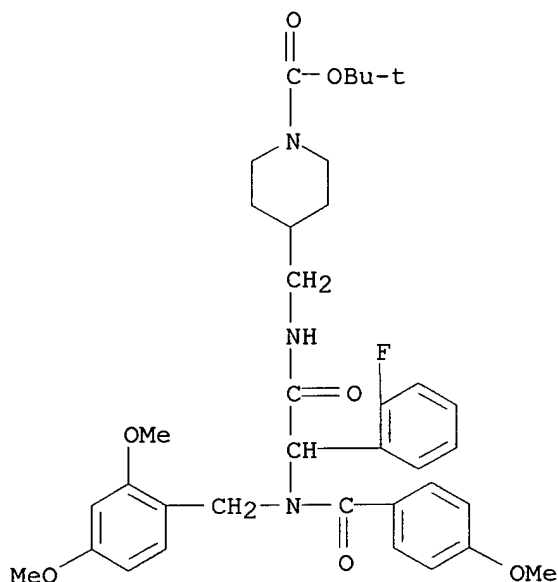
RN 380902-05-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-chlorophenyl)[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



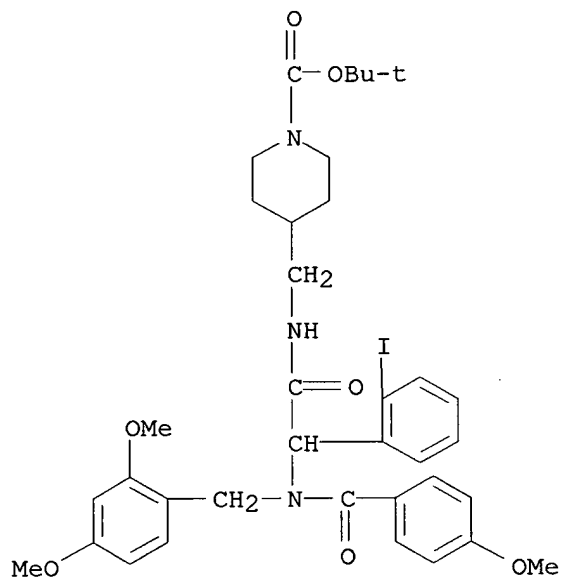
RN 380902-07-8 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino](2-fluorophenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 380902-09-0 HCAPLUS

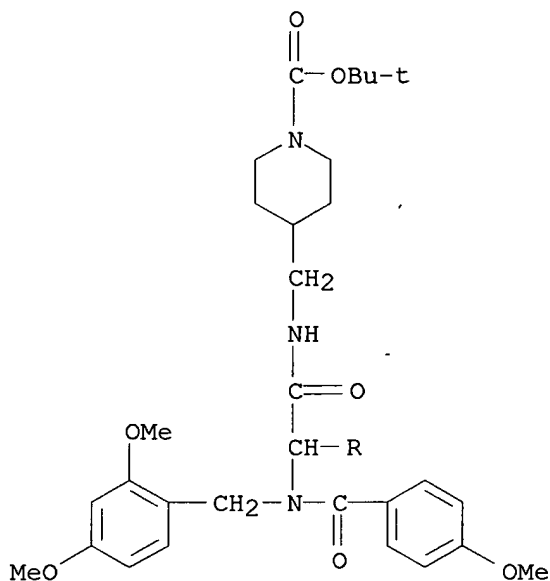
CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] (2-iodophenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



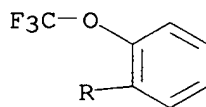
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CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] [2-(trifluoromethoxy)phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

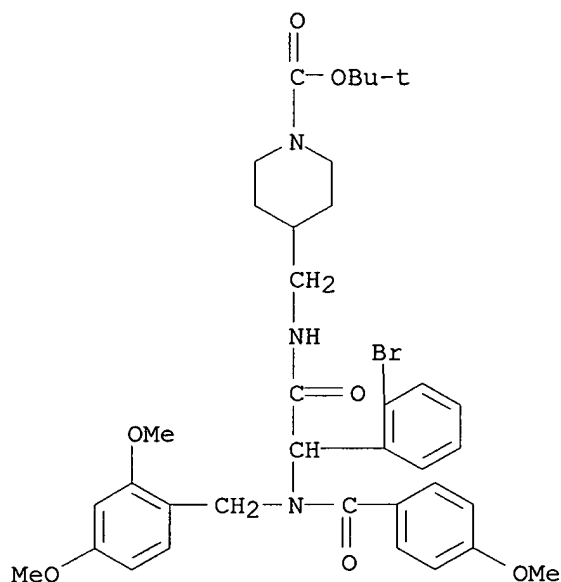
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PAGE 2-A

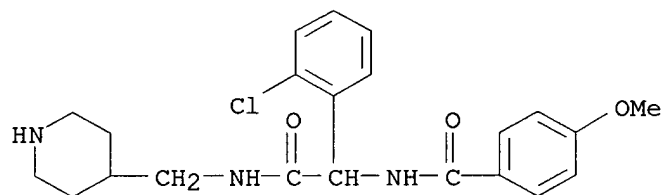


RN 380902-13-6 HCAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[(2-bromophenyl)[[(2,4-dimethoxyphenyl)methyl](4-methoxybenzoyl)amino]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



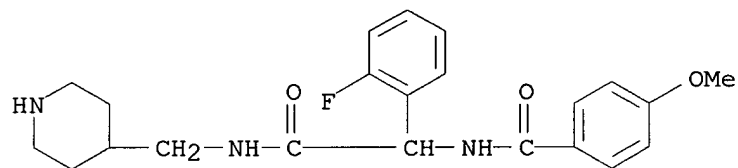
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CN Benzeneacetamide, 2-chloro-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



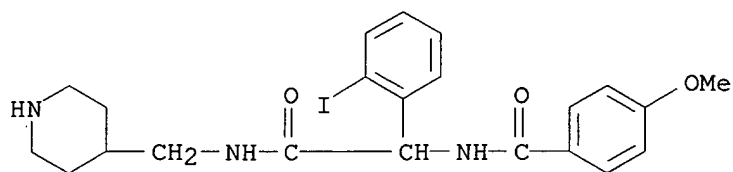
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CN Benzeneacetamide, 2-fluoro-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



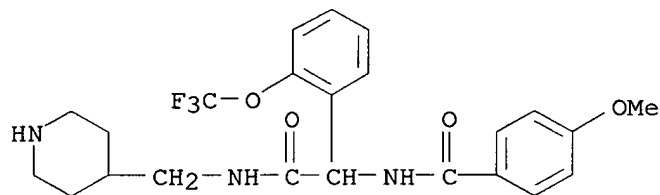
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CN Benzeneacetamide, 2-iodo-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



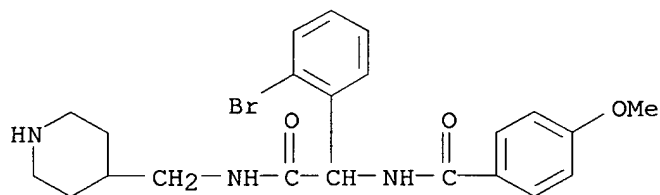
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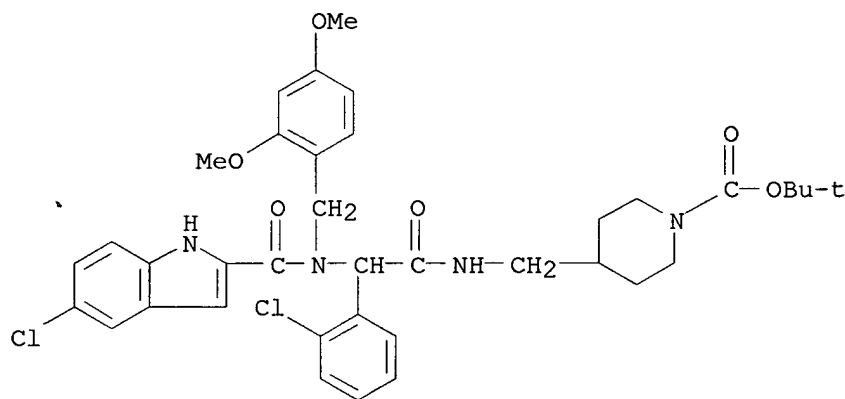
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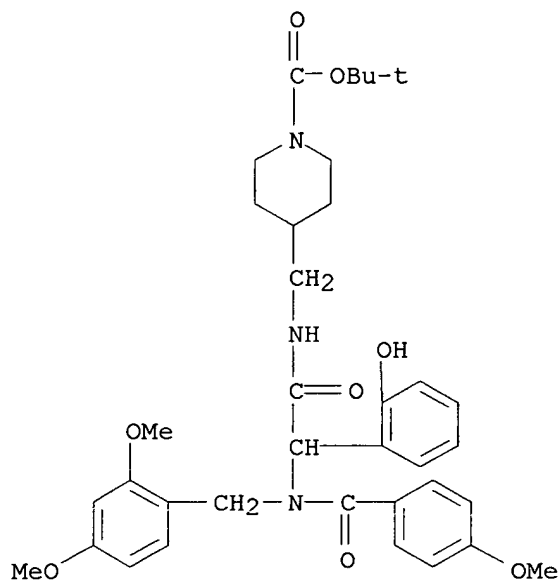
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CN 1-Piperidinecarboxylic acid, 4-[[[[(5-chloro-1H-indol-2-yl)carbonyl][(2,4-dimethoxyphenyl)methyl]amino](2-chlorophenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



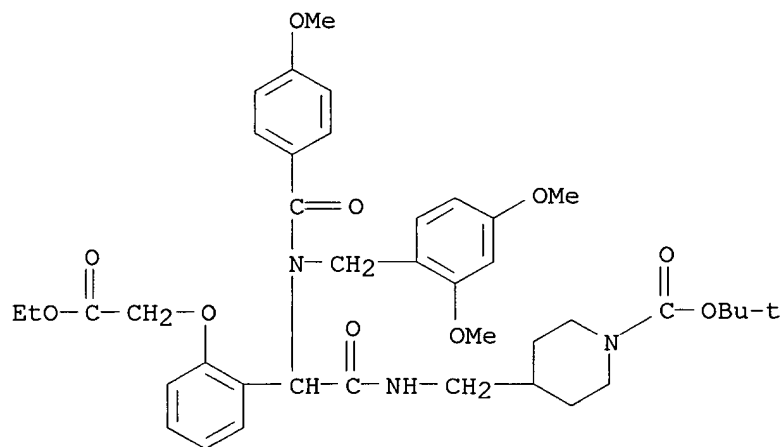
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CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] (2-hydroxyphenyl)acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



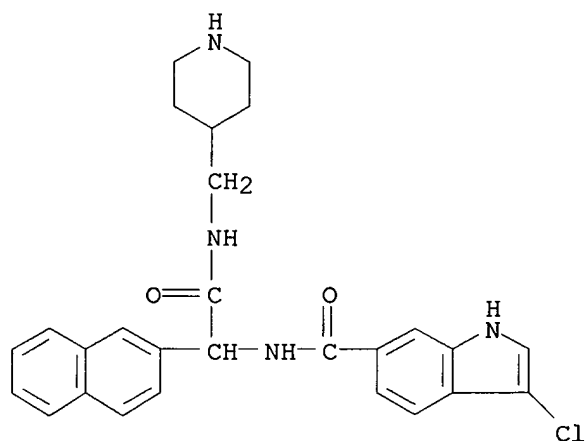
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CN 1-Piperidinecarboxylic acid, 4-[[[[(2,4-dimethoxyphenyl)methyl] (4-methoxybenzoyl)amino] [2-(2-ethoxy-2-oxoethoxy)phenyl]acetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



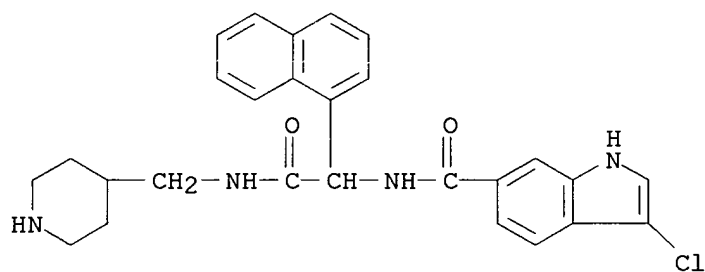
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CN 1H-Indole-6-carboxamide, 3-chloro-N-[1-(2-naphthalenyl)-2-oxo-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



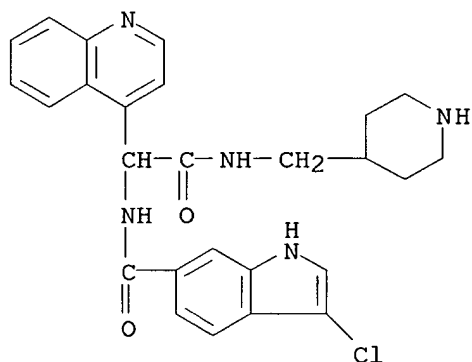
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CN 1H-Indole-6-carboxamide, 3-chloro-N-[1-(1-naphthalenyl)-2-oxo-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



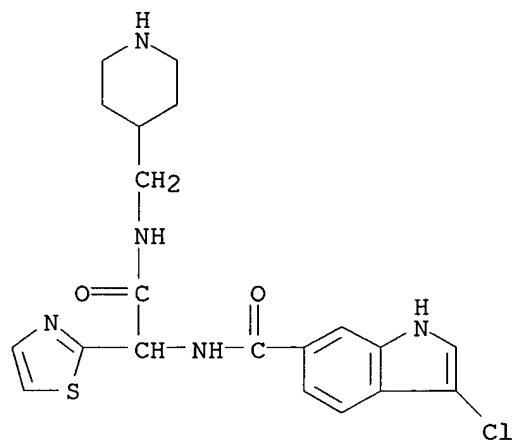
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CN 4-Quinolineacetamide, .alpha.-[[(3-chloro-1H-indol-6-yl) carbonyl] amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



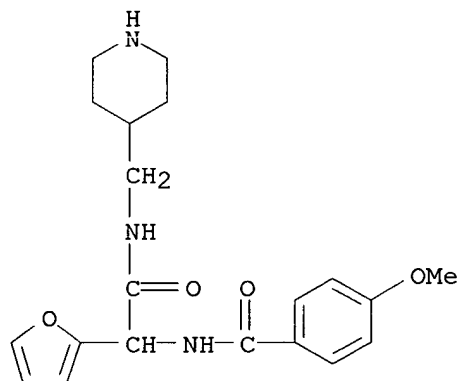
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CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-oxo-2-[(4-piperidinylmethyl)amino]-1-(2-thiazolyl)ethyl]- (9CI) (CA INDEX NAME)



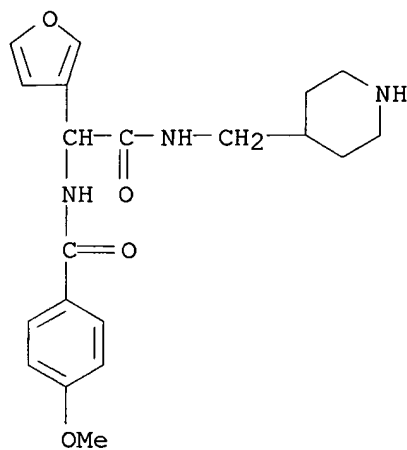
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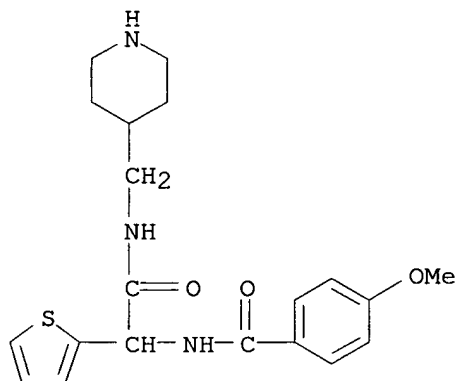
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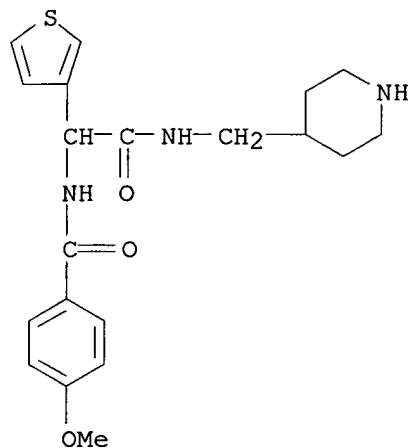
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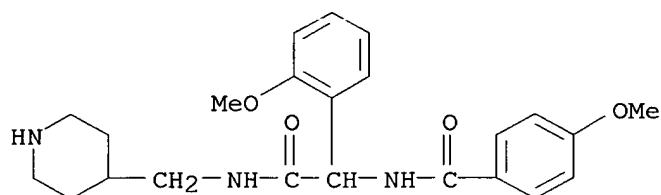
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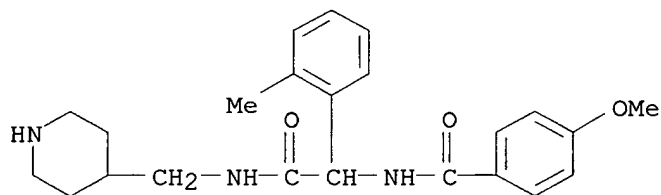
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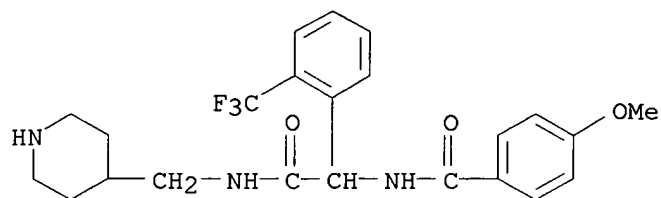
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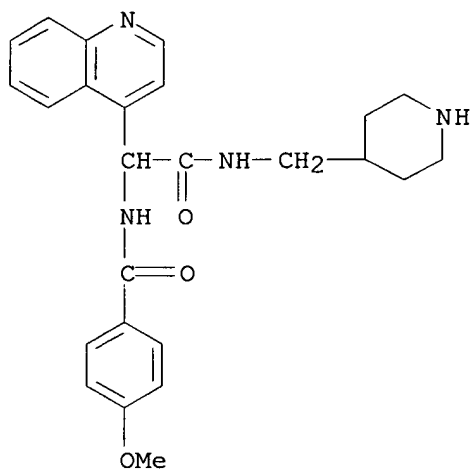
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CN Benzeneacetamide, .alpha.-(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



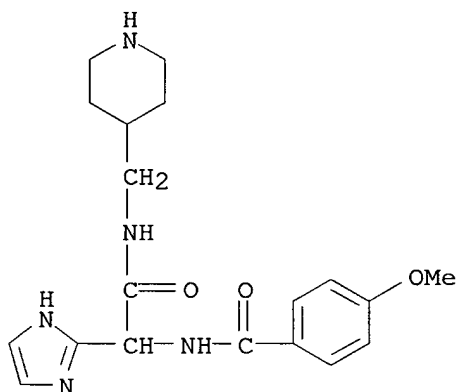
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CN 4-Quinolineacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



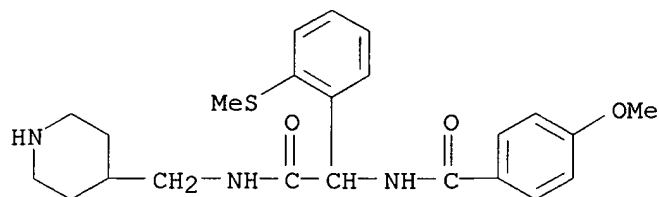
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CN 1H-Imidazole-2-acetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



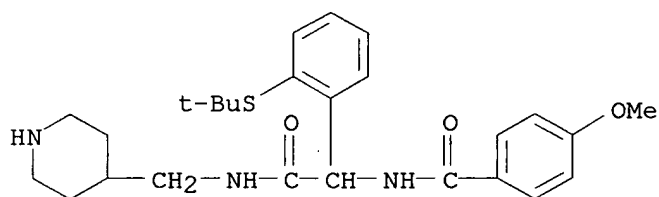
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CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-(methylthio)-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



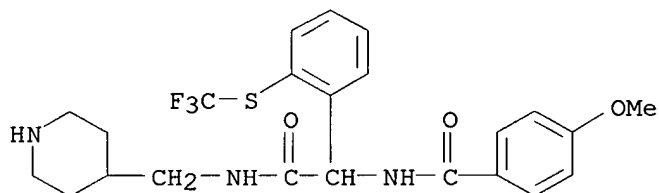
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CN Benzeneacetamide, 2-[(1,1-dimethylethyl)thio]-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



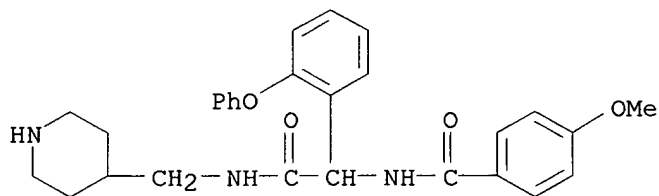
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CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)-2-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



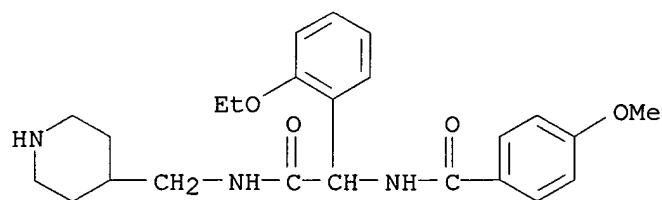
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CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-phenoxy-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



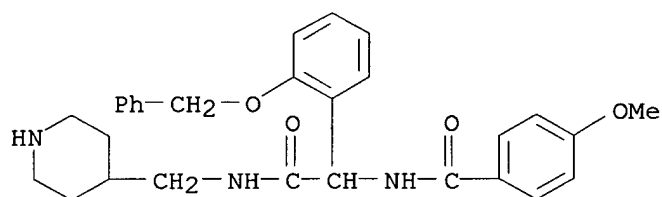
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CN Benzeneacetamide, 2-ethoxy-.alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



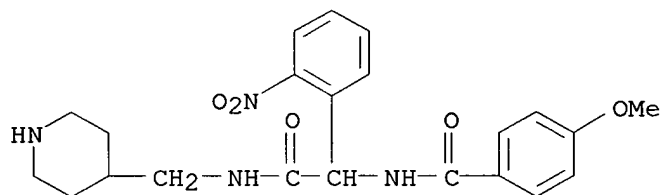
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CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-(phenylmethoxy)-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



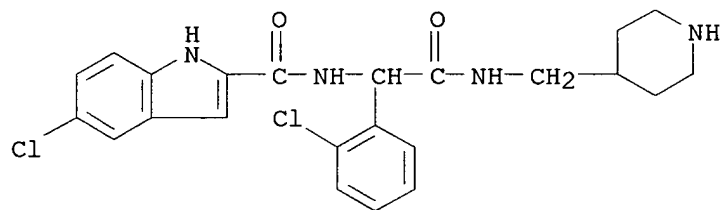
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CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-2-nitro-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



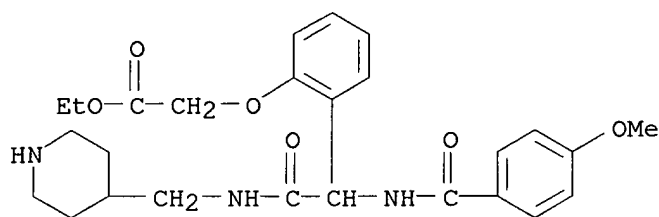
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CN 1H-Indole-2-carboxamide, 5-chloro-N-[1-(2-chlorophenyl)-2-oxo-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 380902-72-7 HCAPLUS

CN Acetic acid, [2-[1-[(4-methoxybenzoyl)amino]-2-oxo-2-[(4-piperidinylmethyl)amino]ethyl]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:900614 HCAPLUS

DOCUMENT NUMBER: 134:56958

TITLE: Preparation of amino acid derivatives as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited

SOURCE: PCT Int. Appl., 261 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
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US 2002151724 A1 20021017 US 2002-30186 20020204

PRIORITY APPLN. INFO.:

GB 1999-13823 A 19990614
 US 1999-142064P P 19990702
 GB 1999-18741 A 19990809
 GB 1999-29553 A 19991214
 WO 2000-GB2302 W 20000613 *snf*
 GB 2000-30303 A 20001213
 GB 2000-30304 A 20001213
 GB 2000-30305 A 20001213 *snf*
 GB 2000-30306 A 20001213
 WO 2001-GB2572 W 20010612

OTHER SOURCE(S): MARPAT 134:56958

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxy carbonyl, alkylaminocarbonyl, alkoxy carbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or

heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Comps. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglyciny)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

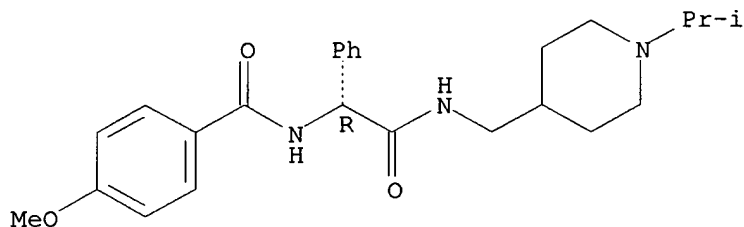
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 313489-07-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid derivs. as serine protease inhibitors)

RN 313488-55-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

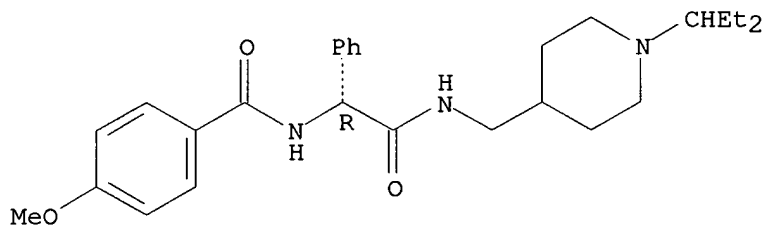
Absolute stereochemistry.



RN 313488-56-1 HCAPLUS

CN Benzeneacetamide, N-[[1-(1-ethylpropyl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

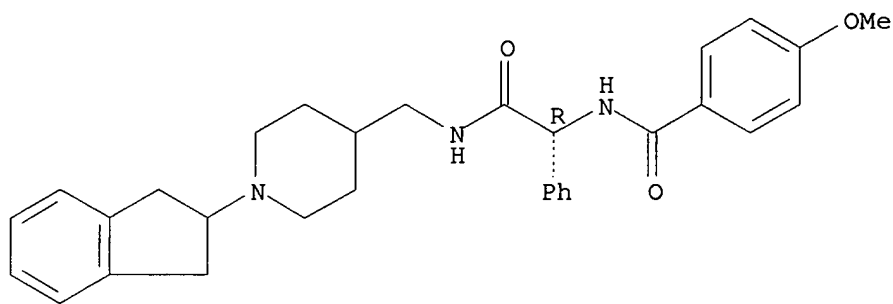
Absolute stereochemistry.



RN 313488-57-2 HCAPLUS

CN Benzeneacetamide, N-[[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

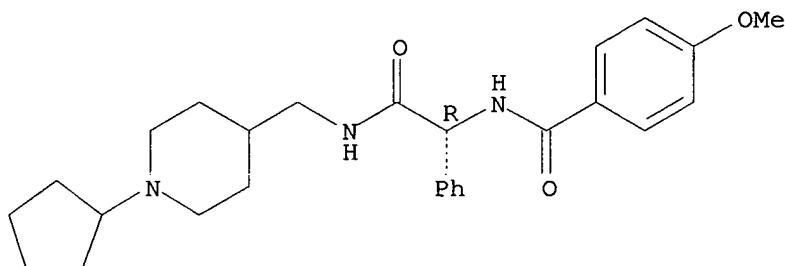
Absolute stereochemistry.



RN 313488-58-3 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclopentyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

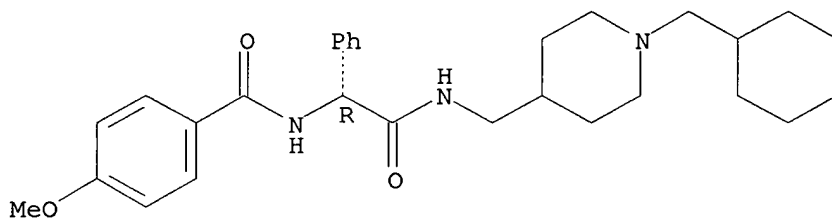
Absolute stereochemistry.



RN 313488-59-4 HCAPLUS

CN Benzeneacetamide, N-[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

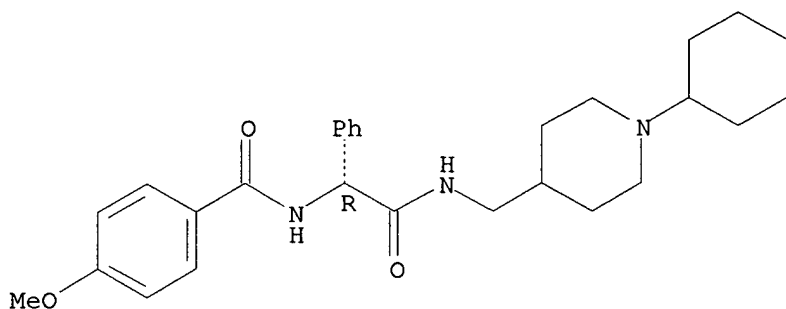
Absolute stereochemistry.



RN 313488-60-7 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclohexyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

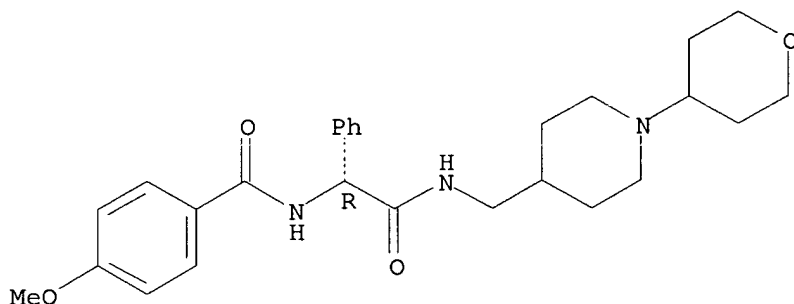
Absolute stereochemistry.



RN 313488-61-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

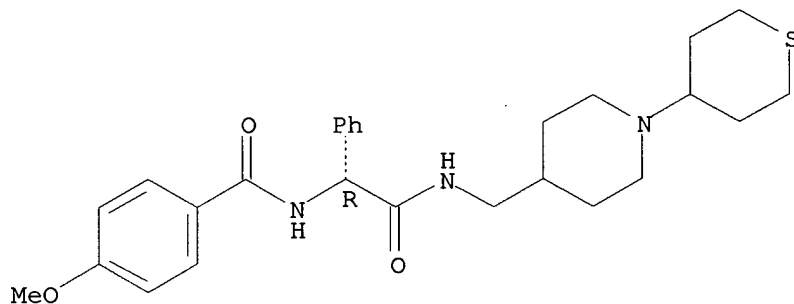
Absolute stereochemistry.



RN 313488-62-9 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

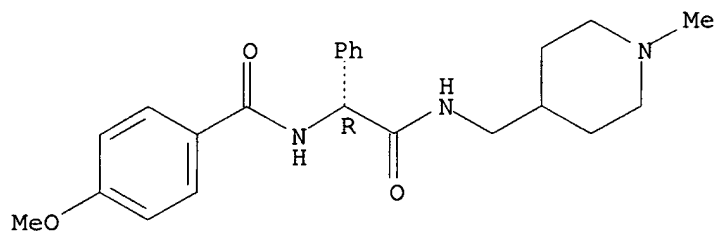
Absolute stereochemistry.



RN 313488-63-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[(1-methyl-4-piperidinyl)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

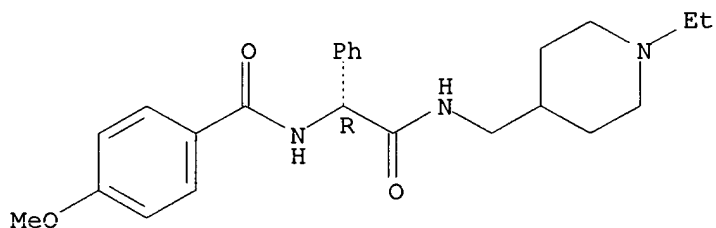
Absolute stereochemistry.



RN 313488-64-1 HCAPLUS

CN Benzeneacetamide, N-[(1-ethyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

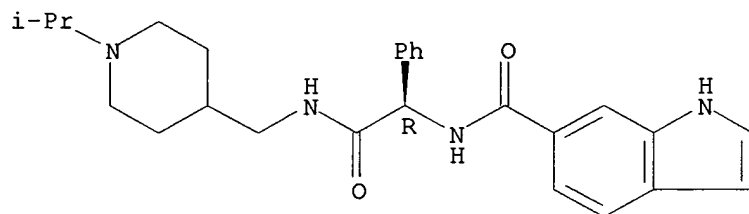
Absolute stereochemistry.



RN 313488-65-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

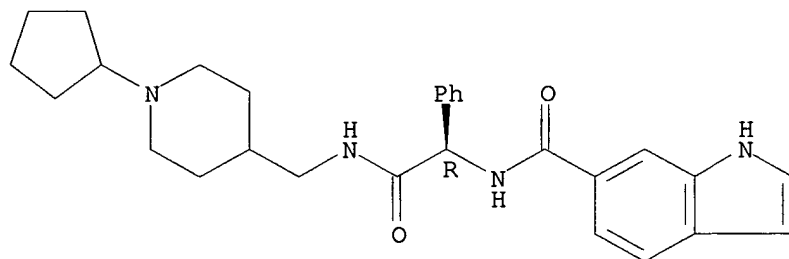
Absolute stereochemistry.

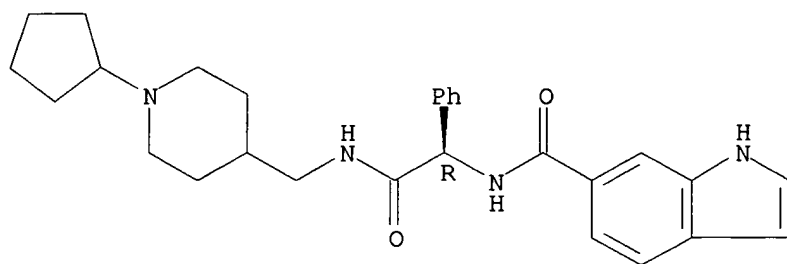


RN 313488-66-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-cyclopentyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

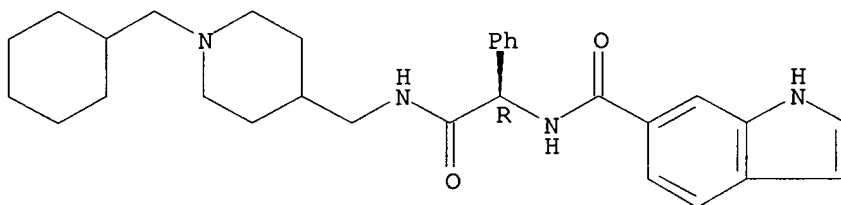




RN 313488-67-4 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

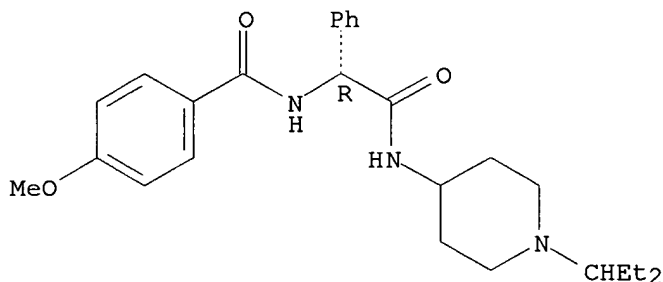
Absolute stereochemistry.



RN 313488-68-5 HCAPLUS

CN Benzeneacetamide, N-[1-(1-ethylpropyl)-4-piperidinyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

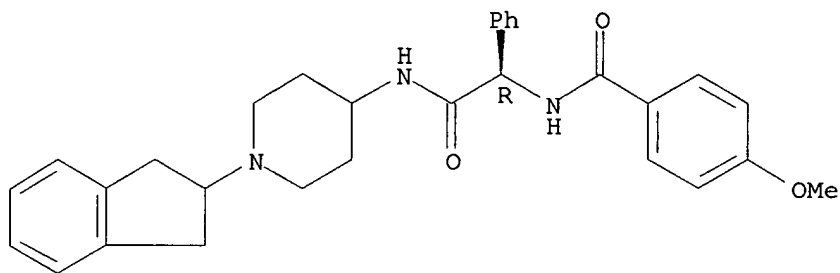
Absolute stereochemistry.



RN 313488-69-6 HCAPLUS

CN Benzeneacetamide, N-[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

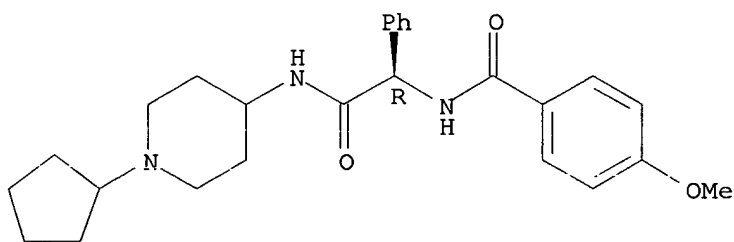
Absolute stereochemistry.



RN 313488-70-9 HCAPLUS

CN Benzeneacetamide, N-(1-cyclopentyl-4-piperidiny)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

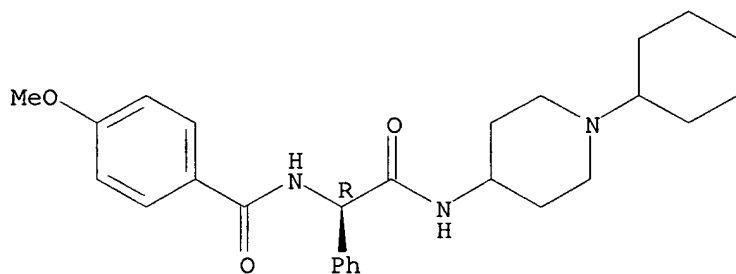
Absolute stereochemistry.



RN 313488-71-0 HCAPLUS

CN Benzeneacetamide, N-(1-cyclohexyl-4-piperidiny)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

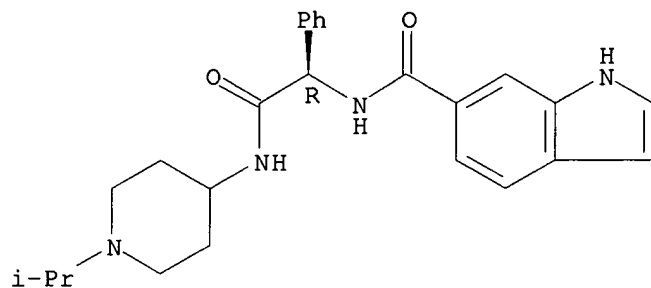
Absolute stereochemistry.



RN 313488-72-1 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(1-methylethyl)-4-piperidiny]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

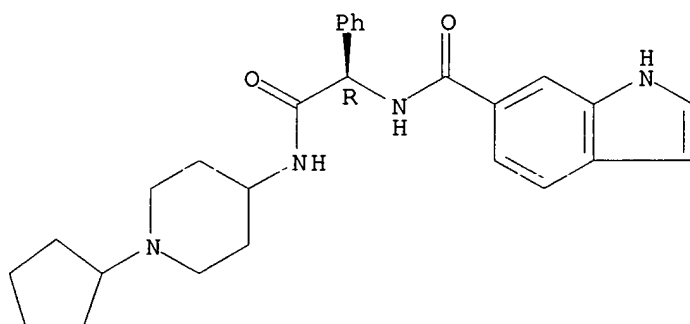
Absolute stereochemistry.



RN 313488-73-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[(1-cyclopentyl-4-piperidiny]amino)-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

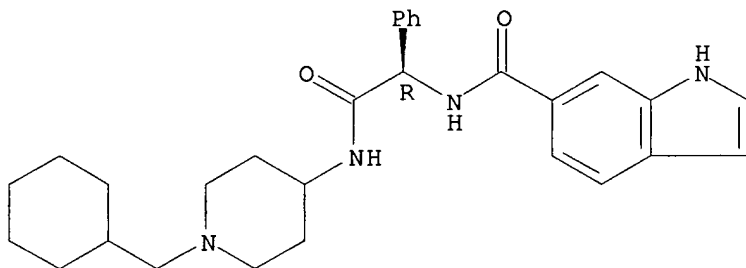
Absolute stereochemistry.



RN 313488-74-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(cyclohexylmethyl)-4-piperidiny]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

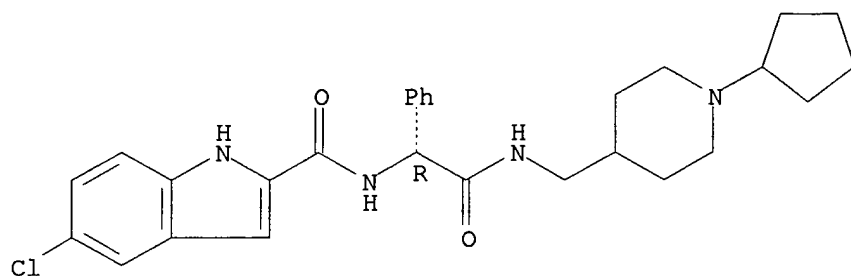
Absolute stereochemistry.



RN 313489-06-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[[1-(cyclopentyl-4-piperidiny)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

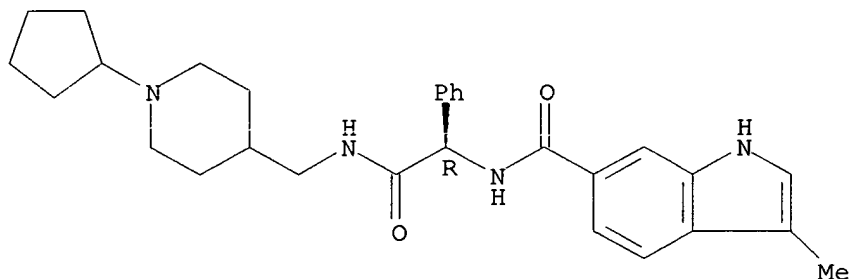
Absolute stereochemistry.



RN 313489-07-5 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[(1-cyclopentyl-4-piperidiny)methyl]amino]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 313490-44-7P 313490-45-8P 313490-46-9P

313490-47-0P 313490-50-5P 313490-51-6P

313490-52-7P 313490-53-8P

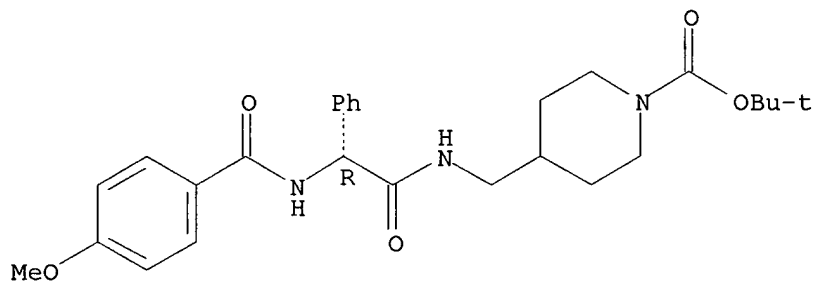
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313490-44-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

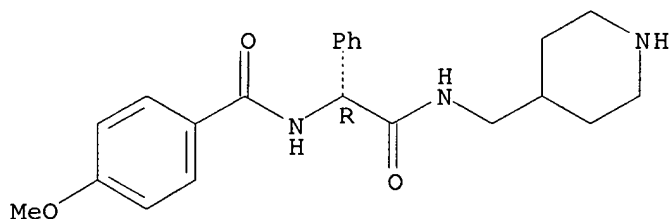


RN 313490-45-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-(1-cyclopentyl-4-piperidiny)methyl)- (9CI) (CA INDEX NAME)

piperidinylmethyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

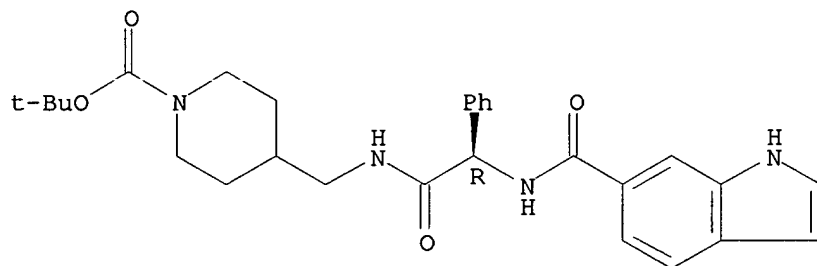
Absolute stereochemistry.



RN 313490-46-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

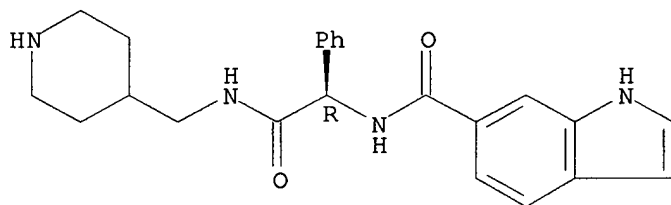
Absolute stereochemistry.



RN 313490-47-0 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

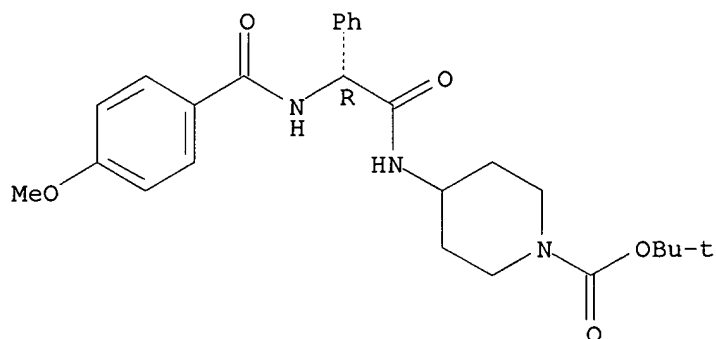
Absolute stereochemistry.



RN 313490-50-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

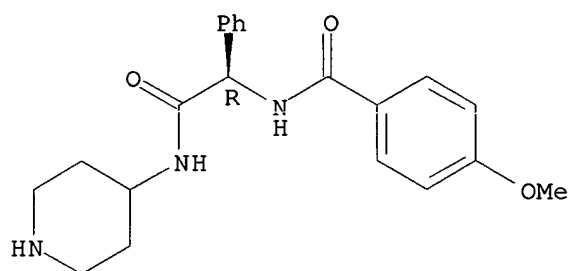
Absolute stereochemistry.



RN 313490-51-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-4-piperidiny]-, (.alpha.R)- (9CI) (CA INDEX NAME)

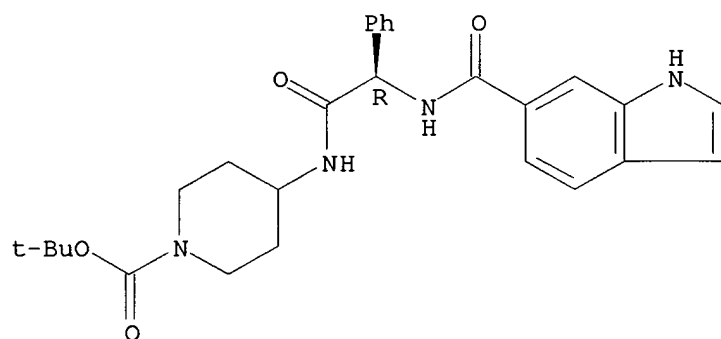
Absolute stereochemistry.



RN 313490-52-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

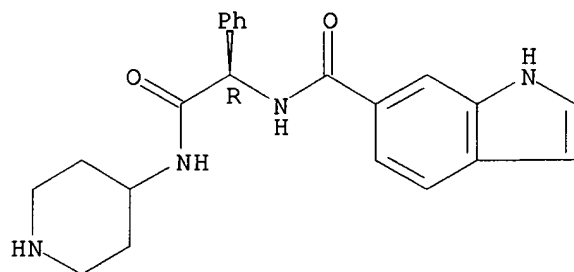
Absolute stereochemistry.



RN 313490-53-8 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-(4-piperidinylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:900613 HCAPLUS

DOCUMENT NUMBER: 134:56957

TITLE: Preparation of amino acid derivatives as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited

SOURCE: PCT Int. Appl., 350 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
WO 2000076970	A3	20010719		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1192135	A2	20020403	EP 2000-938912	20000613
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRIORITY APPLN. INFO.:			GB 1999-13823	A 19990614
			US 1999-142064P	P 19990702
			GB 1999-18741	A 19990809
			GB 1999-29552	A 19991214
			GB 1999-29553	A 19991214

WO 2000-GB2296 W 20000613

OTHER SOURCE(S): MARPAT 134:56957

AB Compds. R²-X-X-Y(Cy)-L-Lp(D)_n [R² represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR^{1a}, C(R^{1a})₂ or NR^{1a} group, where R^{1a} represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxy carbonyl, alkylaminocarbonyl, alkoxy carbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR^{1b} group (R^{1b} defined as for R^{1a}); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglyciny)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

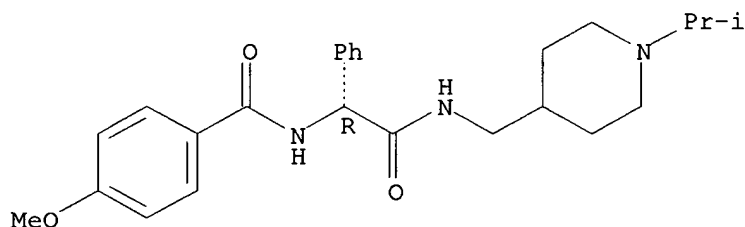
IT 313488-55-0P 313488-56-1P 313488-57-2P
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 313488-73-2P 313488-74-3P 313489-06-4P
 313489-07-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid derivs. as serine protease inhibitors)

RN 313488-55-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

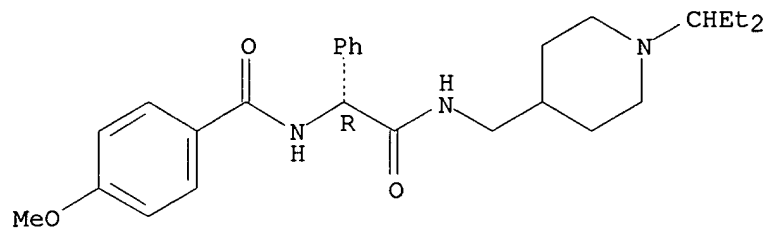
Absolute stereochemistry.



RN 313488-56-1 HCAPLUS

CN Benzeneacetamide, N-[[1-(1-ethylpropyl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

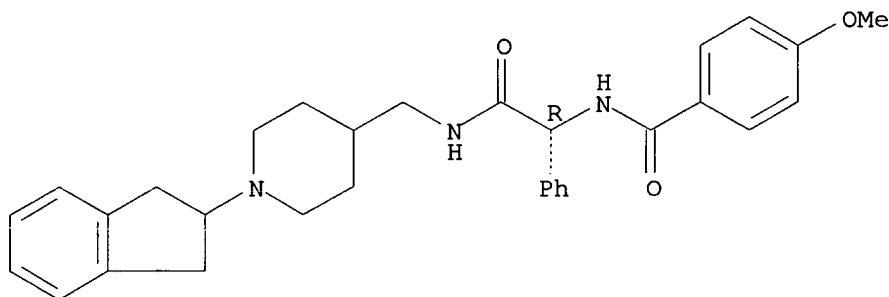
Absolute stereochemistry.



RN 313488-57-2 HCAPLUS

CN Benzeneacetamide, N-[[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

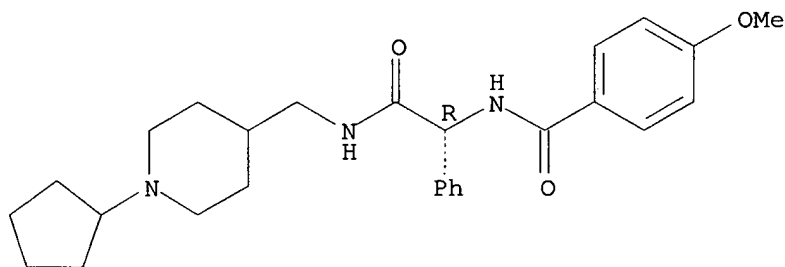
Absolute stereochemistry.



RN 313488-58-3 HCAPLUS

CN Benzeneacetamide, N-[[1-(cyclopentyl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

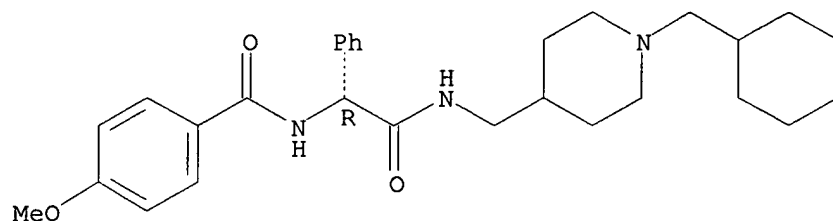
Absolute stereochemistry.



RN 313488-59-4 HCAPLUS

CN Benzeneacetamide, N-[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

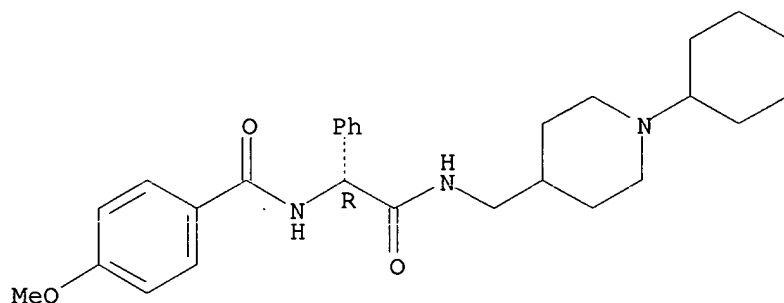
Absolute stereochemistry.



RN 313488-60-7 HCAPLUS

CN Benzeneacetamide, N-[(1-cyclohexyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

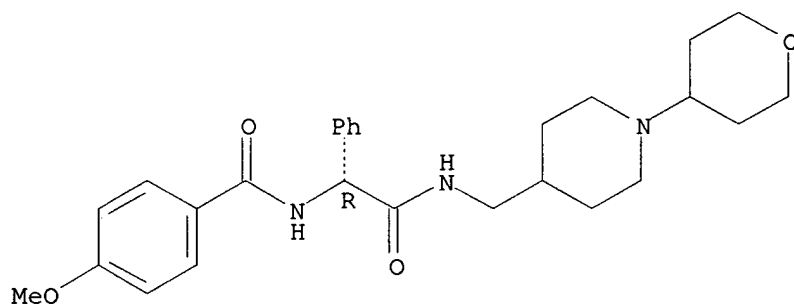
Absolute stereochemistry.



RN 313488-61-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

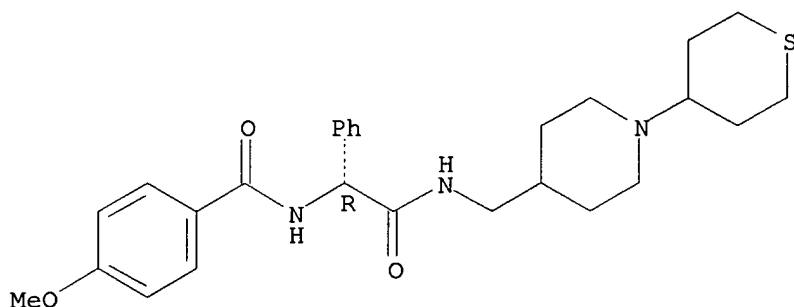
Absolute stereochemistry.



RN 313488-62-9 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

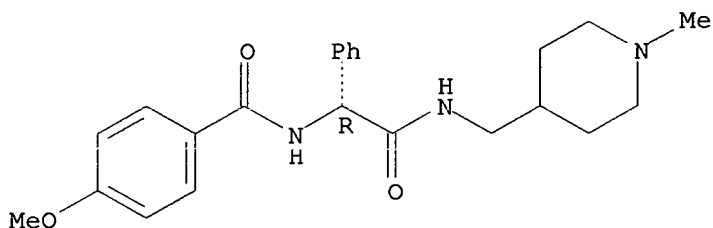
Absolute stereochemistry.



RN 313488-63-0 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-[(1-methyl-4-piperidinyl)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

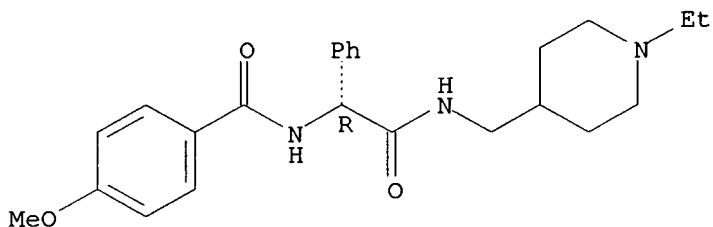
Absolute stereochemistry.



RN 313488-64-1 HCAPLUS

CN Benzeneacetamide, N-[(1-ethyl-4-piperidinyl)methyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

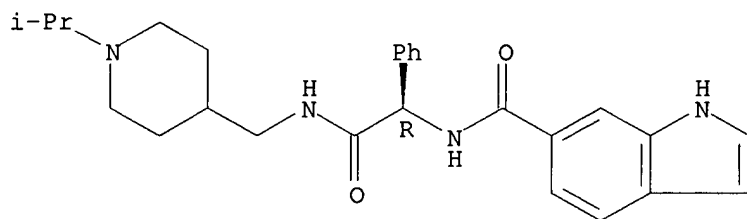
Absolute stereochemistry.



RN 313488-65-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

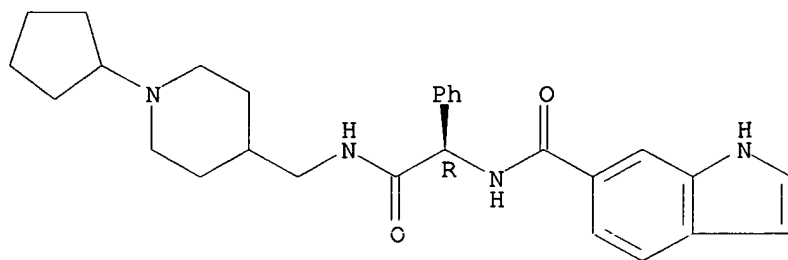
Absolute stereochemistry.



RN 313488-66-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

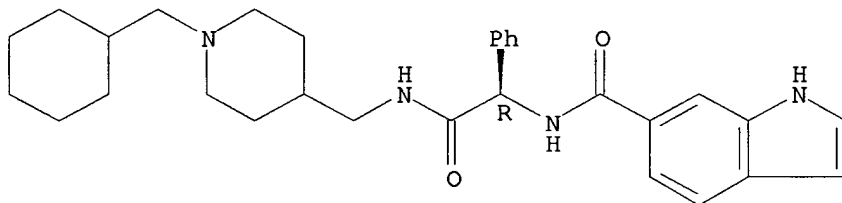
Absolute stereochemistry.



RN 313488-67-4 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

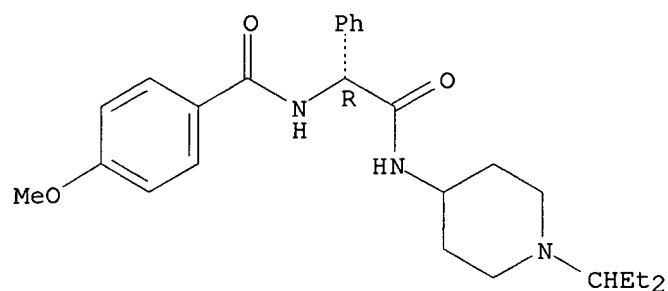
Absolute stereochemistry.



RN 313488-68-5 HCAPLUS

CN Benzeneacetamide, N-[1-(1-ethylpropyl)-4-piperidinyl]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

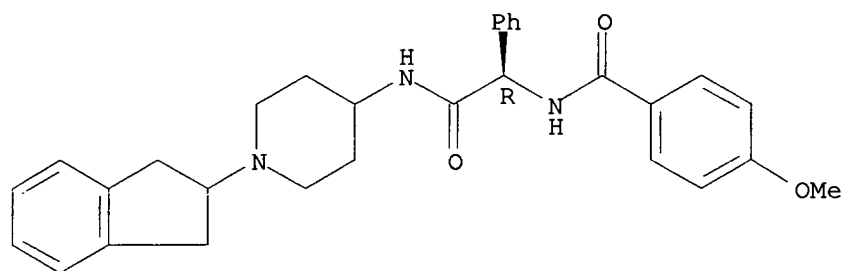
Absolute stereochemistry.



RN 313488-69-6 HCAPLUS

CN Benzeneacetamide, N-[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidiny]-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

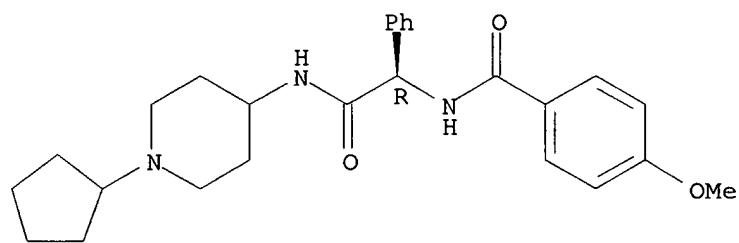
Absolute stereochemistry.



RN 313488-70-9 HCAPLUS

CN Benzeneacetamide, N-(1-cyclopentyl-4-piperidiny)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

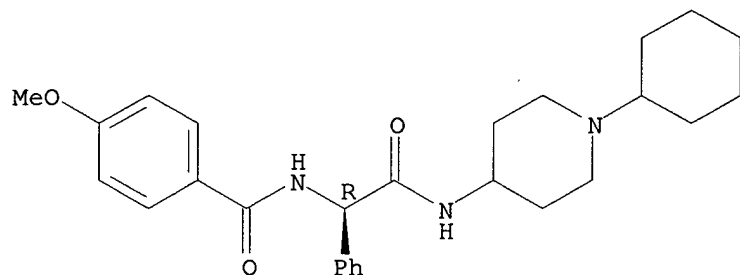
Absolute stereochemistry.



RN 313488-71-0 HCAPLUS

CN Benzeneacetamide, N-(1-cyclohexyl-4-piperidiny)-.alpha.-[(4-methoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

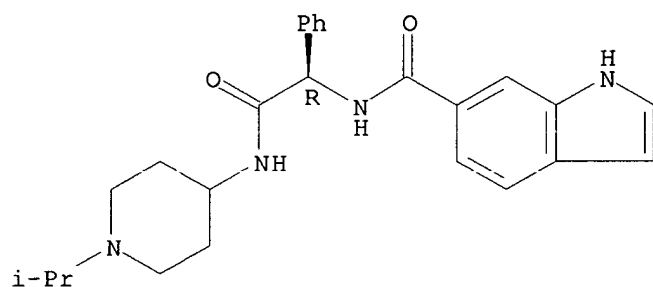
Absolute stereochemistry.



RN 313488-72-1 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(1-methylethyl)-4-piperidinyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

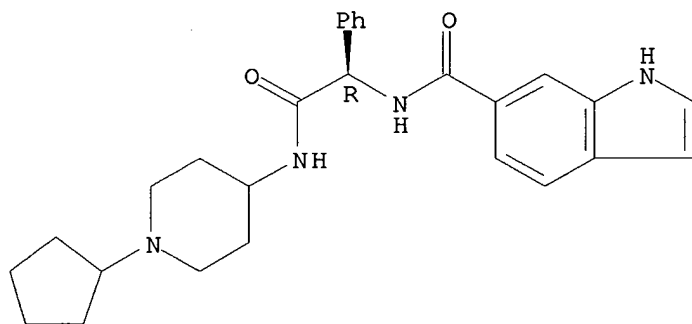
Absolute stereochemistry.



RN 313488-73-2 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[(1-cyclopentyl-4-piperidinyl)amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

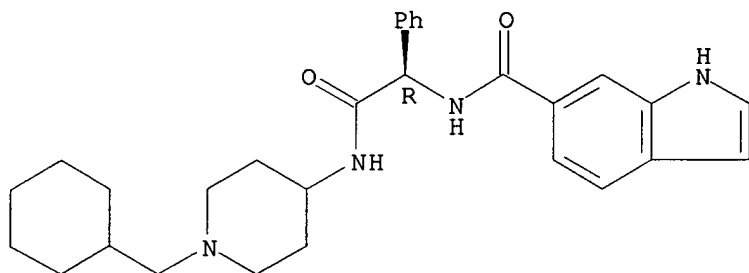
Absolute stereochemistry.



RN 313488-74-3 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[1-(cyclohexylmethyl)-4-piperidinyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

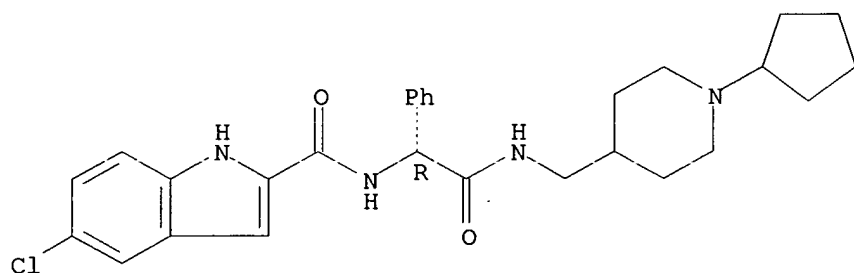
Absolute stereochemistry.



RN 313489-06-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[[[1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

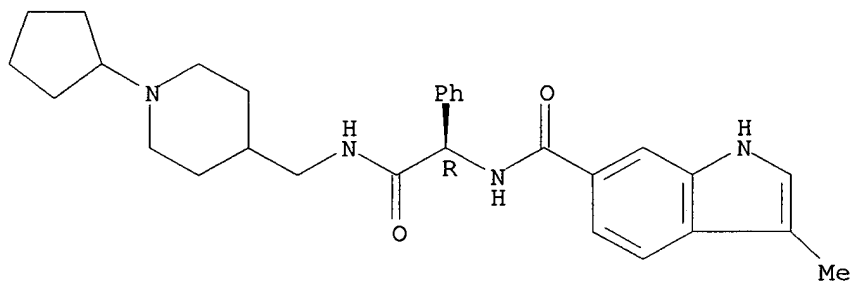
Absolute stereochemistry.



RN 313489-07-5 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[[[1-cyclopentyl-4-piperidinyl)methyl]amino]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 313490-44-7P 313490-45-8P 313490-46-9P

313490-47-0P 313490-50-5P 313490-51-6P

313490-52-7P 313490-53-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

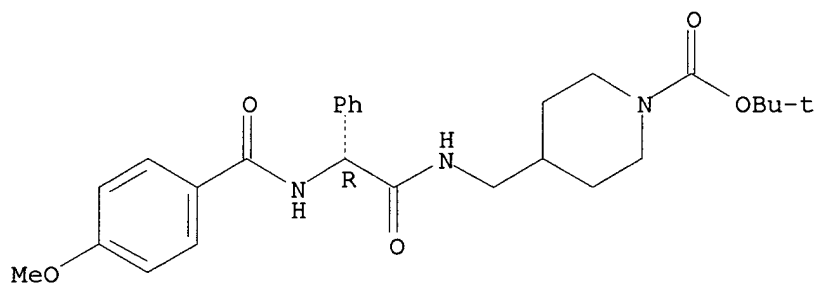
(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313490-44-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(4-methoxybenzoyl)amino]phenyl]acetate]

yl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

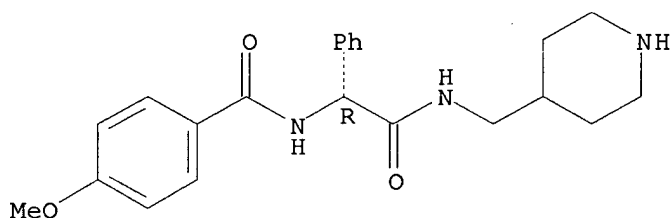
Absolute stereochemistry.



RN 313490-45-8 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-(4-piperidinylmethyl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

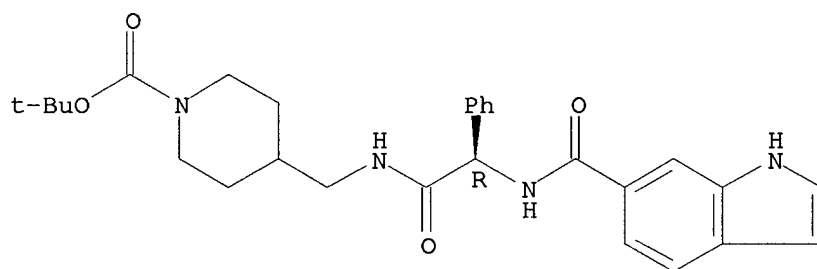
Absolute stereochemistry.



RN 313490-46-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

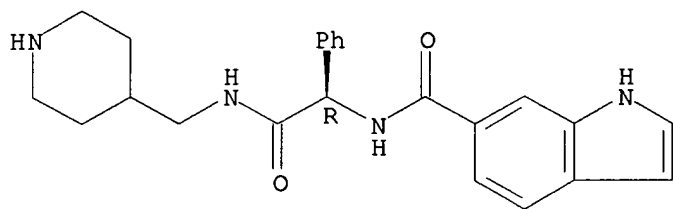
Absolute stereochemistry.



RN 313490-47-0 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[(4-piperidinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

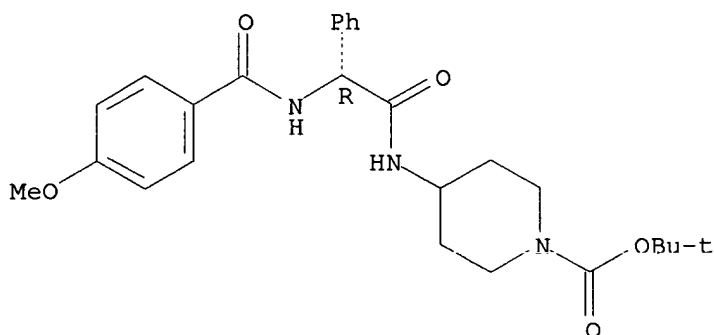
Absolute stereochemistry.



RN 313490-50-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

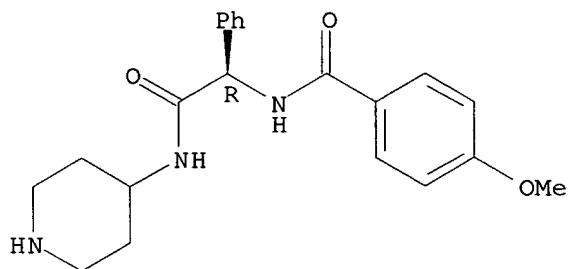
Absolute stereochemistry.



RN 313490-51-6 HCAPLUS

CN Benzeneacetamide, .alpha.-[(4-methoxybenzoyl)amino]-N-4-piperidinyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

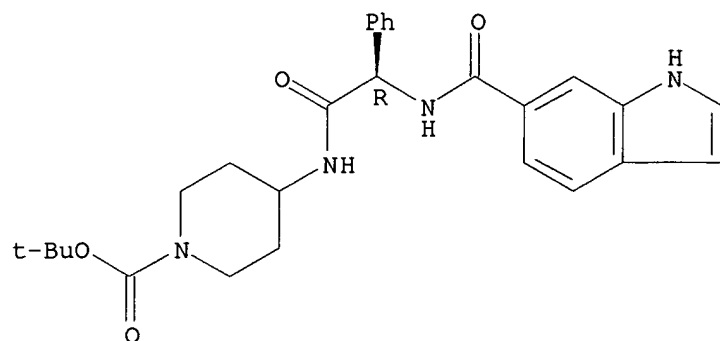
Absolute stereochemistry.



RN 313490-52-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[(1H-indol-6-ylcarbonyl)amino]phenylacetyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

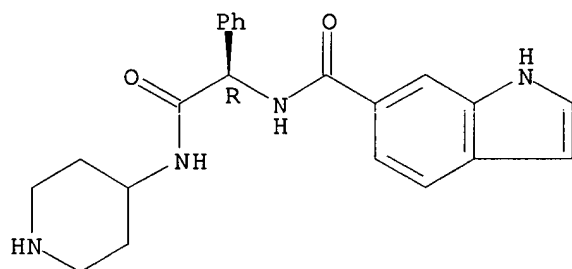
Absolute stereochemistry.



RN 313490-53-8 HCAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-(4-piperidinylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:824101 HCAPLUS

DOCUMENT NUMBER: 134:5154

TITLE: Preparation of cyclic amine derivatives as remedies or preventives for diseases in association with chemokines or chemokine receptors

INVENTOR(S): Shiota, Tatsuki; Miyagi, Fuminori; Kamimura, Takashi; Ohta, Tomohiro; Takano, Yasuhiro; Horiuchi, Hideki

PATENT ASSIGNEE(S): Teijin Limited, Japan

SOURCE: PCT Int. Appl., 405 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069432	A1	20001123	WO 2000-JP3203	20000518
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,				

ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 EP 1179341 A1 20020213 EP 2000-927808 20000518
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE,
 SI, LT, LV, FI, RO
 NO 2001005599 A 20011116 NO 2001-5599 20011116
 PRIORITY APPLN. INFO.: JP 1999-175856 A 19990518
 JP 1999-251464 A 19990906
 WO 2000-JP3203 W 20000518

OTHER SOURCE(S): MARPAT 134:5154

AB Remedies or preventives for diseases in assocn. with chemokines such as MIP-1.alpha. and/or MCP-1 or chemokine receptors such as CCR1 or CCR2 contain as the active ingredient N-acyl-amino acid N-cyclic amino or N-cyclic aminoalkyl-amide derivs. represented by general formula [I; (un)substituted Ph, C3-8 cycloalkyl, arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N; R2 = H, (un)substituted C1-6 alkyl, C2-7 alkoxy carbonyl, HO, (un)substituted Ph; p1, m1 = 0-2; m = 2-4; n = 0,1; R3 = H, (un)substituted C1-6 alkyl; R4, R5 = H, OH, (un)substituted Ph or C1-6 alkyl; or R4 and R5 are combined together to form a 3- to 5-membered hydrocarbonyl; p, q = 0,1; G = CO, SO2, CO2, NR7CO, CONR7, NR7SO2, or SO2NR7, NHCONH, NHCSNH, NH CO2, O2CNH; R7 = H, C1-6 alkyl; or R7 and R5 are combined together to form C2-5 alkylene; R6 = (un)substituted Ph, C3-8 cycloalkyl, C3-6 cycloalkenyl, CH2Ph, or arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N, wherein Ph, CH2Ph, or arom. heterocyclyl group is optionally fused with (un)substituted benzene or arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and/or N], pharmaceutically acceptable acid-adducts thereof, or pharmaceutically acceptable C1-6 alkyl-adducts thereof. The above diseases include destruction of bone or cartilage (e.g. arthritis, rheumatoid arthritis, osteoarthritis, osteoporosis, injury, and tumor), nephritis, kidney diseases, glomerulus or interstitial nephritis, nephrotic syndrome, demyelinating disease, or multiple sclerosis. Thus, N-3-ethoxybenzyl-D-methionine-N-[1-(4-chlorobenzyl)-4-piperazinylmethyl]amide in vitro inhibited the binding of human MIP-1.alpha. to THP-1 cells by >80% at 2 .mu.M.

IT 226248-06-2P

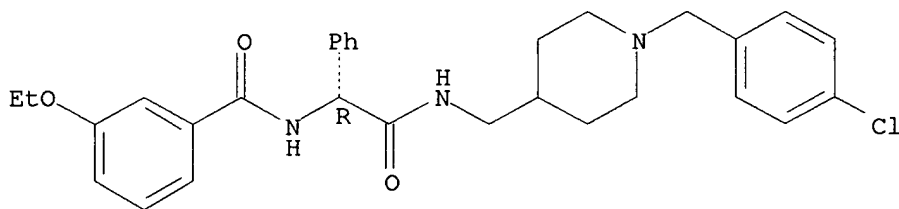
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amine derivs. as remedies or preventives for diseases in assocn. with chemokines or chemokine receptors)

RN 226248-06-2 HCAPLUS

CN Benzeneacetamide, N-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl]-.alpha.-[(3-ethoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:356765 HCAPLUS

DOCUMENT NUMBER: 133:806

TITLE: Endothelin-converting enzyme inhibitors containing amino compounds and their uses

INVENTOR(S): Hasegawa, Hirohiko; Takamura, Masahiro; Tsutsumi, Yasushi; Saji, Ikutaro; Ohashi, Naohito

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000143636	A2	20000526	JP 1999-113737	19990421
PRIORITY APPLN. INFO.:			JP 1998-248756	A 19980902

OTHER SOURCE(S): MARPAT 133:806

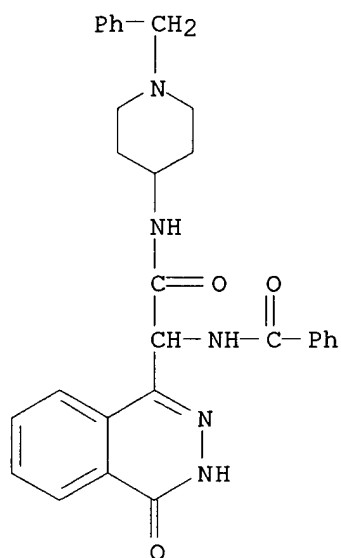
AB Pharmaceuticals, useful for prevention or treatment of circulatory diseases, e.g. hypertension, atherosclerosis, angina pectoris, etc., airway constriction, neuronal disorders, endocrine dysfunction, vascular diseases, ulcer, neoplasm, gastric mucosal disorders, endotoxin shock, sepsis, and renal diseases, contain R1GCH(Q1R2)NR3R4 [G = CO, CH2; R1 = R5, NR5R6, OR5, SR5, NR6COR5, NR6SO2R5, CHR7NR5R6, NR7N:CR5R6, CR7:CR5R6; Q1 = direct bond, (un)substituted alkylene, alkenylene, alkynylene; R2 = H, (un)substituted cycloalkyl, (un)substituted cycloalkenyl, (un)substituted aryl or (un)substituted heterocycles], their prodrugs, or their pharmaceutically acceptable salts. N'-phenylcyclohexylmethylene-[2-benzoylamino-2-(3,4-dihydro-4-oxo-phthalazin-1-yl)]acetohydrazide inhibited rat pulmonary endothelin-converting enzyme at IC50 5.6 .mu.M.

IT 270080-52-9P 270080-61-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino compds. as endothelin-converting enzyme inhibitors and their uses)

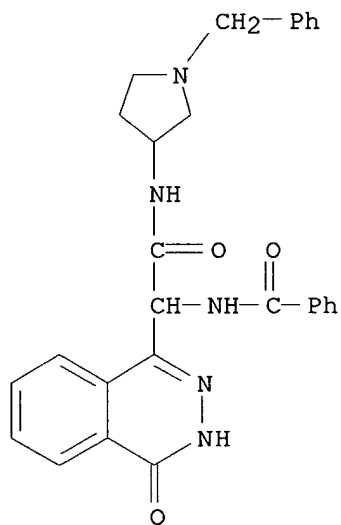
RN 270080-52-9 HCAPLUS

CN 1-Phthalazineacetamide, .alpha.-(benzoylamino)-3,4-dihydro-4-oxo-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 270080-61-0 HCAPLUS

CN 1-Phthalazineacetamide, .alpha.-(benzoylamino)-3,4-dihydro-4-oxo-N-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:350650 HCAPLUS

DOCUMENT NUMBER: 131:18925

TITLE: Preparation of cyclic amine derivatives for inhibition of the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells

INVENTOR(S): Shiota, Tatsuki; Kataoka, Kenichiro; Imai, Minoru; Tsutsumi, Takaharu; Sudoh, Masaki; Sogawa, Ryo; Morita, Takuya; Hada, Takahiko; Muroga, Yumiko; Takenouchi, Osami; Furuya, Monoru; Endo, Noriaki;

Tarby, Christine M.; Moree, Wil A.; Teig, Steven L.
 PATENT ASSIGNEE(S): Teijin Ltd., Japan; Combichem, Inc.
 SOURCE: PCT Int. Appl., 374 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925686	A1	19990527	WO 1998-US23254	19981117
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2309328	AA	19990527	CA 1998-2309328	19981117
AU 9913741	A1	19990607	AU 1999-13741	19981117
AU 744685	B2	20020228		
EP 1030840	A1	20000830	EP 1998-957495	19981117
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9814645	A	20010731	BR 1998-14645	19981117
JP 2001523661	T2	20011127	JP 2000-521070	19981117
NO 2000002486	A	20000718	NO 2000-2486	20000512
US 6451842	B1	20020917	US 2000-554562	20000516
PRIORITY APPLN. INFO.:			US 1997-972484	A 19971118
			US 1998-55285	A 19980406
			US 1998-133434	A 19980813
			WO 1998-US23254	W 19981117

OTHER SOURCE(S): • MARPAT 131:18925

AB The title comds. [I; R1 = (un)substituted Ph, cycloalkyl, heteroaryl, etc.; R2 = H, alkyl, alkoxy carbonyl, etc.; j = 0-2; k = 0-2; m = 2-4; n = 0-1; R3 = H, alkyl; R4, R5 = H, OH< Ph, etc.; p = 0-1; q = 0-1; G = CO, SO, CO2, etc.; R6 = Ph, cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable acid addn. salts which inhibit the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells and may be useful as a therapeutic drug and/or preventative drug in diseases, such as atherosclerosis, rheumatoid arthritis, and the like where blood monocytes and lymphocytes infiltrate into tissues, were prepd. Thus, reaction of N-benzoylglycine with 3-amino-1-(4-chlorobenzyl)pyrrolidine.2HCl in the presence of 3-ethyl-1-[3-(dimethylaminopropyl)]carbodiimide.HCl, 1-hydroxybenzotriazole and Et3N in CHCl3 afforded 95% II which showed 50-80% inhibition of MIP-1.alpha. binding to THP-1 cells at 10 .mu.M.

IT **226248-06-2P**

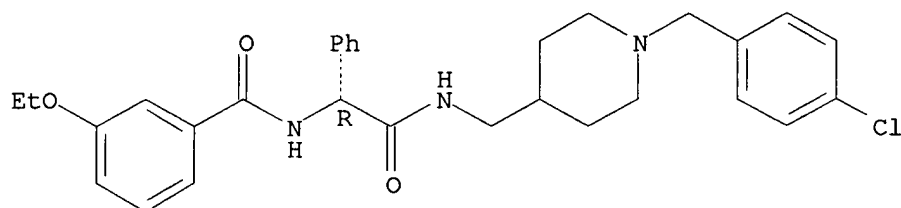
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of cyclic amine derivs. for inhibition of the action of chemokines such as MIP-1.alpha. and/or MCP-1 on target cells)

RN 226248-06-2 HCAPLUS

CN Benzeneacetamide, N-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl]-

.alpha.-[(3-ethoxybenzoyl)amino]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT